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(54) Title: OXAZOLE DERIVATIVES, THEIR PREPARATION AND THEIR USE AS CYTOKINE INHIBITORS

(57) Abstract: Novel oxazole derivatives which have activity in inhibiting inflammatory cytokines, particularly IL-4, pharmaceutical compositions comprising said oxazole derivatives and methods of prophylaxis and treatment of diseases mediated by cytokines, particularly allergic diseases.

OXAZOLE DERIVATIVES, THEIR PREPARATION AND THEIR USE AS CYTOKINE INHIBITORS

Background to the Invention

The present invention relates to novel oxazole derivatives which have activity in inhibiting inflammatory cytokines, particularly IL-4, to pharmaceutical compositions comprising said oxazole derivatives and to methods of prophylaxis and treatment of diseases mediated by cytokines, particularly allergic diseases.

Subsets of helper T cells can be functionally defined by their patterns of cytokine production as T helper cell type 1 (Th1) and T helper cell type 2 (Th2) in mice and humans (J. Immunol., 136, 2348-2357, 1986; Annu. Rev. Immunol., 12, 227-257, 1994; and Immunol. Today, 17, 138-146, 1996). Cell-mediated immune responses are mainly mediated by the Th1 subset of T cells, which produce interferon- γ (IFN- γ), interleukin-2 (IL-2), and tumor necrosis factor- β (TNF- β). In contrast, Th2 cells are defined by their activity to secrete interleukin-4 (IL-4), interleukin-5 (IL-5), interleukin-10 (IL-10), and interleukin-13 (IL-13), which are important in antibody-mediated immune responses.

IFN- γ produced by Th1 cells facilitates Th1 function and suppresses differentiation and function of Th2 cells. IL-4 and IL-10 produced by Th2 cells enhance differentiation and function of Th2 cells and suppress differentiation of Th1 cells (J. Immunol., 136, 2348-2357, 1986; Immunol. Today, 13, 379-381, 1992; Journal of Immunology, 159, 4686-4692, 1997; and Interferon Cytokine Res., 19, 1265-70, 1999). This therefore suggests that balanced function of Th1 and Th2 cells is important for maintenance of immunity, and that abnormal bias of Th1/Th2 subsets is associated with the onset and progression of allergic diseases or autoimmune diseases (Science, 260, 547-549, 1993; Immunol. Today, 16, 34-38, 1995; and Nature, 383, 787-797, 1996).

It is generally accepted that IL-4 is an IgE class switching factor for B cells and an autocrine mitogenic factor for Th2 cells, and that IL-5 plays an important role in the regulation of eosinophil differentiation, migration and function (J. Immunol., 158, 3539-3544, 1991; J. Exp. Med., 173, 775-778, 1991; Am. J. Respir. Cell Mol. Biol., 12, 477-487, 1995; and Cytokine, 11, 783-788, 1999). Therefore, Th2 cells are clearly important in the pathogenesis of allergic inflammatory responses as well as IgE synthesis. The importance of

IL-4 and IL-5 in allergic diseases is also supported by evidence (Nature, 362, 245-247, 1993; J. Exp. Med., 183, 195-201, 1996; J. Asthma, 34, 195-201, 1997; and Immunity, 11, 473-482, 1999) demonstrating that neutralization of these cytokines by antibodies administered in allergic disease models suppressed eosinophil infiltration in the airways, and that IL-4- or IL-5-deficient mice exhibited non-susceptibility to allergic responses. Based on this evidence, it is expected that inhibitors of Th2 cytokines such as IL-4 or IL-5 could suppress abnormal Th2 dominance, IgE production, and eosinophil infiltration and that they could, as a result, act as anti-allergic agents for the treatment or prophylaxis of allergic diseases.

Previously, steroids, cyclosporin A and FK-506 have been clinically used as anti-allergic agents. These strongly suppress disease severity in some patients. However, the often severe side effects associated with the use of steroids (such as gastrointestinal problems, water retention and osteoporosis) are a significant problem making their long-term administration to patients with allergic diseases undesirable. Cyclosporin A and FK-506 have powerful anti-allergic properties but they show little selectivity in inhibition of Th2-cytokine production, also inhibiting Th1-cytokines. This leads to non-specific suppression of immune functions causing a number of problems, the most significant of which is increased susceptibility to infections.

It would therefore be highly desirable if selective inhibitors of Th2 cytokines such as IL-4 and IL-5 could be found as they would be likely to act as better anti-allergic agents with greater specificity and fewer side effects, making them useful in the treatment and prophylaxis of allergic diseases including asthma, atopic dermatitis, allergic rhinitis, food allergies, and systemic anaphylaxis.

WO-A-99/33827 discloses imidazole derivatives that are said to show some specificity in inhibiting IL-4 and IL-5 production. There is a need, however, for compounds having good activity in inhibiting the production of IL-4 alone with greater specificity and lower toxicity.

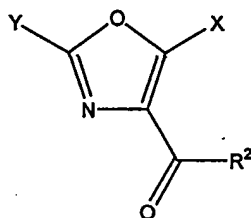
Brief Summary of the Invention

It is an object of the present invention to provide novel compounds having specific activity against the production of IL-4, said compounds having a low toxicity.

It is a further object of the present invention to provide compositions having activity in inhibiting the production of IL-4 and methods using said compounds.

Thus, the present invention provides in a first aspect a compound of formula (I):

wherein:



(I)

X represents a substituent selected from the group consisting of phenyl groups, heteroaryl groups defined below and heterocyclyl groups defined below, said substituent X being substituted with at least one of substituents R^1 defined below and optionally further being substituted with at least one of Substituents β defined below, said heteroaryl groups and heterocyclyl groups optionally further being fused with an aryl group defined below
or

X represents a pyridine group or a pyrimidine group;

Y represents a substituent selected from the group consisting of phenyl groups, heteroaryl groups defined below and heterocyclyl groups defined below, said substituent Y optionally being substituted with from 1 to 5 substituents R^3 defined below, said heteroaryl groups and heterocyclyl groups optionally further being fused with an aryl group defined below;

R^1 represents a nitro group or a group of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is selected from the group consisting of hydrogen atoms, lower alkyl groups defined below, alkoxy groups defined below, alkylcarbonyl groups defined below which are unsubstituted or are substituted with at least one substituent selected from Substituents ϵ defined below, aryl groups defined below, arylcarbonyl groups defined below which are unsubstituted or are substituted with at least one substituent selected from Substituents δ defined below, heteroarylcarbonyl groups defined below, cycloalkylcarbonyl groups defined below and alkenylcarbonyl groups defined below which are unsubstituted or are substituted with aryl group(s);

R^2 represents a substituent selected from the group consisting of hydroxy groups, alkoxy groups defined below and groups of formula $-NR^6R^7$ wherein R^6 and R^7 are the same or different and each is selected from the group consisting of:

hydrogen atoms;

lower alkyl groups defined below which are unsubstituted or are substituted with at least one substituent selected from Substituents α defined below;

lower alkenyl groups defined below;

cycloalkyl groups defined below which are unsubstituted or are substituted with at least one lower alkyl group defined below, said cycloalkyl groups optionally being fused with an aryl group as defined below;

groups of formula $-NR^4R^5$ wherein R^4 and R^5 are as defined above;

aryl groups defined below which are unsubstituted or are substituted with at least one substituent selected from Substituents β defined below;

aralkyl groups defined below which are unsubstituted or are substituted with at least one substituent selected from the group consisting of alkoxy groups defined below, haloalkyl groups defined below and aryl groups defined below;

heterocyclyl groups defined below which are attached to the nitrogen atom of the group $-NR^6R^7$ via a ring carbon atom thereof and which are unsubstituted or are substituted with at least one substituent selected from Substituents γ defined below, said heterocyclyl groups further optionally being fused with an aryl defined below; and

heteroaryl groups defined below which are attached to the nitrogen atom of the group $-NR^6R^7$ via a ring carbon atom thereof and which are unsubstituted or are substituted with at least one substituent selected from Substituents γ defined below, or

R^6 and R^7 together with the nitrogen atom to which they are attached represent a heterocyclyl group defined below or a heteroaryl group defined below, said groups being unsubstituted or substituted with at least one substituent selected from the group consisting of

lower alkyl groups which are unsubstituted or are substituted with at least one substituent selected from the group consisting of groups of formula $-NR^4R^5$ wherein R^4 and R^5 are as defined above and heterocyclyl groups defined below,

aryl groups defined below which are unsubstituted or are substituted with at least one substituent selected from Substituents δ defined below,

aralkyl groups defined below,

carboxy groups, and

alkoxycarbonyl groups defined below,

said heterocyclyl and heteroaryl groups further optionally being fused with an aryl group defined below;

R^3 represents a substituent selected from the group consisting of lower alkyl groups defined below, alkoxy groups defined below, alkylthio groups defined below, haloalkyl groups defined below, halogen atoms, alkylcarbonyl groups defined below, aryl groups defined below which are unsubstituted or are substituted with at least one alkoxy group defined below, aralkyl groups defined below, aralkyloxy groups defined below, heterocyclyl groups defined below and heteroaryl groups defined below; and

Substituents α are selected from the group consisting of alkoxy groups defined below, cycloalkyl groups defined below, arylamino groups defined below, heterocyclyl groups defined below which are unsubstituted or are substituted with at least one lower alkyl group defined below, and heteroaryl groups defined below which are unsubstituted or are substituted with at least one substituent selected from the group consisting of lower alkyl groups defined below and alkoxycarbonyl groups defined below;

Substituents β are selected from the group consisting of lower alkyl groups defined below, alkoxy groups defined below, alkylthio groups defined below, haloalkyl groups defined below, halogen atoms, alkylcarbonyl groups defined below, aryl groups defined below which are unsubstituted or are substituted with at least one alkoxy group defined below, aralkyl groups defined below, aralkyloxy groups defined below, heterocyclyl groups defined below and heteroaryl groups defined below;

Substituents γ are selected from the group consisting of lower alkyl groups defined below, carboxy groups and alkoxycarbonyl groups defined below;

Substituents δ are selected from the group consisting of lower alkyl groups defined below, haloalkyl groups defined below and alkoxy groups defined below;

Substituents ϵ are selected from the group consisting of alkoxy groups defined below, halogen atoms, aryl groups defined below, aryloxy groups defined below, cycloalkyl groups defined below, alkylcarbonyloxy groups defined below, alkoxycarbonyl groups defined below, hetero aryl groups defined below;

or a pharmacologically acceptable salt thereof;

said lower alkyl groups referred to above are straight or branched alkyl groups having from 1 to 6 carbon atoms;

said aryl groups referred to above are aromatic hydrocarbon groups having from 6 to 14 carbon atoms in one or more rings, said aromatic hydrocarbon groups optionally being fused with a cycloalkyl group as defined below or a heterocyclyl group as defined below;

said alkoxy groups referred to above are lower alkyl groups as defined above which are bonded to an oxygen atom;

said cycloalkyl groups referred to above are cycloalkyl groups having from 3 to 7 carbon atoms;

said arylamino groups referred to above are amino groups which are substituted with an aryl group as defined above;

said heterocyclyl groups referred to above are non-aromatic heterocyclic groups having from 4 to 10 ring atoms in one or more rings, at least one of said ring atoms being a heteroatom selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms;

said heteroaryl groups referred to above are 5- to 7-membered aromatic heterocyclic groups containing from 1 to 3 heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms;

said lower alkenyl groups referred to above are straight or branched alkenyl groups having from 2 to 6 carbon atoms;

said aralkyl groups referred to above are lower alkyl groups as defined above which are substituted with at least one aryl group as defined above;

said alkoxycarbonyl groups referred to above are carbonyl groups which are substituted with an alkoxy group as defined above;

said haloalkyl groups referred to above are lower alkyl groups as defined above which are substituted with at least one halogen atom;

said alkylthio groups referred to above are lower alkyl groups as defined above which are bonded to a sulfur atom;

said alkylcarbonyl groups referred to above are carbonyl groups which are substituted with a lower alkyl group as defined above;

said aralkyloxy groups referred to above are alkoxy groups as defined above which are substituted with at least one aryl group as defined above;

said aryloxy groups referred to above are aryl groups as defined above which are bonded to an oxygen atom;

said alkylcarbonyloxy groups referred to above are alkylcarbonyl groups as defined above which are bonded to an oxygen atom;

said cycloalkylcarbonyl groups referred to above are carbonyl groups which are substituted with an cycloalkyl group as defined above;

said arylcarbonyl groups referred to above are carbonyl groups which are substituted with an aryl group as defined above;

said heteroarylcarbonyl groups referred to above are carbonyl groups which are substituted with an heteroaryl group as defined above;

said alkenylcarbonyl groups referred to above are carbonyl groups which are substituted with an alkenyl group as defined above;

The invention further provides a pharmaceutical composition comprising an effective amount of a pharmacologically active compound together with a carrier therefore (including a diluent), wherein said pharmacologically active compound is selected from the group consisting of oxazole derivatives of formula (I) and pharmaceutically acceptable salts thereof. Preferably, the pharmaceutical compositions have activity in inhibiting the production of IL-4 in a warm-blooded animal, which may be a human.

The invention still further provides a method for the inhibition of the production of IL-4 in a warm-blooded animal, which may be a human, which comprises administering to said warm-blooded animal a pharmacologically effective amount of a compound having activity in inhibiting the production of IL-4, wherein said compound is selected from the group consisting of oxazole derivatives of formula (I) and pharmaceutically acceptable salts thereof.

The invention yet still further provides a method for the prophylaxis or treatment of a disease mediated by IL-4 in a warm-blooded animal, which may be a human, which comprises administering to said warm-blooded animal a pharmacologically effective amount of a compound having activity in inhibiting the production of IL-4, wherein said compound is selected from the group consisting of oxazole derivatives of formula (I) and pharmaceutically acceptable salts thereof. In particular, said diseases are allergic diseases including asthma, atopic dermatitis, allergic rhinitis, food allergies, and systemic anaphylaxis.

Detailed Description of the Invention

Where X represents a heteroaryl group which is substituted with at least one of substituents R^1 defined above and optionally being further substituted with at least one of Substituents β , or Y represents a heteroaryl group which is optionally substituted with from 1 to 5 substituents R^3 defined above, or R^3 represents a heteroaryl group, or R^6 or R^7 represents a heteroaryl group which is attached to the nitrogen atom of the group $-NR^6R^7$ via a ring carbon atom thereof and which is optionally substituted with at least one substituent selected from Substituents γ defined above, or Substituent α represents an optionally substituted heteroaryl group, or Substituent β or Substituent ϵ represents a heteroaryl group, said heteroaryl groups are 5- to 7-membered aromatic heterocyclic groups containing from 1 to 3 heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms.

Examples of such heteroaryl groups include furyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, triazolyl, tetrazolyl, thiadiazolyl, pyridyl, pyridazinyl, pyrimidinyl and pyrazinyl groups. We prefer 5- or 6-membered aromatic heterocyclyl groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms, examples of which include furyl, thiophenyl, pyrrolyl, pyrazolyl, imidazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyridinyl, pyridazinyl, pyrimidinyl and pyrazinyl groups, of which we particularly prefer thiophenyl, imidazolyl, pyridinyl, pyrazolyl, thiazolyl and isoxazolyl.

The heteroaryl groups defined and exemplified above may be fused with an aryl group defined above. Examples of such a fused heteroaryl group include benzothiazolyl groups.

Where R^6 or R^7 represents a heteroaryl group which is attached to the nitrogen atom of the group $-NR^6R^7$ via a ring carbon atom thereof, 5- or 6-membered aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms are preferred and thiazol-2-yl, pyrazol-3-yl, isoxazol-3-yl and thiophen-2-yl groups are particularly preferred.

Where R^6 or R^7 represents a heteroaryl group which is substituted with at least one substituent selected from Substituents γ defined above and which is attached to the nitrogen

atom of the group $-NR^6R^7$ via a ring carbon atom thereof, 5- or 6-membered aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms which are substituted with from 1 to 3 of Substituents γ are preferred and 5- or 6-membered aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms which are substituted with 1 or 2 of Substituents γ are particularly preferred. Examples of such substituted heteroaryl groups include 2-ethyl-2H-pyrazol-3-yl, 5-methylisoxazol-3-yl, 2-ethoxycarbonyl-4-methylthiophen-2-yl and 2-methoxycarbonylthiophen-2-yl groups.

Where Substituent α or Substituent ϵ represents a heteroaryl group, 5- or 6-membered aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms are preferred and thiazolyl, imidazolyl, pyridinyl and thiophenyl groups are particularly preferred.

Where Substituent α represents a heteroaryl group which is substituted with at least one substituent selected from the group consisting of lower alkyl groups defined above and alkoxycarbonyl groups defined above, 5- or 6-membered aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms which are substituted by 1 or 2 substituents selected from the group consisting of lower alkyl groups defined above and alkoxycarbonyl groups defined above are preferred. Examples of such groups include 2-methoxycarbonyl-4-methylthiophen-3-yl and 2-ethylimidazol-1-yl groups.

Where R^3 or Substituent β represents a heteroaryl group, 5- or 6-membered aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms are preferred and thiazolyl, imidazolyl and thiophenyl groups are particularly preferred.

Where R^2 represents a group of formula $-NR^6R^7$ wherein R^6 and R^7 together with the nitrogen atom to which they are attached represent an optionally substituted heteroaryl group, said heteroaryl groups are 5- to 7-membered aromatic heterocyclic groups containing from 1 to 3 heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms, wherein at least one of said heteroatoms is a nitrogen atom. Unsubstituted 5- or 6-membered aromatic heterocyclic groups containing one or two heteroatoms selected

from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms of which at least one is a nitrogen atom are preferred and pyrrolyl, pyrazolyl and imidazolyl groups are particularly preferred. The heteroaryl groups may optionally be fused with an aryl group as defined above.

Where X represents a heterocyclyl group which is substituted with at least one of substituents R^1 defined above and optionally substituted with at least one of substituents β , or Y represents a heterocyclyl group which is optionally substituted with from 1 to 5 substituents R^3 defined above, or R^3 represents a heterocyclyl group, or R^6 or R^7 represents a heterocyclyl group which is attached to the nitrogen atom of the group $-NR^6R^7$ via a ring carbon atom thereof and which is optionally substituted with at least one substituent selected from Substituents γ defined above, or Substituent α represents an optionally substituted heterocyclyl group, or Substituent β represents a heterocyclyl group, or the optional substituent on the lower alkyl group which may be an optional substituent on the heterocyclyl or heteroaryl group formed from the group of formula $-NR^6R^7$ by R^6 and R^7 together with the nitrogen atom to which they are attached, said heterocyclyl group is a non-aromatic heterocyclic group having from 4 to 10 ring atoms in one or more rings, at least one of said ring atoms being a heteroatom selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms. It is preferably a 4- to 10-membered non-aromatic heterocyclic group containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms, more preferably it is a 4- to 7-membered non-aromatic heterocyclic group containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms and most preferably it is a 5- or 6-membered non-aromatic heterocyclic group containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms.

Examples of such a heterocyclyl group include azetidiny, pyrrolidinyl, pyrrolinyl, imidazolidinyl, imidazolinyl, pyrazolidinyl, pyrazolinyl, oxazolidinyl, thiazolidinyl, tetrahydrofuranyl, dioxanyl, piperidinyl, tetrahydropyridyl, dihydropyridyl, piperazinyl, morpholinyl, thiomorpholinyl, homopiperidyl, 2,5-dihydropyrrolyl, decahydroquinolinyl, quinuclidinyl, quinuclidienyl, octahydroindolizinyl, hexahydroindolizinyl, octahydroquinolizinyl, hexahydroquinolizinyl,

8-azabicyclo[3.2.1]octanyl, 8-azabicyclo[3.2.1]octenyl, 9-azabicyclo[3.3.1]nonanyl and 9-azabicyclo[3.3.1]nonenyl groups, of which pyrrolidinyl, pyrrolinyl, thiazolidinyl, tetrahydrofuranyl, dioxanyl, piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, 2,5-

dihydropyrrolyl and decahydroquinolinyl groups are more preferred, and pyrrolidinyl, thiazolidinyl, tetrahydrofuranyl, piperidinyl, piperazinyl and morpholinyl groups are most preferred.

The heterocyclyl groups defined and exemplified above may be fused with an aryl group as defined above. Examples of such a fused heterocyclyl group include 3,4-dihydro-2H-quinolinyl.

The heterocyclyl groups defined and exemplified above may also be fused with a cycloalkyl group as defined above. Examples of such a fused heterocyclyl group include Decahydroquinolinyl.

Where R^6 or R^7 represents a heterocyclyl group which is attached to the nitrogen atom of the group $-NR^6R^7$ via a ring carbon atom thereof, 4- to 7-membered non-aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms are preferred and 5- or 6-membered non-aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms are most preferred. Examples of such groups include piperidinyl, piperazinyl and tetrahydrofuranyl groups.

Where R^6 or R^7 represents a heterocyclyl group which is substituted with at least one substituent selected from Substituents γ defined above and which is attached to the nitrogen atom of the group $-NR^6R^7$ via a ring carbon atom thereof, 4- to 7-membered non-aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms which are substituted with 1 or 2 of Substituents γ are preferred and 5- or 6-membered non-aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms which are substituted with 1 or 2 of Substituents γ are most preferred. Examples of such groups include 1-ethoxycarbonylpiperidin-4-yl, 4-methylpiperazin-2-yl and 3-methyl-tetrahydrofuran-2-yl groups.

Where R^6 and R^7 represents a heterocyclyl group which is fused with a cycloalkyl group, 4- to 7-membered non-aromatic heterocyclic groups containing from 1 to 3 heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms fused with a cycloalkyl group having from 3 to 7 carbon atoms are preferred, 5- to 6-

membered non-aromatic heterocyclic groups containing from 1 or 2 heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms fused with a cycloalkyl group having from 5 to 6 carbon atoms are more preferred and 6-membered non-aromatic heterocyclic groups containing one nitrogen atom fused with a cyclohexyl group are most preferred.

Where Substituent α represents a heterocyclyl group, 4- to 7-membered non-aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms are preferred and 5- or 6-membered non-aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms are most preferred. Examples of such groups include morpholinyl, tetrahydrofuranyl, pyrrolidinyl and piperazinyl groups.

Where Substituent α represents a heterocyclyl group which is substituted with at least one lower alkyl group defined above, 4- to 7-membered non-aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms which are substituted with 1 or 2 lower alkyl groups are preferred and 5- or 6-membered non-aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms which are substituted with 1 or 2 lower alkyl groups are most preferred. Examples of such groups include 4-methylpiperazinyl and 4-ethylpiperidinyl groups.

Where R^3 or Substituent β represents a heterocyclyl group, 4- to 7-membered non-aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms are preferred and 5- or 6-membered non-aromatic heterocyclic groups containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms are most preferred. Examples of such groups include piperidinyl, tetrahydrofuranyl, pyrrolidinyl and piperazinyl groups.

Where R^2 represents a group of formula $-NR^6R^7$ wherein R^6 and R^7 together with the nitrogen atom to which they are attached represent a heteroaryl or heterocyclyl group which is substituted with a lower alkyl group which is itself substituted with a heterocyclyl group, said heterocyclyl group which is a substituent on said alkyl group is preferably a 4- to 7-membered non-aromatic heterocyclic group containing one or two heteroatoms selected from

the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms, and most preferably a 5- or 6-membered non-aromatic heterocyclic group containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms, examples of which include morpholinyl, thiomorpholinyl and piperidinyl groups.

Where R^2 represents a group of formula $-NR^6R^7$ wherein R^6 and R^7 together with the nitrogen atom to which they are attached represent a heterocyclyl group, said heterocyclyl group is a 4- to 10-membered non-aromatic heterocyclic group containing from 1 to 3 heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms, wherein at least one of said heteroatoms is a nitrogen atom. Said heterocyclyl group is preferably a 4- to 7-membered non-aromatic heterocyclic group containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms, and most preferably a 5- or 6-membered non-aromatic heterocyclic group containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms. Examples of such groups include thiazolidin-3-yl, pyrrolidin-1-yl, pyrrolin-1-yl, piperidin-1-yl, piperazin-1-yl, morpholin-4-yl, thiomorpholin-1-yl, 2,5-dihydropyrrol-1-yl and decahydroquinolin-1-yl groups.

Where R^2 represents a group of formula $-NR^6R^7$ wherein R^6 and R^7 together with the nitrogen atom to which they are attached represent a substituted heterocyclyl group, said heterocyclyl group is a 4- to 10-membered non-aromatic heterocyclic group containing from 1 to 3 heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms, wherein at least one of said heteroatoms is a nitrogen atom and in which said group is substituted with at least one substituent selected from the group consisting of lower alkyl groups defined above which are unsubstituted or are substituted with at least one substituent selected from the group consisting of heterocyclyl groups defined above and groups of formula $-NR^4R^5$ wherein R^4 and R^5 are as defined above, aryl groups defined above which are unsubstituted or are substituted with at least one substituent selected from Substituents δ defined above, aralkyl groups defined above, carboxy groups, and alkoxycarbonyl groups defined above. Preferably, it is a 4- to 7-membered non-aromatic heterocyclic group containing 1 or 2 heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and nitrogen atoms, wherein at least one of said heteroatoms is a nitrogen atom and in which said group is substituted with 1 or 2 of the above substituents, and most preferably a 5- or 6-membered non-aromatic heterocyclic group containing one or two heteroatoms selected from the group consisting of sulfur atoms, oxygen atoms and

nitrogen atoms, wherein at least one of said heteroatoms is a nitrogen atom and in which said group is substituted with 1 or 2 of the above substituents.

Examples of such groups include 4-methylpiperidin-1-yl, 2,6-dimethyl-morpholin-4-yl, 4-methylpiperazin-1-yl, 4-(2-dimethylaminoethyl)-piperazin-1-yl, 4-[2-(morpholin-4-yl)ethyl]piperazin-1-yl, 4-phenylpiperazin-1-yl, 4-(2-morpholin-4-yl-ethyl)piperazin-1-yl, 4-(3-trifluoromethylphenyl)piperazin-1-yl, 4-(2-methoxyphenyl)-piperazin-1-yl, 4-benzylpiperazin-1-yl and 4-ethoxycarbonylpiperidin-1-yl groups.

Where R^3 , R^4 , R^5 , Substituent β , Substituent γ or Substituent δ represents a lower alkyl group, or R^6 or R^7 represents a lower alkyl group which may optionally be substituted with at least one substituent selected from Substituents α defined above, or R^2 is a cycloalkyl group as defined above which is substituted with a lower alkyl group, or R^2 represents a group of formula $-NR^6R^7$ wherein R^6 and R^7 together with the nitrogen atom to which they are attached represent a heteroaryl or heterocyclyl group as defined above which is substituted with a lower alkyl group, or Substituent α is a heterocyclyl or heteroaryl group as defined above which is substituted with a lower alkyl group, said lower alkyl group is a straight or branched alkyl group having from 1 to 6 carbon atoms. Examples of said lower alkyl groups include methyl, ethyl, propyl, isopropyl, n-butyl, isobutyl, s-butyl, tert-butyl, n-pentyl, isopentyl, 2-methylbutyl, 1-methylbutyl, 1-ethylpropyl, n-hexyl, isohexyl, 4-methylpentyl, 3-methylpentyl, 2-methylpentyl, 1-methylpentyl, 3,3-dimethylbutyl, 2,2-dimethylbutyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,3-dimethylbutyl and 2-ethylbutyl groups. Of these groups, methyl, ethyl, n-propyl, tert-butyl 1-methylbutyl and 1-ethylpropyl groups are more preferred.

Where R^3 , R^4 , R^5 or Substituent β represents an alkylcarbonyl group, said group is a carbonyl group which is substituted with a lower alkyl group as defined and exemplified above. Examples of these alkylcarbonyl groups include formyl, acetyl, propionyl, butyryl, isobutyryl, pentanoyl, pivaloyl, valeryl and isovaleryl groups, of which acetyl groups are preferred.

Where R^3 , R^4 , R^5 or Substituent ϵ represents an aryl group, or R^4 or R^5 represents alkenylcarbonyl group which is substituted with aryl group, or R^6 or R^7 represents an aryl group which is optionally substituted with at least one of Substituents β , or Substituent β is an aryl group which is optionally substituted with at least one alkoxy group, or R^2 represents

a group of formula $-NR^6R^7$ wherein R^6 and R^7 together with the nitrogen atom to which they are attached represent a heterocyclyl or heteroaryl group which is substituted with an aryl group which is itself optionally substituted with at least one of Substituents δ , R^6 or R^7 represents alkenyl carbonyl group which is substituted with aryl group, or X, Y, R^6 or R^7 represents a heterocyclyl or heteroaryl group which is fused with an aryl group, or R^2 represents a group of formula $-NR^6R^7$ wherein R^6 and R^7 together with the nitrogen atom to which they are attached represent a heterocyclyl or heteroaryl group which is fused with an aryl group, said aryl group is an aromatic hydrocarbon group having from 6 to 14 carbon atoms in one or more rings. Preferably said aryl group has from 6 to 10 carbon atoms, and examples include phenyl, naphthyl, phenanthryl and anthracenyl groups. Of these, we prefer phenyl and naphthyl groups, most preferably phenyl groups.

The aryl groups defined and exemplified above may optionally be fused with a cycloalkyl group as defined above and exemplified below or a heterocyclyl group as defined and exemplified above.

Where R^3 , R^4 , R^5 or Substituent ϵ represents an aryl group, phenyl groups are preferred.

Where R^6 or R^7 represents an aryl group, phenyl and naphthalenyl groups are preferred.

Where R^6 or R^7 represents an aryl group which is substituted with at least one of Substituents β , aromatic hydrocarbon groups having from 6 to 14 carbon atoms in one or more rings which are substituted with 1 or 2 of Substituents β are preferred, phenyl and naphthalenyl groups which are substituted with 1 or 2 of Substituents β are more preferred, and phenyl groups which are substituted with 1 or 2 of Substituents β are most preferred. Examples of such groups include 2-, 3-, 4-, 5- and 6-methylphenyl, 2-, 3-, 4-, 5- and 6-ethylphenyl, 2-, 3-, 4-, 5- and 6-methoxyphenyl, 2-, 3-, 4-, 5- and 6-ethoxyphenyl, 2-, 3-, 4-, 5- and 6-methylsulfanylphenyl, 2-, 3-, 4-, 5- and 6-trifluoromethylphenyl, 2-, 3-, 4-, 5- and 6-chlorophenyl, 2-, 3-, 4-, 5- and 6-acetylphenyl, biphenyl-2-yl, biphenyl-3-yl, 2-, 3-, 4-, 5- and 6-benzylphenyl, 2-, 3-, 4-, 5- and 6-benzyloxyphenyl, 2-, 3-, 4-, 5- and 6-(piperidin-1-yl)phenyl, 2,5-dimethoxy-phenyl, 3-methoxy-5-trifluoromethylphenyl, 2-methoxy-5-methylphenyl, 3,5-bis-trifluoromethylphenyl and 4-methoxybiphenyl-3-yl groups.

Where Substituent β represents an aryl group which is optionally substituted with at least one alkoxy group as defined above, phenyl groups which are optionally substituted with 1 or 2 alkoxy groups are preferred, examples of which include phenyl and 4-methoxyphenyl groups.

Where X, Y, R^6 or R^7 represents a heterocyclyl or heteroaryl group which is fused with an aryl group said aryl group preferably has from 6 to 10 carbon atoms, and is most preferably a phenyl group.

Where R^2 represents a group of formula $-NR^6R^7$ wherein R^6 and R^7 together with the nitrogen atom to which they are attached represent a heterocyclyl or heteroaryl group which is fused with an aryl group, said aryl group preferably has from 6 to 10 carbon atoms, and is most preferably a phenyl group. Examples of such a substituent R^2 include 2,3-dihydrobenzo[1,4]-dioxin-6-yl groups.

Where R^2 , R^3 , R^4 , R^5 , Substituent α , Substituent β , Substituent δ or Substituent ϵ represents an alkoxy group, or R^6 or R^7 represents an alkyl group as defined above which is substituted with an alkoxy group, or Substituent β represents an aryl group which is substituted with an alkoxy group, said alkoxy group is a lower alkyl group as defined and exemplified above which is bonded to an oxygen atom. The alkoxy groups are preferably straight or branched alkoxy groups having 1 to 4 carbon atoms, more preferably methoxy, ethoxy, propoxy, isopropoxy or butoxy groups, and particularly preferably methoxy or ethoxy groups.

Where R^6 or R^7 represents a lower alkenyl group, said lower alkenyl group is a straight or branched alkenyl group having from 2 to 6 carbon atoms, examples of which include vinyl, allyl, 1-methyl-2-propenyl, 2-methyl-2-propenyl, 2-ethyl-2-propenyl, 2-butenyl, 1-methyl-2-butenyl, 2-methyl-2-butenyl, 1-ethyl-2-butenyl, 3-butenyl, 1-methyl-3-butenyl, 2-methyl-3-butenyl, 1-ethyl-3-butenyl, 2-pentenyl, 1-methyl-2-pentenyl, 2-methyl-2-pentenyl, 3-pentenyl, 1-methyl-3-pentenyl, 2-methyl-3-pentenyl, 4-pentenyl, 1-methyl-4-pentenyl, 2-methyl-4-pentenyl, 2-hexenyl, 3-hexenyl, 4-hexenyl and 5-hexenyl groups. Alkenyl groups having from 2 to 4 carbon atoms are preferred, alkenyl groups having 2 or 3 carbon atoms are more preferred and allyl groups are most preferred.

Where Substituent α or Substituent ϵ represents a cycloalkyl group or R^6 or R^7 represents a cycloalkyl group which may optionally be substituted with a lower alkyl group as defined and exemplified above, said cycloalkyl group is a cycloalkyl group having from 3 to 7 carbon atoms, examples of which include cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl groups which, for R^6 or R^7 , may optionally be substituted with 1 or 2 lower alkyl groups. Of these, cycloalkyl groups having from 3 to 6 carbon atoms are preferred for Substituents α or Substituent ϵ and cyclopropyl groups are particularly preferred, while cycloalkyl groups having from 3 to 6 carbon atoms which are optionally substituted with an alkyl group having from 1 to 4 carbon atoms are preferred for R^6 or R^7 and cyclobutyl, cyclohexyl and 2-methylcyclohexyl groups are particularly preferred. In the case of R^6 or R^7 , the cycloalkyl group may optionally be fused with an aryl group as defined and exemplified above, examples of which include 1,2,3,4-tetrahydronaphthalen-1-yl groups.

Where R^3 or Substituent β represents an aralkyl group, or R^6 or R^7 represents an aralkyl group which is optionally substituted with at least one alkoxy group or haloalkyl group, or R^2 represents a group of formula $-NR^6R^7$ wherein R^6 and R^7 together with the nitrogen atom to which they are attached represents a heterocyclyl or heteroaryl group which is substituted with an aralkyl group, said aralkyl group is a lower alkyl group as defined and exemplified above which is substituted with at least one aryl group as defined and exemplified above.

Examples of said aralkyl groups include benzyl, phenanthrenylmethyl, anthracenylmethyl, α -naphthylmethyl, β -naphthylmethyl, diphenylmethyl, triphenylmethyl, α -naphthyldiphenylmethyl, 9-anthrylmethyl, piperonyl, 1-phenethyl, 2-phenethyl, 1-naphthylethyl, 2-naphthylethyl, 1-phenylpropyl, 2-phenylpropyl,

3-phenylpropyl, 3,3-diphenylpropyl, 1-naphthylpropyl, 2-naphthylpropyl, 3-naphthylpropyl, 1-phenylbutyl, 2-phenylbutyl, 3-phenylbutyl, 4-phenylbutyl, 1-naphthylbutyl, 2-naphthylbutyl, 3-naphthylbutyl, 4-naphthylbutyl, 1-phenylpentyl, 2-phenylpentyl, 3-phenylpentyl, 4-phenylpentyl, 5-phenylpentyl, 1-naphthylpentyl, 2-naphthylpentyl, 3-naphthylpentyl, 4-naphthylpentyl, 5-naphthylpentyl, 1-phenylhexyl, 2-phenylhexyl, 3-phenylhexyl, 4-phenylhexyl, 5-phenylhexyl, 6-phenylhexyl, 1-naphthylhexyl, 2-naphthylhexyl, 3-naphthylhexyl, 4-naphthylhexyl, 5-naphthylhexyl and 6-naphthylhexyl groups, of which benzyl, phenanthrenylmethyl, anthracenylmethyl, α -naphthylmethyl, β -naphthylmethyl, diphenylmethyl, triphenylmethyl, 9-anthrylmethyl, piperonyl, 1-phenethyl, 2-phenethyl, 1-phenylpropyl, 2-phenylpropyl, 3-phenylpropyl, 3,3-

diphenylpropyl, 1-phenylbutyl, 2-phenylbutyl, 3-phenylbutyl and 4-phenylbutyl groups are preferred and benzyl, diphenylmethyl, 2-phenethyl and 3,3-diphenylpropyl groups are most preferred.

Where R^3 or Substituent β represents an aralkyl group, alkyl groups having from 1 to 4 carbon atoms which are substituted with 1 or 2 phenyl groups are preferred and benzyl groups are most preferred.

Where R^6 or R^7 represents an aralkyl group, alkyl groups having from 1 to 4 carbon atoms which are substituted with 1 or 2 phenyl groups are preferred and benzyl, diphenylmethyl, 3,3-diphenylpropyl and 2-phenethyl groups are most preferred.

Where R^6 or R^7 represents an aralkyl group which is substituted with at least one substituent selected from alkoxy groups as defined and exemplified above and haloalkyl groups as defined above and exemplified below, alkyl groups having from 1 to 4 carbon atoms which are substituted with 1 or 2 phenyl groups which are substituted with 1 or 2 substituents selected from alkoxy groups and haloalkyl groups are preferred and alkyl groups having from 1 to 4 carbon atoms which are substituted with 1 or 2 phenyl groups which are substituted with 1 or 2 substituents selected from alkoxy groups having from 1 to 4 carbon atoms and haloalkyl groups having from 1 to 4 carbon atoms are more preferred, examples of which include 2-trifluoromethylbenzyl, 3,5-bis-trifluoromethyl-benzyl, 2-methoxybenzyl, 2-(2-methoxyphenyl)ethyl, 2-(3-methoxyphenyl)ethyl, 2-(4-methoxyphenyl)ethyl and 2,6-dimethoxybenzyl groups.

The aryl moieties of the aralkyl groups above may be fused with a cycloalkyl group as defined and exemplified above or a heterocyclyl group as defined and exemplified above, said fused aralkyl groups including benzo[1,3]dioxol-5-ylmethyl groups.

Where R^3 , Substituent β or Substituent δ represents a haloalkyl group, or R^6 or R^7 represents an aralkyl group as defined above which is substituted with a haloalkyl group, said haloalkyl group is a lower alkyl group as defined and exemplified above which is substituted with at least one halogen atom as exemplified below. Haloalkyl groups having from 1 to 4 carbon atoms are preferred, trifluoromethyl, trichloromethyl, difluoromethyl, dichloromethyl, dibromomethyl, fluoromethyl, 2,2,2-trichloroethyl, 2,2,2-trifluoroethyl, 2-bromoethyl, 2-chloroethyl, 2-fluoroethyl and 2,2-dibromoethyl groups are more preferred, trifluoromethyl,

trichloromethyl, difluoromethyl and fluoromethyl groups are still more preferred, and trifluoromethyl groups are most preferred.

Where Substituent γ and Substituent ϵ represents an alkoxycarbonyl group, or R^2 represents a group of formula $-NR^6R^7$ wherein R^6 and R^7 together with the nitrogen atom to which they are attached represent a heterocyclyl or heteroaryl group as defined and exemplified above which is substituted with an alkoxycarbonyl group, or Substituent α represents a heteroaryl group as defined and exemplified above which is substituted with an alkoxycarbonyl group, said alkoxycarbonyl group is a carbonyl group which is substituted with an alkoxy group as defined and exemplified above. Examples of such groups include methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, butoxycarbonyl, s-butoxycarbonyl, tert-butoxycarbonyl and isobutoxycarbonyl groups, of which methoxycarbonyl and ethoxycarbonyl groups are preferred.

Where Substituent α represents an arylamino group, this is an amino group which is substituted with an aryl group as defined and exemplified above, examples of which include phenylamino groups.

Where R^3 or Substituent β represents an alkylthio group, said alkylthio group is a lower alkyl group as defined and exemplified above which is bonded to a sulfur atom.

The alkylthio groups preferably have from 1 to 4 carbon atoms, and are more preferably selected from the group consisting of methylthio and ethylthio groups.

The halogen atoms in the definition of R^3 and Substituent group β or Substituent group ϵ include fluorine, chlorine, bromine and iodine atoms, of which fluorine and chlorine atoms are preferred and chlorine atoms are most preferred.

Where R^3 or Substituent β represents an aralkyloxy group, said aralkyloxy group is an alkoxy group as defined and exemplified above which is substituted with at least one aryl group as defined and exemplified above, examples of which include benzyloxy groups.

Where Substituent ϵ represents an aryloxy group, said aryloxy group is an aryl group as defined and exemplified above which is bonded to an oxygen atom. The aryloxy groups are preferably phenyloxy group.

Where Substituent ϵ represents an alkylcarbonyloxy group, said alkylcarbonyloxy group is an alkylcarbonyl group as defined and exemplified above which is bonded to an oxygen atom. The alkylcarbonyl groups are preferably acetyloxy group.

Where R^4 or R^5 represents an arylcarbonyl group, said arylcarbonyl group is a carbonyl group which is substituted with an aryl group as defined and exemplified above. The arylcarbonyl groups are preferably benzoyl group.

Where R^4 or R^5 represents a heteroarylcarbonyl group, said heteroarylcarbonyl group is a carbonyl group which is substituted with a heteroaryl group as defined and exemplified above. The heteroarylcarbonyl groups are preferably furylcarbonyl, thienylcarbonyl and pyridylcarbonyl groups.

Where R^4 or R^5 represents a cycloalkylcarbonyl group, said cycloalkylcarbonyl group is a carbonyl group which is substituted with a cycloalkyl group as defined and exemplified above. The cycloalkylcarbonyl groups are preferably cycloalkyl groups having from 3 to 6 carbon atoms.

Where R^4 or R^5 represents an alkenylcarbonyl group which may be substituted with an aryl group, said alkenylcarbonyl group is a carbonyl group which is substituted with an alkenyl group as defined and exemplified above. The alkenylcarbonyl groups are preferably alkenylcarbonyl groups having from 3 to 5 carbon atoms which may be substituted with an aryl group, more preferably alkenylcarbonyl groups having 3 or 4 carbon atoms which may be substituted with a phenyl or naphthyl group, and most preferably allyl groups which may be substituted with a phenyl group.

Where the compounds of formula (I) of the present invention have a basic group, such as an amino group, the compound can be converted to a salt by reacting it with an acid, and in the case where the compounds of formula (I) of the present invention have an acidic group, such as a carboxy group, the compound can be converted to a salt by reacting it with a base. The compounds of the present invention encompass such salts. Where said salts are to be used for a therapeutic use, they must be pharmacologically acceptable, i.e. on administration of said salt to the body of a live mammal they must have a similar activity to the compound of formula (I) and have a similar toxicity.

Preferred examples of the salts formed with a basic group present in the compound of formula (I) of the present invention include inorganic acid salts such as hydrohalogenated acid salts (e.g. hydrochlorides, hydrobromides and hydroiodides), nitrates, perchlorates, sulfates and phosphates; organic acid salts such as lower alkanesulfonates in which the lower alkyl moiety thereof is as defined above (e.g. methanesulfonates, trifluoromethanesulfonates and ethanesulfonates), arylsulfonates in which the aryl moiety thereof is as defined above (e.g. benzenesulfonate or p-toluenesulfonate), acetates, malates, fumarates, succinates, citrates, ascorbates, tartrates, oxalates and maleates; and amino acid salts such as glycine salts, lysine salts, arginine salts, ornithine salts, glutamates and aspartates.

Preferred example of the salts formed with an acidic group present in the compound of formula (I) of the present invention include metal salts such as alkali metal salts (e.g. sodium salts, potassium salts and lithium salts), alkali earth metal salts (e.g. calcium salts and magnesium salts), aluminum salts and iron salts; amine salts such as inorganic amine salts (e.g. ammonium salts) and organic amine salts (e.g. t-octylamine salts, dibenzylamine salts, morpholine salts, glucosamine salts, phenylglycinealkyl ester salts, ethylenediamine salts, N-methylglucamine salts, guanidine salts, diethylamine salts, triethylamine salts, dicyclohexylamine salts, N,N'-dibenzylethylenediamine salts, chlorprocaine salts, procaine salts, diethanolamine salts, N-benzylphenethylamine salts, piperazine salts, tetramethylammonium salts and tris(hydroxymethyl)aminomethane salts; and amino acid salts such as glycine salts, lysine salts, arginine salts, ornithine salts, glutamates and aspartates.

The compounds of formula (I) of the present invention can sometimes take up water upon exposure to the atmosphere or when recrystallized to absorb water or to form a hydrate and such hydrates are also included within the scope of the present invention. Additionally, certain other solvents may be taken up by the compounds of the present invention to produce solvates, which also form a part of the present invention.

The compounds of formula (I) of the present invention can sometimes exist in the form of geometrical isomers (cis and trans isomers) and, where said compounds contain one or more asymmetric centres, optical isomers. For the compounds of the present invention, each of said isomers and mixture of said isomers are depicted by a single formula, i.e. the formula (I). Accordingly, the present invention covers both the individual isomers and mixtures thereof in any proportion, including racemic mixtures.

Preferred classes of compounds of the present invention are those compounds of formula (I) and pharmacologically acceptable salts thereof wherein:

(1) X represents a phenyl group which is substituted with 1 of substituents R^1 or X represents a pyridine group or a pyrimidine group;

(2) X represents a phenyl group which is substituted with 1 of substituents R^1 , wherein R^1 is selected from the group consisting of nitro groups and groups of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is selected from the group consisting of hydrogen atoms, alkyl groups having from 1 to 4 carbon atoms, alkylcarbonyl groups the alkyl moiety thereof having from 1 to 4 carbon atoms and aryl groups having from 6 to 10 carbon atoms or X represents a pyridine group;

(3) X represents a phenyl group which is substituted with a group of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is selected from the group consisting of hydrogen atoms, methyl groups, ethyl groups, acetyl groups and phenyl groups or X represents a pyridine group;

(4) X represents a phenyl group which is substituted with a substituent selected from the group consisting of amino, methylamino, dimethylamino and acetylamino groups or X represents a pyridine group;

(5) X is selected from the group consisting of 4-aminophenyl, 4-acetyl amino and 4-dimethylamino groups;

(6) Y represents a phenyl group which is optionally substituted with 1 or 2 of substituents R^3 ;

(7) Y represents a phenyl group which is optionally substituted with 1 or 2 substituents selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms, haloalkyl groups having from 1 to 4 carbon atoms and phenyl groups;

(8) Y represents a phenyl group which is substituted with a substituent selected from the group consisting of methyl, ethyl, tert-butyl and trifluoromethyl groups;

(9) Y represents a 4-tert-butylphenyl group or a 4-trifluoromethylphenyl group;

(10) R² is selected from the group consisting of hydroxy groups, alkoxy groups having from 1 to 6 carbon atoms and groups of formula -NR⁶R⁷ wherein R⁶ and R⁷ are the same or different and each is selected from the group consisting of:

hydrogen atoms;

alkyl groups having from 1 to 6 carbon atoms which are optionally substituted with 1 or 2 of Substituents α^1 defined below;

alkenyl groups having from 2 to 4 carbon atoms;

cycloalkyl groups having from 3 to 6 carbon atoms which are optionally substituted with an alkyl group having from 1 to 4 carbon atoms, said cycloalkyl groups optionally being fused with an aryl group having from 6 to 10 carbon atoms;

groups of formula -NR⁴R⁵ wherein R⁴ and R⁵ are the same or different and each is selected from the group consisting of hydrogen atoms and aryl groups having from 6 to 10 carbon atoms;

aryl groups having from 6 to 10 carbon atoms which are optionally substituted with 1 or 2 of Substituents β^1 defined below and which are further optionally fused with a 4- to 7-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

alkyl groups having from 1 to 4 carbon atoms which are substituted with 1 or 2 aryl groups having from 6 to 10 carbon atoms, said aryl groups optionally being substituted with 1 or 2 substituents selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms and haloalkyl groups having from 1 to 4 carbon atoms, said aryl groups optionally being fused with a 4- to 7- membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

4- to 7- membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heterocyclyl groups optionally being substituted with 1 or 2 of Substituents γ^1 defined below;

5- or 6- membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heteroaryl groups optionally being substituted with 1 or 2 of Substituents γ^1 defined below and further optionally being fused with an aryl group having from 6 to 10 carbon atoms;

or R⁶ and R⁷ together with the nitrogen atom to which they are attached represent a 4- to 7-membered heterocyclyl group or a 5- or 6-membered heteroaryl group,

said heterocyclyl and heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, at least one of said heteroatoms being a nitrogen atom, said heterocyclyl and heteroaryl groups optionally being substituted with 1 or 2 substituents selected from the group consisting of

alkyl groups having from 1 to 4 carbon atoms which are optionally substituted with 1 or 2 substituents selected from the group consisting of groups of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is selected from the group consisting of hydrogen atoms and alkyl groups having from 1 to 4 carbon atoms, and 4- to 7-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms,

aryl groups having from 6 to 10 carbon atoms which are optionally substituted with 1 or 2 of Substituents δ^1 defined below,

alkyl groups having from 1 to 4 carbon atoms which are substituted with an aryl group having from 6 to 10 carbon atoms, and

alkoxycarbonyl groups wherein the alkoxy moiety has from 1 to 4 carbon atoms,

said heterocyclyl and heteroaryl groups optionally being fused with an aryl group having from 6 to 10 carbon atoms;

Substituents α^1 are selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms, cycloalkyl groups having from 3 to 6 carbon atoms, 4- to 7-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms which are unsubstituted or are substituted with 1 or 2 alkyl groups having from 1 to 4 carbon atoms, and 5- or 6-membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms which are unsubstituted or are substituted with 1 or 2 substituents selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms and alkoxycarbonyl groups the alkoxy moiety thereof having from 1 to 4 carbon atoms;

Substituents β^1 are selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms, alkoxy groups having from 1 to 4 carbon atoms, alkylthio groups having from 1 to 4 carbon atoms, haloalkyl groups having from 1 to 4 carbon atoms, halogen atoms, alkylcarbonyl groups the alkyl moiety thereof having from 1 to 4 carbon atoms, aryl groups having from 6 to 10 carbon atoms which are unsubstituted or are substituted with 1 or 2 alkoxy groups having from 1 to 4 carbon atoms, alkyl groups having from 1 to 4 carbon atoms which are substituted with 1 or 2 aryl groups having from 6 to 10 carbon atoms, alkoxy groups having from 1 to 4 carbon atoms which are substituted with 1 or 2 aryl groups having

from 6 to 10 carbon atoms, 4- to 7-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group

consisting of nitrogen, oxygen and sulfur atoms and 5- or 6-membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

Substituents γ^1 are selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms, carboxy groups and alkoxycarbonyl groups the alkoxy moiety thereof having from 1 to 4 carbon atoms;

Substituents δ^1 are selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms, alkoxy groups having from 1 to 4 carbon atoms and haloalkyl groups having from 1 to 4 carbon atoms;

(11) R^2 is selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms and groups of formula $-NR^6R^7$ wherein R^6 and R^7 are the same or different and each is selected from the group consisting of:

hydrogen atoms;

alkyl groups having from 1 to 6 carbon atoms which are optionally substituted with 1 or 2 of Substituents α^2 defined below;

alkenyl groups having from 2 to 4 carbon atoms;

cycloalkyl groups having from 4 to 6 carbon atoms which are optionally substituted with an alkyl group having from 1 to 4 carbon atoms, said cycloalkyl groups optionally being fused with a phenyl group;

groups of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is selected from the group consisting of hydrogen atoms and phenyl groups;

aryl groups having from 6 to 10 carbon atoms which are optionally substituted with 1 or 2 of Substituents β^2 defined below and which are further optionally fused with a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

alkyl groups having from 1 to 4 carbon atoms which are substituted with 1 or 2 phenyl groups, said phenyl groups optionally being substituted with 1 or 2 substituents selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms and haloalkyl groups having from 1 to 4 carbon atoms, said phenyl groups optionally further being fused with a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

5- or 6- membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heterocyclyl groups optionally being substituted with an alkoxycarbonyl group the alkoxy moiety thereof having from 1 to 4 carbon atoms;

5- or 6- membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heteroaryl groups optionally being substituted with 1 or 2 of Substituents γ^2 defined below and further optionally being fused with a phenyl group;

or R^6 and R^7 together with the nitrogen atom to which they are attached represent a 5- or 6-membered heterocyclyl or heteroaryl group, said heterocyclyl and heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, at least one of said heteroatoms being a nitrogen atom, said heterocyclyl and heteroaryl groups optionally being substituted with 1 or 2 substituents selected from the group consisting of

alkyl groups having from 1 to 4 carbon atoms which are optionally substituted with a group of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is an alkyl group having from 1 to 4 carbon atoms, or a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms,

aryl groups having from 6 to 10 carbon atoms which are optionally substituted with a Substituent δ^2 defined below,

alkyl groups having from 1 to 4 carbon atoms which are substituted with a phenyl group, and

alkoxycarbonyl groups wherein the alkoxy moiety has from 1 to 4 carbon atoms,

said heterocyclyl and heteroaryl groups optionally being fused with a phenyl group;

Substituents α^2 are selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms, cyclopropyl groups, cyclobutyl groups, 5- or 6-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms which are unsubstituted or are substituted with an alkyl group having from 1 to 4 carbon atoms, and 5- or 6-membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms which are unsubstituted or are substituted with 1 or 2 substituents selected from the group consisting of alkyl groups

having from 1 to 4 carbon atoms and alkoxy carbonyl groups the alkoxy moiety thereof having from 1 to 4 carbon atoms;

Substituents β^2 are selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms, alkoxy groups having from 1 to 4 carbon atoms, alkylthio groups having from 1 to 4 carbon atoms, haloalkyl groups having from 1 to 4 carbon atoms, fluorine atoms, chlorine atoms, alkyl carbonyl groups the alkyl moiety thereof having from 1 to 4 carbon atoms, aryl groups having from 6 to 10 carbon atoms which are unsubstituted or are substituted with an alkoxy group having from 1 to 4 carbon atoms, alkyl groups having from 1 to 4 carbon atoms which are substituted with a phenyl group, alkoxy groups having from 1 to 4 carbon atoms which are substituted with a phenyl group and 5- or 6-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

Substituents γ^2 are selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms and alkoxy carbonyl groups the alkoxy moiety thereof having from 1 to 4 carbon atoms;

Substituents δ^1 are selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms and haloalkyl groups having from 1 to 4 carbon atoms;

(12) R^2 is selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms and groups of formula $-NR^6R^7$ wherein R^6 and R^7 are the same or different and each is selected from the group consisting of:

hydrogen atoms;

alkyl groups having from 1 to 6 carbon atoms which are optionally substituted with a Substituent α^3 defined below;

allyl groups;

cycloalkyl groups having from 4 to 6 carbon atoms which are optionally substituted with a methyl or ethyl group, said cycloalkyl groups optionally being fused with a phenyl group;

phenylhydrazido groups;

phenyl and naphthyl groups and phenyl groups which are optionally substituted with 1 or 2 of Substituents β^3 defined below and which are further optionally fused with a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

alkyl groups having from 1 to 3 carbon atoms which are substituted with 1 or 2 phenyl groups, and benzyl and phenethyl groups which are substituted with 1 or 2

substituents selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms and haloalkyl groups having from 1 to 4 carbon atoms, the phenyl groups of these aralkyl groups optionally further being fused with a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

5- or 6- membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heterocyclyl groups optionally being substituted with a methoxycarbonyl or ethoxycarbonyl group;

5- or 6- membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heteroaryl groups optionally being substituted with 1 or 2 of Substituents γ^2 defined above and further optionally being fused with a phenyl group;

or R^6 and R^7 together with the nitrogen atom to which they are attached represent a 5- or 6-membered heteroaryl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, at least one of said heteroatoms being a nitrogen atom, or a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, at least one of said heteroatoms being a nitrogen atom, said heterocyclyl group optionally being substituted with 1 or 2 substituents selected from the group consisting of

alkyl groups having from 1 to 4 carbon atoms which are optionally substituted with a group of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is a methyl or ethyl group, or a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms,

phenyl groups which are optionally substituted with a Substituent δ^2 defined above,

benzyl groups, and

methoxycarbonyl and ethoxycarbonyl groups,

said heterocyclyl group optionally being fused with a phenyl group;

Substituents α^3 are selected from the group consisting of methoxy groups, ethoxy groups, cyclopropyl groups, cyclobutyl groups, 5- or 6-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms which are unsubstituted or are substituted with a methyl or ethyl group, and 5- or 6-membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms which are unsubstituted or are substituted with 1 or 2

substituents selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms, methoxycarbonyl groups and ethoxycarbonyl groups; and

Substituents β^3 are selected from the group consisting of methyl groups, ethyl groups, methoxy groups, ethoxy groups, methylthio groups, ethylthio groups, methyl or ethyl groups which are substituted with from 1 to 3 fluorine or chlorine atoms, fluorine atoms, chlorine atoms, acetyl groups, propionyl groups, phenyl groups which are unsubstituted or are substituted with a methoxy or ethoxy group, benzyl groups, benzyloxy and 5- or 6-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

(13) R^2 is selected from the group consisting of methoxy groups, ethoxy groups and groups of formula $-NR^6R^7$ wherein R^6 and R^7 are the same or different and each is selected from the group consisting of:

hydrogen atoms;

methyl, ethyl, 1-methylbutyl and 1-ethylpropyl groups,

methyl, ethyl and propyl groups substituted with a Substituent α^4 defined below;

allyl groups;

cyclobutyl and cyclohexyl groups which are optionally substituted with a methyl group, said cyclobutyl and cyclohexyl groups optionally being fused with a phenyl group;

phenylhydrazido groups;

phenyl and naphthyl groups and phenyl groups which are optionally substituted with 1 or 2 of Substituents β^4 defined below and which are further optionally fused with a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen and oxygen atoms;

benzyl, diphenylmethyl and 3,3-diphenylpropyl groups, and benzyl and phenethyl groups which are substituted with 1 or 2 substituents selected from the group consisting of methoxy, ethoxy and trifluoromethyl groups, the phenyl groups of these aralkyl groups optionally further being fused with a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

6- membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen and oxygen atoms, said heterocyclyl groups optionally being substituted with a methoxycarbonyl or ethoxycarbonyl group;

5- or 6- membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heteroaryl groups optionally being substituted with 1 or 2 substituents selected from the group consisting of methyl, ethyl,

methoxycarbonyl and ethoxycarbonyl groups and said heteroaryl groups further optionally being fused with a phenyl group;

or R⁶ and R⁷ together with the nitrogen atom to which they are attached represent a 5- or 6-membered heteroaryl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, at least one of said heteroatoms being a nitrogen atom, or a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, at least one of said heteroatoms being a nitrogen atom, said heterocyclyl group optionally being substituted with 1 or 2 substituents selected from the group consisting of

methyl and ethyl groups which are optionally substituted with a dimethylamino group or a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen and oxygen atoms,

phenyl groups which are optionally substituted with a substituent selected from the group consisting of methoxy, ethoxy and trifluoromethyl groups,

benzyl groups, and

methoxycarbonyl and ethoxycarbonyl groups,

said heterocyclyl group optionally being fused with a phenyl group;

Substituents α^4 are selected from the group consisting of methoxy groups, cyclopropyl groups, 5- or 6-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen and oxygen atoms which are unsubstituted or are substituted with a methyl group, and 5- or 6-membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen and sulfur atoms which are unsubstituted or are substituted with 1 or 2 substituents selected from the group consisting of methyl groups and methoxycarbonyl groups; and

Substituents β^4 are selected from the group consisting of methyl groups, methoxy groups, ethoxy groups, trifluoromethyl groups, methylthio groups, chlorine atoms, acetyl groups, phenyl groups which are unsubstituted or are substituted with a methoxy group, benzyl groups, benzyloxy groups and 5- or 6-membered heterocyclyl groups having 1 or 2 nitrogen heteroatoms;

(14) R^2 is selected from the group consisting of ethoxy groups and groups of formula $-NR^6R^7$ wherein R^6 and R^7 are the same or different and each is selected from the group consisting of:

- hydrogen atoms;
- methyl, ethyl, 1-methylbutyl and 1-ethylpropyl groups,
- 2-methoxyethyl, cyclopropylmethyl, 3-(morpholin-4-yl)propyl, tetrahydrofuran-2-ylmethyl, 2-(pyrrolidin-1-yl)ethyl, 3-(pyrrolidin-1-yl)propyl, 3-(4-methylpiperazin-1-yl)propyl, 2-methoxycarbonyl-4-methylthiophen-3-ylmethyl, 3-(imidazol-1-yl)propyl, thiophen-2-ylmethyl and pyridin-2-ylmethyl groups;
- allyl groups;
- cyclobutyl groups, 2-methylcyclohexyl groups and 1,2,3,4-tetrahydronaphthalen-1-yl groups;
- phenylhydrazido groups;
- phenyl and naphthyl groups;
- 2-, 3-, 4-, 5- and 6-methylphenyl, 2-, 3-, 4-, 5- and 6-ethylphenyl, 2-, 3-, 4-, 5- and 6-methoxyphenyl, 2-, 3-, 4-, 5- and 6-ethoxyphenyl, 2-, 3-, 4-, 5- and 6-methyl-sulfanylphenyl, 2-, 3-, 4-, 5- and 6-trifluoromethylphenyl, 2-, 3-, 4-, 5- and 6-chloro-phenyl, 2-, 3-, 4-, 5- and 6-acetylphenyl, biphenyl-2-yl, biphenyl-3-yl, 2-, 3-, 4-, 5- and 6-benzylphenyl, 2-, 3-, 4-, 5- and 6-benzyloxyphenyl, 2-, 3-, 4-, 5- and 6-(piperidin-1-yl)phenyl, 2,5-dimethoxyphenyl, 3-methoxy-5-trifluoromethylphenyl, 2-methoxy-5-methylphenyl, 3,5-bis-trifluoromethylphenyl and 4-methoxybiphenyl-3-yl groups;
- 2,3-dihydrobenzo[1,4]dioxin-6-yl groups;
- benzyl, diphenylmethyl and 3,3-diphenylpropyl groups;
- 2-trifluoromethylbenzyl, 3,5-bis-trifluoromethylbenzyl, 2-methoxybenzyl, 2-(2-methoxyphenyl)ethyl, 2-(3-methoxyphenyl)ethyl, 2-(4-methoxyphenyl)ethyl and 2,6-dimethoxybenzyl groups;
- benzo[1,3]dioxol-5-ylmethyl groups,
- 1-ethoxycarbonylpiperidin-4-yl groups;
- thiazol-2-yl groups;
- 2-ethyl-2H-pyrazol-3-yl, 5-methylisoxazol-3-yl, 2-ethoxycarbonyl-4-methylthiophen-2-yl and 2-methoxycarbonylthiophen-2-yl groups; and
- benzothiazol-2-yl groups;
- or R^6 and R^7 together with the nitrogen atom to which they are attached represent a substituent selected from the group consisting of thiazolidin-3-yl, pyrrolidin-1-yl, pyrrolin-1-yl, piperidin-1-yl, piperazin-1-yl, morpholin-4-yl, thiomorpholin-1-yl, 2,5-

dihydropyrrol-1-yl, decahydroquinolin-1-yl, 4-methylpiperidin-1-yl, 2,6-dimethyl-morpholin-4-yl, 4-methylpiperazin-1-yl, 4-(2-dimethylaminoethyl)piperazin-1-yl, 4-[2-(morpholin-4-yl)ethyl]piperazin-1-yl, 4-phenylpiperazin-1-yl, 4-(2-morpholin-4-yl-ethyl)piperazin-1-yl, 4-(3-trifluoromethylphenyl)piperazin-1-yl, 4-(2-methoxyphenyl)-piperazin-1-yl, 4-benzylpiperazin-1-yl, 3,4-dihydro-2H-quinolin-1-yl and 4-ethoxycarbonylpiperidin-1-yl groups; and

(15) R^2 is selected from the group consisting of ethoxy groups and groups of formula $-NR^6R^7$ wherein R^6 and R^7 are the same or different and each is selected from the group consisting of:

hydrogen atoms, methyl groups, thiophen-2-ylmethyl groups, cyclobutyl groups, phenyl groups, naphthyl groups, 2-methoxyphenyl groups, 4-methoxyphenyl groups, 3-ethoxyphenyl groups, 3-methylsulfanylphenyl groups, 4-chlorophenyl groups, biphenyl-2-yl groups, 3-benzyloxyphenyl groups, 4-(piperidin-1-yl)phenyl groups, 2,5-dimethoxyphenyl groups, 3-methoxy-5-trifluoromethylphenyl groups, 2-methoxy-5-methylphenyl groups, 2-trifluoromethylbenzyl groups, 1-ethoxycarbonylpiperidin-4-yl groups, thiazol-2-yl groups and 5-methylisoxazol-3-yl groups;

or R^6 and R^7 together with the nitrogen atom to which they are attached represent a substituent selected from the group consisting of thiazolidin-3-yl, 3,4-dihydro-2H-quinolin-1-yl, 2,5-dihydropyrrol-1-yl, 4-phenylpiperazin-1-yl, 4-(2-methoxyphenyl)piperazin-1-yl and 4-benzylpiperazin-1-yl groups.

More preferred classes of compounds of the present invention are those compounds of formula (I) and pharmacologically acceptable salts thereof wherein:

(i) X is as defined in (1) above, Y is as defined in (6) above and R^2 is as defined in (10) above;

(ii) X is as defined in (2) above, Y is as defined in (7) above and R^2 is as defined in (11) above;

(iii) X is as defined in (3) above, Y is as defined in (7) above and R^2 is as defined in (12) above;

(iv) X is as defined in (3) above, Y is as defined in (7) above and R^2 is as defined in (13) above;

(v) X is as defined in (3) above, Y is as defined in (7) above and R^2 is as defined in (14) above;

(vi) X is as defined in (3) above, Y is as defined in (7) above and R^2 is as defined in (15) above;

(vii) X is as defined in (4) above, Y is as defined in (8) above and R^2 is as defined in (13) above;

(viii) X is as defined in (4) above, Y is as defined in (8) above and R^2 is as defined in (14) above;

(ix) X is as defined in (4) above, Y is as defined in (8) above and R^2 is as defined in (15) above;

(x) X is as defined in (5) above, Y is as defined in (9) above and R^2 is as defined in (13) above;

(xi) X is as defined in (5) above, Y is as defined in (9) above and R^2 is as defined in (14) above; and

(xii) X is as defined in (5) above, Y is as defined in (9) above and R^2 is as defined in (15) above.

Particularly preferred compounds of the present invention are those compounds of formula (I) and pharmacologically acceptable salts thereof selected from the group consisting of:

N-(2-trifluoromethylbenzyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)-oxazole-4-carboxamide;

5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)-4-[(4-phenylpiperazin-1-yl)carbonyl]oxazole;

N-(2-methoxy-5-methylphenyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide;

5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)-4-[[4-(2-methoxyphenyl)-piperazin-1-yl]carbonyl]oxazole;

N-(2,5-dimethoxyphenyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)-oxazole-4-carboxamide;

N-(naphthalen-1-yl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide;

N-(2-methoxyphenyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide;

N-(3-methylsulfanylphenyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)-oxazole-4-carboxamide;

N-[4-(piperidin-1-yl)phenyl]-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)-oxazole-4-carboxamide;

N-(4-methoxyphenyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide;

N-allyl-5-(4-dimethylamino-phenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxamide;

N-(2-trifluoromethylbenzyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide;

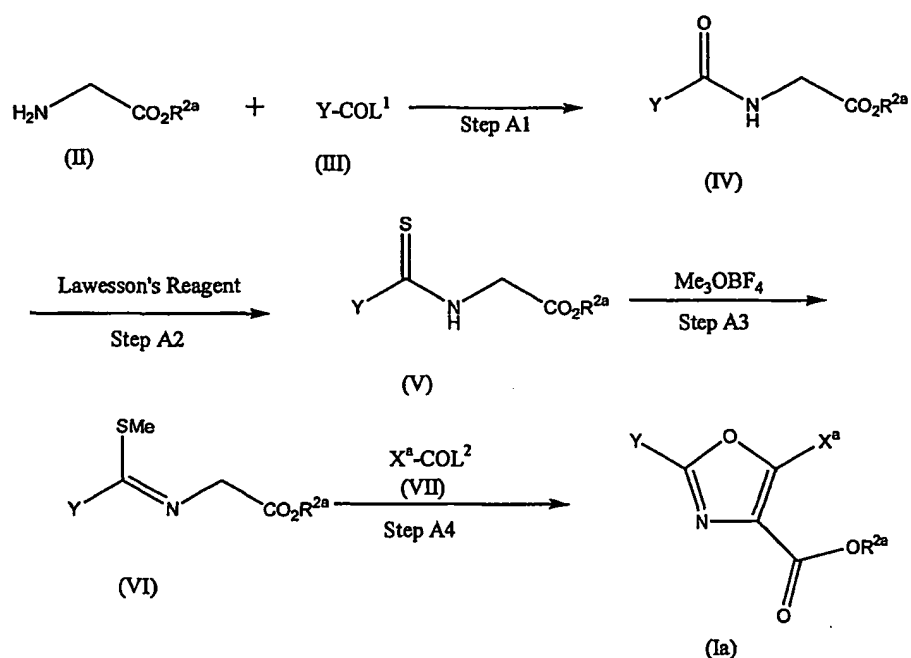
N-(3-methoxy-5-trifluoromethylphenyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide; and

N-cyclopropylmethyl-N-propyl-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)-oxazole-4-carboxamide.

The compounds of formula (I) and pharmacologically acceptable salts thereof of the present invention can be prepared according to a variety of processes well known in the art for the preparation of compounds of this type, for example as described in the following methods A to F below.

Method A

Reaction Scheme A



In the above formulae, X^a represents a substituent selected from the group consisting of phenyl groups, heteroaryl groups as defined above and heterocyclyl groups as defined above, in which said substituent is substituted with a nitro group or X^a represents a pyridine group or a pyrimidine group; Y is as defined above; R^{2a} represents an alkyl group having from 1 to 6 carbon atoms; and L^1 and L^2 are leaving groups.

Step A1

In this step, a glycine ester of formula (II), wherein R^{2a} is as defined above, is reacted with a group of formula (III), wherein Y and L^1 are as defined above to give an amide of formula (IV).

The leaving group L^1 is a group which is capable of leaving as a nucleophilic residue. Examples include halogen atoms such as fluorine, chlorine, bromine and iodine, trihalogenomethyloxy groups such as trichloromethoxy, lower alkanesulfonyloxy groups such as methanesulfonyloxy and ethanesulfonyloxy groups, lower halogeno alkane sulfonyloxy groups such as trifluoromethanesulfonyloxy and pentafluoroethanesulfonyloxy groups, and arylsulfonyloxy groups such as benzenesulfonyloxy, p-toluenesulfonyloxy and p-nitrobenzenesulfonyloxy groups. Of these, halogen atoms are preferred, and chlorine atoms are particularly preferred.

The reaction is preferably performed in the presence of an organic base as a catalyst, e.g. triethylamine.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the solvent used, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents which can be used include: halogenated hydrocarbons such as dichloromethane, chloroform, carbon tetrachloride and dichloroethane; ethers such as diethyl ether, diisopropyl ether, tetrahydrofuran and dioxane; aprotic polar solvents such as dimethylformamide, dimethylacetamide and dimethylsulfoxide; nitriles such as acetonitrile; esters such as methyl acetate and ethyl acetate; aromatic hydrocarbons such as benzene, toluene and xylene; aliphatic hydrocarbons such as pentane, hexane and heptane; and mixtures thereof. Of these, we prefer halogenated hydrocarbons and particularly prefer dichloromethane.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from -78°C to 100°C , more preferably from -78°C to room temperature,

and most preferably at 0°C to room temperature. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 24 hours, more preferably from 1 hour to 20 hours, and most preferably from 1 to 5 hours will usually suffice.

Step A2

This involves the reaction of the compound of formula (IV), prepared in Step A1 above, with Lawesson's reagent [2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide] to give a compound of formula (V) in which the carbonyl group of the amide moiety of the compound of formula (IV) has been converted to a thiocarbonyl group.

The use of Lawesson's reagent as a thiating reagent is well-known in the field of synthetic organic chemistry [see, for example, Bull. Soc. Chim. Belg., 87, 223, 229, 299, 525 (1978); Synthesis, 941 (1979); and Tetrahedron, 35, 2433 (1979)] and this step can be performed in conventional manner.

Step A3

This step involves reaction of the compound of formula (V), produced in Step A2 above, with trimethyloxonium tetrafluoroborate to give a compound of formula (VI) in which the thioamide moiety has been converted to a methylsulfanylmethylenimino moiety. The use of trimethyloxonium tetrafluoroborate to effect this conversion is well-known in the field of synthetic organic chemistry and the reaction can be performed using these well-known techniques [see, for example, Yokoyama et al., Synthesis, 1994, 1467-1470; Gompper et al., Angew. Chem., 95(9), 1983, 727-729; Casadei et al., Synth. Commun., 13(9), 1983, 753-760; Yokoyama et al., Bull. Chem. Soc. Jpn., 67(8), 1994, 2219-2226; Kreher et al., Z. Chem., 22(7), 1982, 258-259; Tueckmantel et al., Angew. Chem., 97(7), 1985, 592-594; and Kuhn et al., Pestic. Sci., 41(3), 1994, 279-286].

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the solvent used, provided that it has no adverse effect on the

reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents which can be used include: halogenated hydrocarbons such as dichloromethane, chloroform, carbon tetrachloride and dichloroethane; ethers such as diethyl ether, diisopropyl ether, tetrahydrofuran and dioxane; aprotic polar solvents such as dimethylformamide, dimethylacetamide and dimethylsulfoxide; nitriles such as acetonitrile; esters such as methyl acetate and ethyl acetate; aromatic hydrocarbons such as benzene, toluene and xylene; aliphatic hydrocarbons such as pentane, hexane and heptane; and mixtures thereof. Of these, we prefer halogenated hydrocarbons and particularly prefer dichloromethane.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from -78°C to 100°C , more preferably from -78°C to room temperature, and most preferably at 0°C to room temperature. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 24 hours, more preferably from 1 hour to 20 hours, and most preferably from 1 to 5 hours will usually suffice.

Step A4

This step involves reaction of the compound of formula (VI), prepared as described in Step A3 above, with a compound of formula (VII), in which X^a and L^2 are as defined above, in the presence of a base to give the desired oxazole derivative of formula (Ia) of the present invention, in which Y, X^a and R^{2a} are as defined above.

This reaction involves reaction of the compound of formula (VI) with the compound of formula (VII) via enolised intermediates in which the leaving group L^2 is first eliminated and then cyclisation takes place to give the compound of formula (Ia). The leaving group L^2 is a group which is capable of leaving as a nucleophilic residue, examples of which are as given for L^1 above. Halogen atoms are preferred and chlorine atoms are particularly preferred. The base used can be any which is typically used in such reactions, examples of

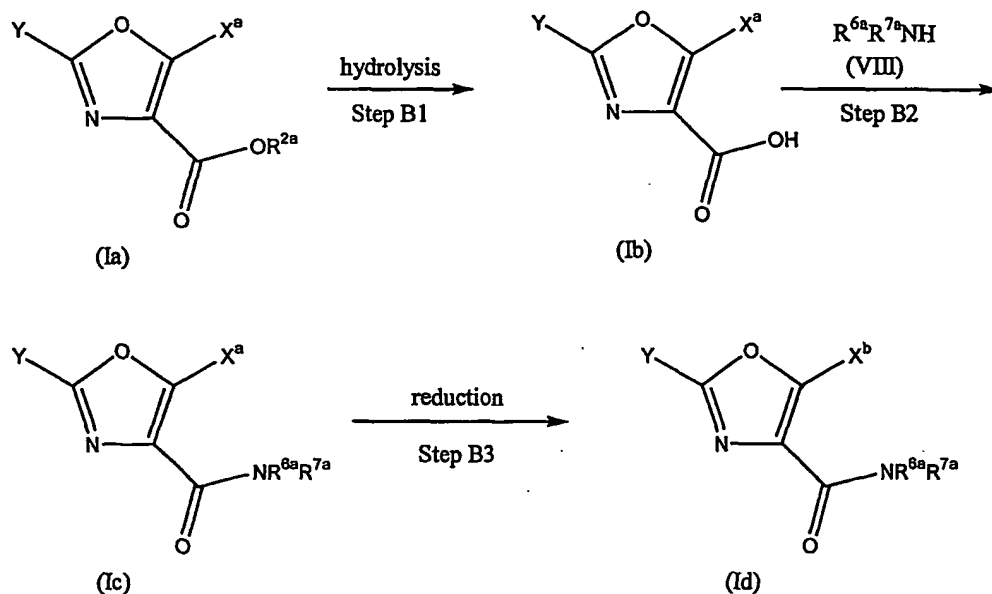
which include organic bases such as organic amines, and triethylamine is particularly preferred.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the solvent used, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents which can be used include: halogenated hydrocarbons such as dichloromethane, chloroform, carbon tetrachloride and dichloroethane; ethers such as diethyl ether, diisopropyl ether, tetrahydrofuran and dioxane; aprotic polar solvents such as dimethylformamide, dimethylacetamide and dimethylsulfoxide; nitriles such as acetonitrile; esters such as methyl acetate and ethyl acetate; aromatic hydrocarbons such as benzene, toluene and xylene; aliphatic hydrocarbons such as pentane, hexane and heptane; and mixtures thereof. Of these, we prefer halogenated hydrocarbons and particularly prefer dichloromethane.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from -78°C to 100°C , more preferably from 0°C to 100°C , and most preferably at 0°C to room temperature. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 24 hours, more preferably from 1 hour to 20 hours, and most preferably from 5 to 10 hours will usually suffice.

Method B

Reaction Scheme B



In the above formulae, Y, X^a and R^{2a} are as defined above, R^{6a} and R^{7a} are the same as R⁶ and R⁷ defined above or are a protected version thereof in which a functional group present therein is protected by a protecting group and X^b represents a substituent selected from the group consisting of phenyl groups, heteroaryl groups as defined above and heterocyclyl groups as defined above, in which said substituent is substituted with an amino group.

Step B1

This step involves hydrolysis of the ester group CO₂R^{2a} present in the compound of formula (Ia), prepared as described in Step A4 above, to give a compound of formula (Ib) of the present invention. The hydrolysis of an ester to give a free carboxyl group is well-known in the field of synthetic organic chemistry and can be performed using well-known techniques.

More specifically, the hydrolysis reaction can be performed in the presence of a base or an acid. Examples of the acid include hydrochloric acid, sulfuric acid, phosphoric acid and hydrobromic acid; where a base is used, it is not particularly limited, provided that it does not

affect other parts of the compound, and preferred examples include alkali metal carbonates such as sodium carbonate and potassium carbonate, alkali metal hydroxides such as sodium hydroxide and potassium hydroxide or a conc. ammonia-methanol solution. Alkali metal hydroxides are particularly preferred.

The solvent employable here is not particularly limited, provided that it is one usually used in hydrolysis reactions and does not inhibit the reaction, and preferred examples thereof include alcohols, e.g. methanol, ethanol or n-propanol, or ethers, e.g. tetrahydrofuran or dioxane, or mixtures thereof. Where an alkali metal hydroxide is employed, alcohols such as methanol or ethanol or mixtures thereof with an ether such as tetrahydrofuran are particularly preferred.

While the reaction temperature and time vary depending on the starting material, the solvent, the reagent used, etc. and are not particularly limited, the reaction is usually carried out at a temperature of from 0°C to 150°C, preferably room temperature to 100°C, for a period of from 30 minutes to 10 hours and preferably from 1 to 5 hours.

Step B2

This step involves reaction of the compound of formula (Ib) of the present invention, prepared as described in Step B1 above, with an amine of formula $R^{6a}R^{7a}NH$, wherein R^{6a} and R^{7a} are as defined above to give an amide of formula (Ic) of the present invention.

This conversion of a carboxylic acid to an amide by reaction with an amine is well-known in the field of synthetic organic chemistry and can be performed using any of the well-known techniques. For example, the carboxylic acid of formula (Ib) can be reacted with the amine of formula $R^{6a}R^{7a}NH$ in the presence of an amidation catalyst such as 2-chloro-1,3-dimethyl-2-imidazolinium chloride.

There is no particular restriction on the solvent used, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents which can be used include: ethers such as diethyl ether, diisopropyl ether, tetrahydrofuran and dioxane; aprotic polar solvents such as dimethylformamide, dimethylacetamide and dimethyl sulfoxide; nitriles such as acetonitrile;

esters such as methyl acetate and ethyl acetate; aromatic hydrocarbons such as benzene, toluene and xylene; aromatic heterocyclic compounds such as pyridine; and aliphatic hydrocarbons such as pentane, hexane and heptane; and mixtures thereof. Aromatic heterocyclic compounds such as pyridine and nitriles such as acetonitrile, and mixtures thereof are particularly preferred.

While the reaction temperature and time vary depending on the starting material, the solvent, the reagent used, etc. and are not particularly limited, the reaction is usually carried out at a temperature of from 0°C to 150°C, preferably room temperature to 100°C, for a period of from 30 minutes to 30 hours and preferably from 1 to 24 hours.

Step B3

This step involves reduction of the nitro group present in the substituent X^a in the compound of formula (Ic), prepared as described in Step B2 above, to an amino group to give a compound of formula (Id).

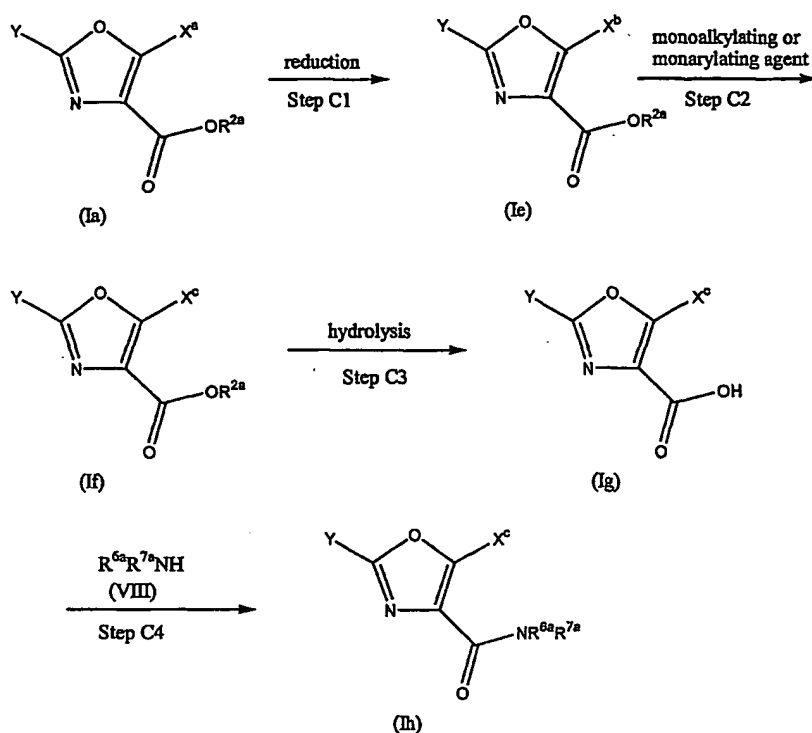
This reduction reaction can be performed according using any conventional technique for the conversion of a nitro group to an amino group, e.g. hydrogenation in the presence of a hydrogenation catalyst such as palladium on activated carbon.

The reaction is usually and preferably performed in the presence of a solvent. There is no particular restriction on the solvent used, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents which can be used include: ethers such as diethyl ether, diisopropyl ether, tetrahydrofuran and dioxane; aprotic polar solvents such as dimethylformamide, dimethylacetamide and dimethyl sulfoxide; nitriles such as acetonitrile; esters such as methyl acetate and ethyl acetate; aromatic hydrocarbons such as benzene, toluene and xylene; and aliphatic hydrocarbons such as pentane, hexane and heptane; and mixtures thereof. Esters such as methyl acetate and ethyl acetate are particularly preferred.

While the reaction temperature and time vary depending on the starting material, the solvent, the reagent used, etc. and are not particularly limited, the reaction is usually carried out at a temperature of from 0°C to 100°C, preferably 0°C to room temperature, for a period of from 30 minutes to 30 hours and preferably from 1 to 24 hours.

Method C

Reaction Scheme C



In the above formulae, X^a, X^b, Y, R^{2a}, R^{6a} and R^{7a} are as defined above and X^c represents a substituent selected from the group consisting of phenyl groups, heteroaryl groups as defined above and heterocyclyl groups as defined above, in which said substituent is substituted with a group of formula -NHR¹⁰ wherein R¹⁰ represents a lower alkyl group as defined above or an aryl group as defined above.

Step C1

This involves reduction to an amino group of the nitro substituent on the group X^a in the compound of formula (Ia), prepared as described in Step A4 above, to give a compound of formula (Ie) in which X^b is as defined above. This reduction step is performed in a similar manner to that described in Step B3 above.

Step C2

This involves reaction of the compound of formula (Ie), prepared as described in Step C1 above, with a monoalkylating or monoaryllating reagent to give a compound of formula (If) in which the amino group of the moiety X^b has been converted to a monoalkylamino group or monoarylamino group of formula $-NHR^{10}$.

Where the amino group of X^b is to be converted to a monoalkylamino group, this can be performed using any technique known in synthetic organic chemistry for such a reaction. For example, the compound of formula (Ie) can be reacted with a trialkyloxonium tetrafluoroborate. The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the solvent used, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents which can be used include: halogenated hydrocarbons such as dichloromethane, chloroform, carbon tetrachloride and dichloroethane; ethers such as diethyl ether, diisopropyl ether, tetrahydrofuran and dioxane; aprotic polar solvents such as dimethylformamide, dimethylacetamide and dimethylsulfoxide; nitriles such as acetonitrile; esters such as methyl acetate and ethyl acetate; aromatic hydrocarbons such as benzene, toluene and xylene; aliphatic hydrocarbons such as pentane, hexane and heptane; and mixtures thereof. Of these, we prefer halogenated hydrocarbons and particularly prefer dichloromethane.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from -78°C to 100°C , more preferably from 0°C to 100°C , and most preferably at 0°C to room temperature. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 24 hours, more preferably from 1 hour to 20 hours, and most preferably from 1 to 5 hours will usually suffice.

An alternative for conversion of the amino group of X^b to a monoalkylamino group involves reaction of the compound of formula (Ie) with a ketone of formula $R^8R^9\text{CO}$,

wherein R^8 and R^9 are the same or different and each represents an alkyl group having from 1 to 3 carbon atoms, provided that R^8 and R^9 do not both represent a propyl group, to give an imine intermediate which is then reduced to give a compound of formula (If) in which the group of formula $-NHR^{10}$ is a branched monoalkylamino group. This is a standard technique in synthetic organic chemistry and can be performed using any technique known for such a reaction [see, for example, Horner et al., Can. J. Chem. 1966, 44, 315; Meiners et al., J. Org. Chem., 1958, 23, 1122; Richardson et al., J. Chem. Soc., 1962, 2758; Hamlin et al., J. Am. Chem. Soc., 1953, 75, 369; and Fry et al., J. Org. Chem. 1984, 49(25), 4877].

Where the amino group of X^b is to be converted to a monoarylamino group, this can be performed using any technique known in synthetic organic chemistry for such a reaction. For example suitable techniques are described in Rivas et al., Tetrahedron, Asymmetry, 11, 8, 2000, 1703; Swamy et al., Bioorg. Med. Chem. Lett., 10, 4, 2000, 361; Edmondson et al., Org. Lett., 2, 8, 2000, 1109; Mugrage et al., 41, 13, 2000, 2047; and Prashad et al., J. Org. Chem., 65, 8, 2000, 2612.

Step C3

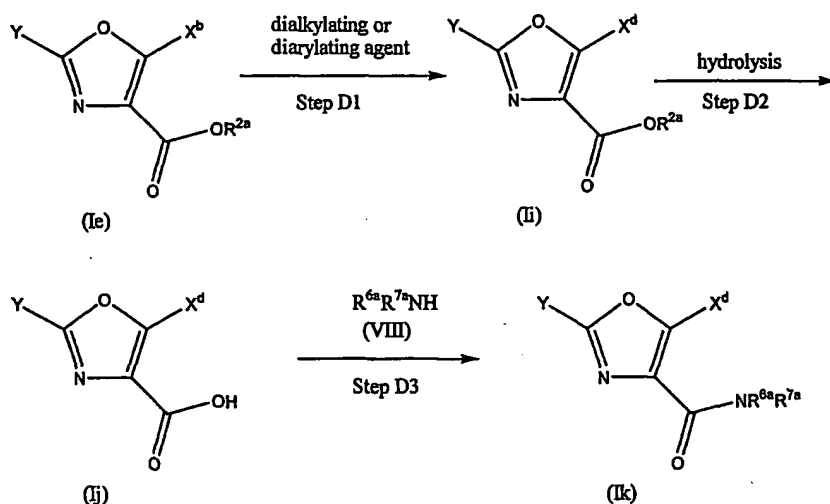
This step involves hydrolysis of the ester group CO_2R^{2a} present in the compound of formula (If), prepared as described in Step C2 above, to give a compound of formula (Ig) of the present invention. This hydrolysis step is performed in a similar manner to that described in Step B1 above.

Step C4

This step involves reaction of the compound of formula (Ig) of the present invention, prepared as described in Step C3 above, with an amine of formula $R^{6a}R^{7a}NH$, wherein R^{6a} and R^{7a} are as defined above to give an amide of formula (Ih) of the present invention. This step is performed in a similar manner to that described in Step B2 above.

Method D

Reaction Scheme D



In the above formulae, X^b, Y, R^{2a}, R^{6a} and R^{7a} are as defined above and X^d represents a substituent selected from the group consisting of phenyl groups, heteroaryl groups as defined above and heterocyclyl groups as defined above, in which said substituent is substituted with a group of formula -N(R¹²)₂ wherein each R¹² is the same or different and represents a lower alkyl group as defined above or an aryl group as defined above.

Step D1

This step involves reaction of a compound of formula (Ie), prepared as described in Step C1 above, with a dialkylating or diarylating reagent to give a compound of formula (Ii) in which the amino group of the moiety X^b has been converted to a dialkylamino group or diarylamino group of formula -N(R¹²)₂.

Where the amino group of X^b is to be converted to a dialkylamino group, this can be performed using any technique known in synthetic organic chemistry for such a reaction. For example, the compound of formula (Ie) can be reacted with an aldehyde of formula R¹¹CHO where R¹¹ is a hydrogen atom or an alkyl group having from 1 to 5 carbon atoms followed by catalytic reduction of the imino groups thus formed to give the desired

dialkylamino compound. This is a method well-known in the field of synthetic organic chemistry and can be performed using standard reaction conditions. For example, an alcoholic solution of the compound of formula (Ie) can be reacted with formaldehyde and hydrogen in the presence of a hydrogenation catalyst such as palladium on activated carbon to give a compound of formula (Ii) in which the amino group of the moiety X^b has been converted to a dimethylamino group.

As an alternative, where the amino group of the substituent X^b in the compound of formula (Ie) is to be converted to a dialkylamino group, this can be performed by reacting the compound of formula (Ie) with a group of formula $R^{13}-L^4$ wherein R^{13} is a lower alkyl group as defined above and L^4 is a group which is capable of leaving as a nucleophilic residue, examples of which are as given for L^1 above. This is a reaction well-known in the field of synthetic organic chemistry and can be performed using well-known techniques.

Step D2

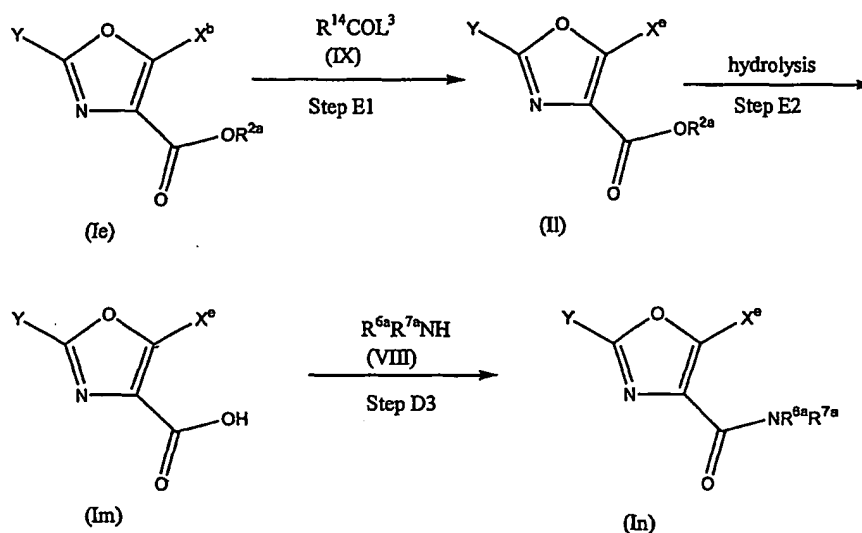
This step involves hydrolysis of the ester group CO_2R^{2a} present in the compound of formula (Ii), prepared as described in Step D1 above, to give a compound of formula (Ij) of the present invention. This hydrolysis step is performed in a similar manner to that described in Step B1 above.

Step D3

This step involves reaction of the compound of formula (Ij) of the present invention, prepared as described in Step D2 above, with an amine of formula $R^{6a}R^{7a}NH$, wherein R^{6a} and R^{7a} are as defined above to give an amide of formula (Ik) of the present invention. This step is performed in a similar manner to that described in Step B2 above.

Method E

Reaction Scheme E



In the above formulae, X^b, Y, R^{2a}, R^{6a} and R^{7a} are as defined above and X^e represents a substituent selected from the group consisting of phenyl groups, heteroaryl groups as defined above and heterocyclyl groups as defined above, in which said substituent is substituted with a group of formula $-NHCOR^{14}$ wherein R¹⁴ is a lower alkyl group as defined above, aryl group as defined above, heteroaryl group as defined above or cycloalkyl group as defined above and L³ is a leaving group.

Step E1

This step involves reaction of a compound of formula (Ie), prepared as described in Step C1 above, with an acylating agent of formula $R^{14}COL^3$ to give a compound of formula (II) in which the amino group of the moiety X^b has been converted to an acylamino group of formula $-NHCOR^{14}$.

This is a reaction well-known in the field of synthetic organic chemistry and can be performed using well-known techniques. L^3 is a group which is capable of leaving as a nucleophilic residue, examples of which are as given for L^1 above. Preferably, L^3 is a halogen atom, and most preferably a chlorine atom.

Preferably, the reaction is performed in the presence of an organic amine as a catalyst, e.g. pyridine.

The reaction is normally and preferably effected in the presence of a solvent. There is no particular restriction on the solvent used, provided that it has no adverse effect on the reaction or the reagents involved and that it can dissolve the reagents, at least to some extent. Examples of suitable solvents which can be used include: halogenated hydrocarbons such as dichloromethane, chloroform, carbon tetrachloride and dichloroethane; ethers such as diethyl ether, diisopropyl ether, tetrahydrofuran and dioxane; aprotic polar solvents such as dimethylformamide, dimethylacetamide and dimethylsulfoxide; nitriles such as acetonitrile; hydrocarbons such as benzene, toluene and xylene; aliphatic hydrocarbons such as pentane, hexane and heptane; and mixtures thereof. Of these, we prefer halogenated hydrocarbons and particularly prefer dichloromethane.

The reaction can take place over a wide range of temperatures, and the precise reaction temperature is not critical to the invention. The preferred reaction temperature will depend upon such factors as the nature of the solvent, and the starting material or reagent used. However, in general, we find it convenient to carry out the reaction at a temperature of from -78°C to 100°C , more preferably from 0°C to 100°C , and most preferably at 0°C to room temperature. The time required for the reaction may also vary widely, depending on many factors, notably the reaction temperature and the nature of the reagents and solvent employed. However, provided that the reaction is effected under the preferred conditions outlined above, a period of from 10 minutes to 24 hours, more preferably from 30 minutes to 5 hours, and most preferably from 30 minutes to 5 hours will usually suffice.

Step E2

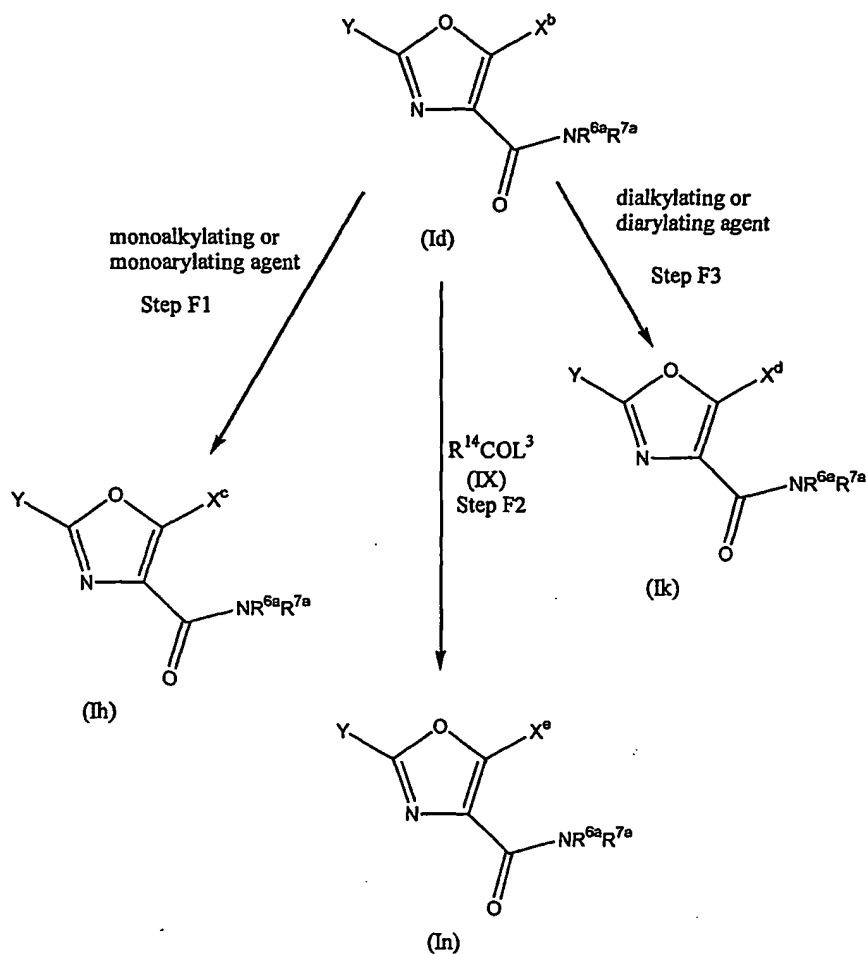
This step involves hydrolysis of the ester group CO_2R^{2a} present in the compound of formula (II), prepared as described in Step E1 above, to give a compound of formula (Im) of the present invention. This hydrolysis step is performed in a similar manner to that described in Step B1 above.

Step E3

This step involves reaction of the compound of formula (Im) of the present invention, prepared as described in Step E2 above, with an amine of formula $\text{R}^{6a}\text{R}^{7a}\text{NH}_2$, wherein R^{6a} and R^{7a} are as defined above to give an amide of formula (In) of the present invention. This step is performed in a similar manner to that described in Step B2 above.

Method F

Reaction Scheme F



Method F provides alternative routes for the production of compounds of formulae (Ih), (Ik) and (In) using a compound of formula (Id), prepared as described in Step B3 above, as a starting material. X^b , X^c , X^d , X^e , Y , R^{6a} , R^{7a} , L^3 and R^{14} are as defined above.

Step F1

This involves reaction of the compound of formula (Id), prepared as described in Step B3 above, with a monoalkylating or monoaryllating reagent to give a compound of formula (Ih) in which the amino group of the moiety X^b has been converted to a monoalkylamino group or monoarylamino group of formula $-NHR^{10}$ wherein R^{10} is as defined above. This monoalkylating or monoaryllating step is performed in a similar manner to that described in Step C2 above.

Step F2

This step involves reaction of a compound of formula (Id), prepared as described in Step B3 above, with an acylating agent of formula $R^{14}COL^3$, wherein R^{14} and L^3 are as defined above, to give a compound of formula (In) in which the amino group of the moiety X^b has been converted to an acylamino group of formula $-NHCOR^{14}$. This acylating step is performed in a similar manner to that described in Step E1 above.

Step F3

This step involves reaction of a compound of formula (Id), prepared as described in Step B3 above, with a dialkylating or diaryllating reagent to give a compound of formula (Ik) in which the amino group of the moiety X^b has been converted to a dialkylamino group or diarylamino group of formula $-N(R^{12})_2$ wherein R^{12} is as defined above. This dialkylating or diaryllating step is performed in a similar manner to that described in Step D1 above.

Where R^{6a} and/or R^{7a} in the compounds of formula (Ic), (Id), (Ih), (Ik) and (In) produced in Methods B to F above are protected versions of R^{6a} and/or R^{7a} , the protecting groups can be removed at any point after introduction of the moiety

$-NR^{6a}R^{7a}$ according to techniques well-known in the art to give the unprotected compounds of the present invention. The protecting groups used are ones in which a functional group (for example, an amino group) is modified by the addition of a protecting group using conventional techniques well-known in the art (see, for example, "Protective Groups in Organic Synthesis, Second Edition, Theodora W. Greene and Peter G.M. Wuts, 1991, John Wiley & Sons, Inc.). The protecting group is a protecting group which is removable by a chemical process such as hydrolysis, hydrogenolysis, electrolysis or photolysis.

After completion of each of the reactions described in the steps of Method A to Method F above, the desired compound may be isolated from the reaction mixture in a conventional manner. For example, it can be obtained by neutralizing the reaction mixture as needed, removing insoluble matters by filtration, if any are present, adding organic solvents which are not miscible with each other, such as water and ethyl acetate, washing with water or the like, separating the organic layer containing the desired compound, drying it over anhydrous magnesium sulfate or the like and then distilling off the solvent.

If necessary, the desired compound thus obtained can be isolated and purified by using a conventional method such as recrystallization or reprecipitation or by a chromatographic method. Examples of chromatography include adsorption column chromatography using a carrier such as silica gel, alumina or magnesium-silica gel type Florisil, chromatography using a synthetic adsorbent, for example, partition column chromatography using a carrier such as Sephadex LH-20 (product of Pharmacia), Amberlite XAD-11 (product of Rohm & Haas) or Diaion HP-20 (product of Mitsubishi Chemical), ion exchange chromatography and normal-phase-reverse-phase column chromatography (high-performance liquid chromatography) using a silica gel or alkylated silica gel, e.g. Prontosil Prep 2005[®] (product of Bischoff, Inc.) and ZORBAX[®] SB-C-8 columns (product of Hewlett-Packard, Inc.). If necessary, two or more of these techniques can be used in combination to isolate and purify the desired compound.

The oxazole derivatives of formula (I) and pharmacologically acceptable salts thereof of the present invention show excellent activity in inhibiting the production of IL-4 in warm-blooded animals, which may be humans. Consequently, they are effective as a medicament, particularly as an agent for the prophylaxis or treatment of diseases mediated by IL-4 in warm-blooded animals. In particular, said diseases are allergic diseases including asthma, atopic dermatitis, allergic rhinitis, food allergies, and systemic anaphylaxis.

The compounds of formula (I) and pharmacologically acceptable salts thereof according to the present invention can be administered by a number of different routes. Examples of these administration routes include oral administration in the form of tablets, capsules, granules, powders or syrups and parenteral administration in the form of injections or suppositories. Such formulations can be prepared in a known manner by using additives such as an excipients, lubricants, binders, disintegrators, stabilizers, corrigents and diluents.

Examples of suitable excipients include: organic excipients, examples of which include sugar derivatives such as lactose, sucrose, dextrose, mannitol and sorbitol, starch derivatives such as corn starch, potato starch, α -starch, dextrin and carboxymethyl starch, cellulose derivatives such as crystalline cellulose, low-substituted hydroxypropylcellulose, hydroxypropylmethylcellulose, carboxymethylcellulose, calcium carboxymethylcellulose and sodium internally-crosslinked carboxymethyl-cellulose, gum arabic, dextran and pullulan; and inorganic excipients, examples of which include silicate derivatives such as soft silicic acid anhydride, synthetic aluminum silicate and magnesium aluminometasilicate, phosphates such as calcium phosphate, carbonates such as calcium carbonate, and sulfates such as calcium sulfate.

Examples of suitable lubricants include: stearic acid; metal salts of stearic acid such as calcium stearate and magnesium stearate; talc; colloidal silica; waxes such as bee gum and spermaceti; boric acid; adipic acid; sulfates such as sodium sulfate; glycol; fumaric acid; sodium benzoate; DL-leucine; sodium salts of an aliphatic acid; lauryl sulfates such as sodium lauryl sulfate and magnesium lauryl sulfate; silicic acid derivatives such as silicic anhydride and silicic acid hydrate; and starch derivatives exemplified above as examples of suitable excipients.

Examples of suitable binders include polyvinylpyrrolidone, Macrogol and compounds similar to those exemplified above as suitable excipients.

Examples of suitable disintegrators include compounds similar to those exemplified above as suitable excipients and chemically modified starch or cellulose derivatives such as sodium cross carmellose, sodium carboxymethyl starch and crosslinked polyvinylpyrrolidone.

Examples of suitable stabilizers include: paraoxybenzoate esters such as methylparaben and propylparaben; alcohols such as chlorobutanol, benzyl alcohol and phenylethyl alcohol; benzalkonium chloride; phenol derivatives such as phenol and cresol; thimerosal; dehydroacetic acid; and sorbic acid. Examples of suitable corrigents include sweeteners, acidifiers and flavors commonly employed for this purpose.

The dose of the compound of formula (I) or a pharmacologically acceptable salt thereof according to the present invention will vary depending on a variety of factors including the condition to be treated, the age of the patient and the administration route. When administered orally, it is administered to an adult (e.g. an adult human) in an amount of 0.1 mg (preferably 0.5 mg) a day as a lower limit and 2000 mg (preferably 500 mg) a day as an upper limit. It can be administered in from one to several portions depending on the condition of the patient. When administered intravenously, it is administered to an adult in an amount of 0.01 mg (preferably 0.05 mg) a day as a lower limit and 200 mg (preferably 50 mg) a day as an upper limit. It can be administered in from one to several portions depending on the condition of the patient.

Illustrative Examples

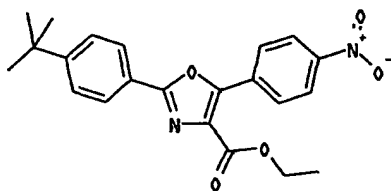
The following examples, reference examples, formulation examples and test examples are intended to further illustrate the present invention and are not intended to limit the scope of the invention in any way.

In the following examples and reference examples, all high pressure liquid chromatography (HPLC) analyses were performed using a Hewlett-Packard ZORBAX® SB-C-8 column (4.6 mm x 30 mm). The 2.5 minute elution method was performed at a flow-rate of 3.0 ml/min and utilized a linear gradient profile (85% water/15% acetonitrile/0.1% TFA –5% water/95% acetonitrile/0.1% TFA). All HPLC purifications were performed using a Bischoff Prontosil Prep 2005® 120-10-C-18-SH column (50 mm x 20 mm). The 3.5 minute elution method was performed at a flow-rate of 40 ml/min and utilized a linear gradient profile (85% water/15% acetonitrile/0.1% TFA –5% water/95% acetonitrile/0.1% TFA).

Examples

Example 1

Ethyl 2-(4-*tert*-butylphenyl)-5-(4-nitrophenyl)oxazole-4-carboxylate



4-Nitrobenzoyl chloride (64 mmol, 11.8 g) and triethylamine (64 mmol, 8.9 ml) were added to a solution of ethyl [[[1-(4-*tert*-butylphenyl)-1-methylsulfanyl]methylidene]-amino]acetate (19.8 mmol, 5.8 g), prepared as described in Reference Example 6 below, in dichloromethane (200 ml) and the reaction was stirred for 8 hours at room temperature. At the end of this time, the solvent was removed *in vacuo* and the crude residue partitioned between diethyl ether (150 ml) and 2M hydrochloric acid solution (100 ml). The organic layer was washed with water (100 ml) and brine (100 ml), dried over sodium sulfate and the solvent removed *in vacuo*. The resulting residue was subjected to flash chromatography (12.5 % ethyl acetate/hexanes) to provide the title compound as a yellow solid (5.2 g, 67%).

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.36 (multiplet, 4H);

8.05 (doublet, J = 10 Hz, 2H);

7.56 (doublet, J = 10 Hz, 2H);

4.48 (quartet, $J = 7.2$ Hz, 2H);

1.43 (triplet, $J = 7.2$ Hz, 3H);

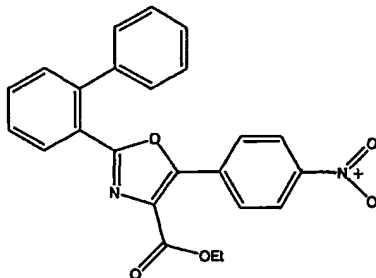
1.38 (singlet, 9H).

HPLC: Retention time = 1.59 min.

Mass Spectrum (ES⁺, m/z): 395 (M+H)⁺.

Example 2

Ethyl 2-(biphenyl-2-yl)-5-(4-nitrophenyl)oxazole-4-carboxylate



The title compound was prepared from ethyl [[[1-(biphenyl-2-yl)-1-methylsulfanyl]-methylidene]amino]acetate, prepared as described in Reference Example 7 below, in a manner analogous to Example 1 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.22 (doublet, $J = 10$ Hz, 2H);

7.88 (doublet, $J = 10$ Hz, 2H);

7.80 (doublet, $J = 10$ Hz, 1H);

7.61 (multiplet, 1H);

7.52 (multiplet, 2H);

7.25 (multiplet, 5H);

4.30 (quartet, 2H);

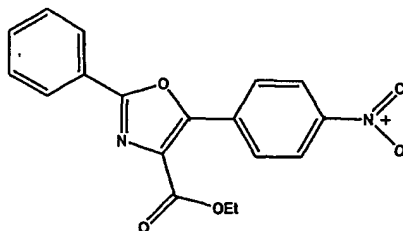
1.30 (triplet, 3H).

HPLC: Retention time = 1.43 min.

Mass Spectrum (ES+, m/z): 414 (M+H)⁺.

Example 3

Ethyl 5-(4-nitrophenyl)-2-phenyloxazole-4-carboxylate



The title compound was prepared from ethyl [[(1-methylsulfonyl-1-phenyl)methylidene]amino]acetate, prepared as described in Reference Example 8 below, in a manner analogous to Example 1 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.35 (multiplet, 4H);

8.12 (multiplet, 2H);

7.53 (multiplet, 3H);

4.48 (quartet, 2H);

1.45 (triplet, 3H);

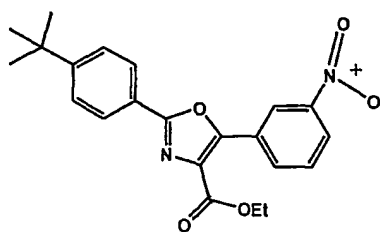
1.43 (triplet, 3H).

HPLC: Retention time = 1.34 min.

Mass Spectrum (ES⁺, m/z): 339 (M+H)⁺.

Example 4

Ethyl 2-(4-*tert*-butylphenyl)-5-(3-nitrophenyl)oxazole-4-carboxylate



The title compound was prepared from ethyl [[[1-(4-*tert*-butylphenyl)-1-methylsulfanyl]-methylidene]amino]acetate, prepared as described in Reference Example 6 below, and 3-nitro-benzoyl chloride in a manner analogous to Example 1 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.96 (multiplet, 1H);

8.50 (multiplet, 1H);

8.35 (multiplet, 1H);

8.06 (doublet, J = 10 Hz, 2H);

7.70 (triplet, 1H);

7.57 (doublet, J = 10 Hz, 2H);

4.49 (quartet, 2H);

1.45 (triplet, 3H);

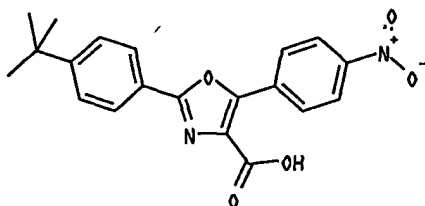
1.38 (singlet, 9H).

HPLC: Retention time = 1.58 min.

Mass Spectrum (ES+, m/z): 395 (M+H)⁺.

Example 5

2-(4-*tert*-Butylphenyl)-5-(4-nitrophenyl)oxazole-4-carboxylic acid



A solution of 10% aqueous sodium hydroxide (10 ml) was added to a solution of ethyl 2-(4-*tert*-butylphenyl)-5-(4-nitrophenyl)oxazole-4-carboxylate (4.3 mmol, 1.7 g), prepared as described in Example 1 above, in a mixture of methanol (15 ml) and tetrahydrofuran (10 ml). The resulting reaction mixture was stirred for 1 hour and a precipitate gradually formed. At the end of this time, 2M hydrochloric acid solution (50 ml) was added and stirring was continued until a uniform precipitate was obtained. Filtration of the reaction mixture provided the title compound as a yellow solid (1.52 g, 97%).

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, d₆-DMSO) δ ppm:

8.40 (doublet, J = 10 Hz, 2H);

8.32 (doublet, J = 10 Hz, 2H);

8.05 (doublet, J = 10 Hz, 2H);

7.58 (doublet, J = 10 Hz, 2H);

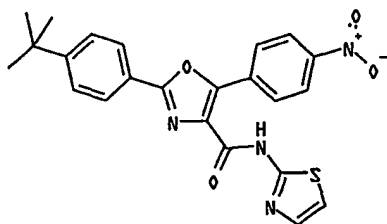
1.32 (singlet, 9H).

HPLC: Retention time = 1.31 min.

Mass Spectrum (ES⁺, m/z): 367 (M+H)⁺.

Example 6

N-(thiazol-2-yl)-2-(4-*tert*-butylphenyl)-5-(4-nitrophenyl)oxazole-4-carboxamide



2-Chloro-1,3-dimethyl-2-imidazolinium chloride (DMC) (5.3 mmol, 0.9 g) was added to a solution of 2-(4-*tert*-butylphenyl)-5-(4-nitrophenyl)oxazole-4-carboxylic acid (3.6 mmol, 1.3 g), prepared as described in Example 5 above, in acetonitrile (10 ml) and pyridine (3 ml). 2-Aminothiazole (3.6 mmol, 0.36 g) was then added and the resulting reaction mixture was stirred for 2 hours at room temperature. At the end of this time, the solvent was removed *in vacuo* and the crude residue partitioned between chloroform (50 ml) and 2M hydrochloric acid solution (20 ml). The organic layer was washed with brine (20 ml), dried over sodium

sulfate and the solvent then removed *in vacuo*. Flash chromatography of the resulting crude residue (20 % ethyl acetate/hexanes) provided the title compound as a yellow solid (990 mg, 60%).

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, d₆-DMSO) δ ppm:

12.18 (singlet, 1H);

8.43 (singlet, 4H);

8.22 (doublet, J = 10 Hz, 2H);

7.60 (doublet, J = 10 Hz, 2H);

7.58 (doublet, J = 3 Hz, 1H);

7.35 (doublet, J = 3 Hz, 1H);

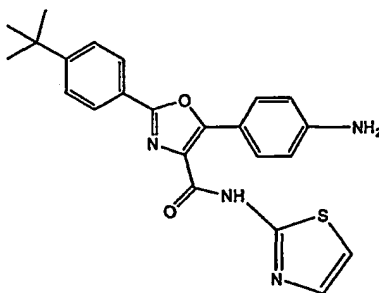
1.32 (singlet, 9H).

HPLC: Retention time = 1.61 min.

Mass Spectrum (ES⁺, m/z): 449 (M+H)⁺.

Example 7

N-(thiazol-2-yl)-5-(4-aminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



10% palladium on activated carbon (0.045 mmol, 0.05 g) was added to a solution of N-(thiazol-2-yl)-2-(4-*tert*-butylphenyl)-5-(4-nitrophenyl)oxazole-4-carboxamide (0.45 mmol, 0.2 g), prepared as described in Example 6 above, in ethyl acetate (10 ml). The reaction mixture was placed under 101.3 kPa (1 atmosphere) of hydrogen and stirred vigorously overnight. At the end of this time, methanol (20 ml) was added and the solution was heated to dissolve the product. After filtration of the reaction mixture through a pad of Celite[®], the solvent was removed *in vacuo* providing 188 mg (100 %) of the title compound as a yellow solid.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, d₆-DMSO) δ ppm:

11.60 (singlet, 1H);

8.18 (doublet, J = 10 Hz, 2H);

7.83 (doublet, J = 10 Hz, 2H);

7.59 (doublet, J = 10 Hz, 2H);

7.58 (doublet, J = 3 Hz, 1H);

7.35 (doublet, J = 3 Hz, 1H);

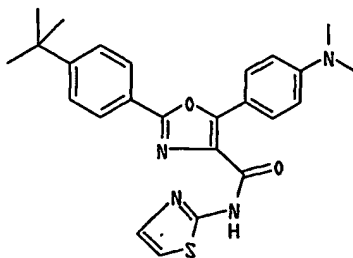
6.68 (doublet, J = 10 Hz, 2H);

5.90 (singlet, 2H);

1.34 (singlet, 9H).

HPLC: Retention time = 1.33 min.

Mass Spectrum (ES⁺, m/z): 419 (M+H)⁺.

Example 8*N*-(thiazol-2-yl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide

Formaldehyde (37% aqueous solution) (1 ml) was added to a solution of *N*-(thiazol-2-yl)-5-(4-aminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide (0.48 mmol, 0.2 g), prepared as described in Example 7 above, and 10% palladium on activated carbon (0.1 mmol, 0.1 g) in 95% ethanol (5 ml). The reaction mixture was placed onto a Parr shaker at 379.2 kPa of hydrogen for 4 days. The solvent was then removed *in vacuo* and the residue partitioned between chloroform (20 ml) and water (10 ml). The organic layer was washed with brine (10 ml) and dried over sodium sulfate. Removal of the solvent *in vacuo* afforded a yellow residue. Flash chromatography (25% ethyl acetate/hexanes) of this crude residue provided 26.5 mg (12%) of the title compound as a yellow solid.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

10.62 (singlet, 1H);

8.30 (doublet, *J* = 9 Hz, 2H);

7.95 (doublet, *J* = 9 Hz, 2H);

7.55 (doublet, *J* = 9 Hz, 2H);

7.53 (doublet, *J* = 3 Hz, 1H);

7.02 (doublet, $J = 3$ Hz, 1H);

6.75 (doublet, $J = 9$ Hz, 2H);

3.05 (singlet, 6H);

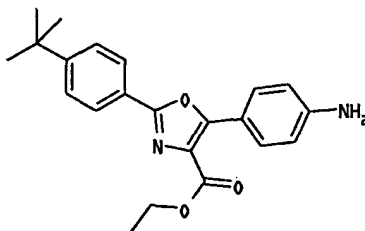
1.38 (singlet, 9H).

HPLC: Retention time = 1.62 min.

Mass Spectrum (ES⁺, m/z): 447 (M+H)⁺.

Example 9

Ethyl 5-(4-aminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate



10% palladium on activated carbon (0.33 mmol, 0.3 g) was added to a solution of ethyl 2-(4-*tert*-butylphenyl)-5-(4-nitrophenyl)oxazole-4-carboxylate (3.3 mmol, 1.3 g), prepared as described in Example 1 above, in ethyl acetate (50 ml). The reaction mixture was placed under 101.3 kPa (1 atmosphere) of hydrogen and stirred vigorously overnight. At the end of this time, methanol (20 ml) was added and the solution was heated to dissolve the product. After filtration through a pad of Celite[®], the solvent was removed *in vacuo* providing 1.24 g (100 %) of the title compound as a yellow solid.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.04 (doublet, J = 9 Hz, 2H);

7.95 (doublet, J = 9 Hz, 2H);

7.52 (doublet, J = 9 Hz, 2H);

6.75 (doublet, J = 9 Hz, 2H);

4.45 (quartet, 2H);

4.00 (singlet, 2H);

1.42 (triplet, 3H);

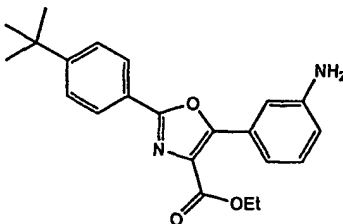
1.38 (singlet, 9H).

HPLC: Retention time = 1.32 min.

Mass Spectrum (ES⁺, m/z): 365 (M+H)⁺.

Example 10

Ethyl 5-(3-aminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate



10 % palladium on activated carbon (0.16 mmol, 0.15 g) was added to a solution of ethyl 2-(4-*tert*-butylphenyl)-5-(3-nitrophenyl)oxazole-4-carboxylate (10.5 mmol, 4.15 g), prepared as described in Example 4 above, in ethyl acetate (60 ml). The reaction vessel was fitted to a Parr shaker apparatus and shaken vigorously overnight at 379.2 kPa hydrogen

pressure. The reaction mixture was then filtered through a pad of Celite[®] and the solvent was removed *in vacuo* to provide 1.24 g (100 %) of the title compound as a yellow solid.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.04 (doublet, J = 9 Hz, 2H);

7.52 (multiplet, 4H);

7.26 (multiplet, 1H);

6.80 (doublet of doublets, J₁ = 9 Hz, J₂ = 2 Hz, 1H);

4.45 (quartet, 2H);

3.88 (broad singlet, 2H);

1.42 (triplet, 3H);

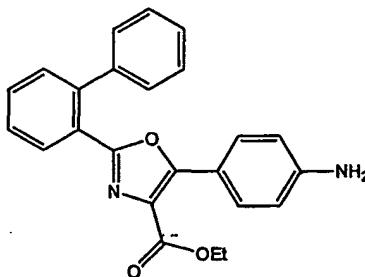
1.38 (singlet, 9H).

HPLC: Retention time = 1.36 min.

Mass Spectrum (ES⁺, m/z): 365 (M+H)⁺.

Example 11

Ethyl 5-(4-aminophenyl)-2-(biphenyl-2-yl)oxazole-4-carboxylate



The title compound was prepared from ethyl 2-(biphenyl-2-yl)-5-(4-nitrophenyl)oxazole-4-carboxylate, prepared as described in Example 2 above, in a manner analogous to Example 10 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

7.74 (doublet, J = 9 Hz, 1H);

7.57 (doublet, J = 9 Hz, 2H);

7.50 (multiplet, 3H);

7.25 (doublet, J = 9 Hz, 2H);

7.23 (multiplet, 3H);

6.60 (doublet, J = 9 Hz, 2H);

4.25 (quartet, 2H);

3.90 (broad singlet, 2H);

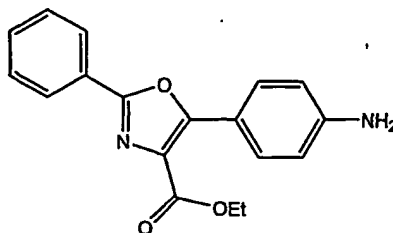
1.25 (triplet, 3H).

HPLC: Retention time = 1.26 min.

Mass Spectrum (ES⁺, m/z): 385 (M+H)⁺.

Example 12

Ethyl 5-(4-aminophenyl)-2-phenyloxazole-4-carboxylate



The title compound was prepared from ethyl 5-(4-nitrophenyl)-2-phenyloxazole-4-carboxylate, prepared as described in Example 3 above, in a manner analogous to Example 10 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.10 (multiplet, 2H);

7.97 (doublet, J = 9 Hz, 2H);

7.48 (multiplet, 3H);

6.75 (doublet, J = 9 Hz, 2H);

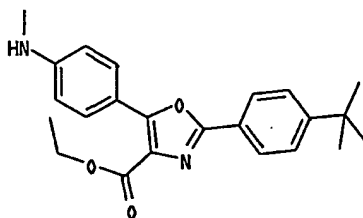
4.45 (quartet, 2H);

4.00 (broad singlet, 2H);

1.32 (triplet, 3H).

HPLC: Retention time = 1.11 min.

Mass Spectrum (ES⁺, m/z): 309 (M+H)⁺.

Example 13Ethyl 2-(4-*tert*-butylphenyl)-5-(4-methylaminophenyl)oxazole-4-carboxylate

Ethyl 5-(4-aminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate (626 mg, 1.72 mmol), prepared as described in Example 9 above, was dissolved in dichloromethane (13 ml). Trimethyloxonium tetrafluoroborate (305 mg, 2.06 mmol) was added and the resulting reaction mixture was stirred for 2 hours at room temperature. At the end of this time, the reaction mixture was diluted with dichloromethane (30 ml) and the organic layer washed with sodium hydrogencarbonate and brine. Removal of the solvent from the organic layer *in vacuo* yielded a crude mixture that was purified via flash chromatography (99.5:0.5 dichloromethane:methanol) to afford the title compound as a yellow solid (110 mg, 16%). Trituration with hexanes/trace ether gave a sample that was analytically pure (20 mg).

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.04 (doublet, J = 9 Hz, 2H);

7.97 (doublet, J = 9 Hz, 2H);

7.51 (doublet, J = 9 Hz, 2H);

6.64 (doublet, J = 9 Hz, 2H);

4.45 (quartet, 2H);

4.20 (singlet, 1H);

2.90 (singlet, 3H);

1.42 (triplet, 3H);

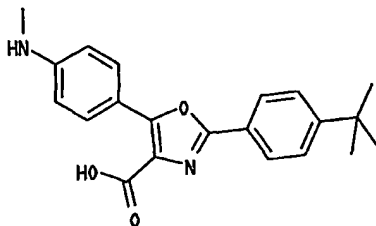
1.38 (singlet, 9H).

HPLC: Retention time = 1.41 min.

Mass Spectrum (ES⁺, m/z): 379 (M+H)⁺.

Example 14

2-(4-*tert*-butylphenyl)-5-(4-methylaminophenyl)oxazole-4-carboxylic acid



A solution of 1M potassium hydroxide in ethanol (280 μ l, 0.28 mmol) was added to a suspension of ethyl 2-(4-*tert*-butylphenyl)-5-(4-methylaminophenyl)oxazole-4-carboxylate, prepared as described in Example 13 above, in ethanol (2 ml). The reaction mixture was stirred for 6 hours at 60°C. At the end of this time, the reaction mixture was cooled to room temperature and the solvent was removed *in vacuo*. The resulting residue was diluted with water (10 ml). A 1N hydrochloric acid solution (300 μ l) was added and the suspension extracted with ethyl acetate (2 x 20 ml). The combined organic layers were washed with brine and dried over magnesium sulfate. Removal of the solvent *in vacuo* yielded a yellow

oil that was triturated with 1:1 hexanes:ether to afford the title compound as a yellow solid (33 mg, 39%).

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.22 (doublet, J = 9 Hz, 2H);

7.92 (doublet, J = 9 Hz, 2H);

7.52 (doublet, J = 9 Hz, 2H);

6.66 (doublet, J = 9 Hz, 2H);

2.92 (singlet, 3H);

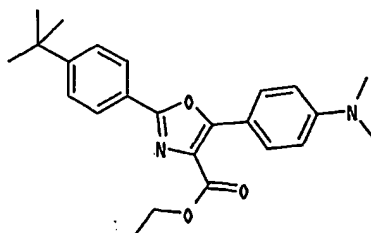
1.38 (singlet, 9H).

HPLC: Retention time = 1.14 min.

Mass Spectrum (ES⁺, m/z): 351 (M+H)⁺.

Example 15

Ethyl 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylate



Formaldehyde (37% aqueous solution) (1 ml) was added to a suspension of ethyl 5-(4-aminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate (1 mmol, 0.36 g), prepared as

described in Example 9 above, and 10% palladium on activated carbon (0.1 mmol, 0.1 g) in 95% ethanol (5 ml). The reaction vessel was fitted to a Parr apparatus and shaken at 379.2 kPa (hydrogen atmosphere) for 4 days. The solvent was then removed *in vacuo* and the residue partitioned between chloroform (20 ml) and water (10 ml). The organic layer was washed with brine (10 ml), dried over sodium sulfate and the solvent removed. The resulting residue was subjected to flash chromatography (25% ethyl acetate/hexanes) providing 180 mg (45 %) of the title compound as a yellow solid.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.03 (multiplet, 4H);

7.52 (doublet, J = 9 Hz, 2H);

6.75 (doublet, J = 9 Hz, 2H);

4.45 (quartet, 4H);

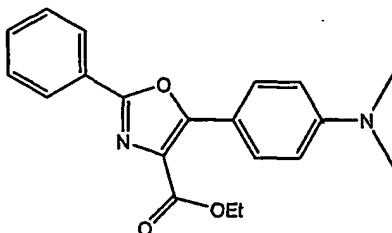
3.06 (singlet, 6H);

1.43 (triplet, 3H);

1.38 (singlet, 9H).

HPLC: Retention time = 1.60 min.

Mass Spectrum (ES⁺, m/z): 393 (M+H)⁺.

Example 16**Ethyl 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylate**

The title compound was prepared from ethyl 5-(4-aminophenyl)-2-phenyloxazole-4-carboxylate, prepared as described in Example 12 above, in a manner analogous to Example 15 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.10 (multiplet, 2H);

8.02 (doublet, J = 9 Hz, 2H);

7.48 (multiplet, 3H);

6.75 (doublet, J = 9 Hz, 2H);

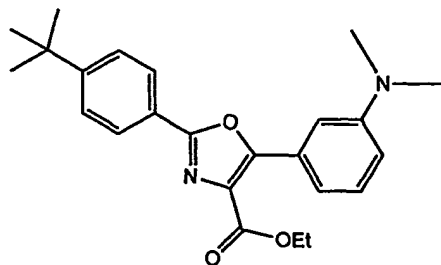
4.45 (quartet, 2H);

3.05 (singlet, 6H);

1.42 (triplet, 3H).

HPLC: Retention time = 1.37 min.

Mass Spectrum (ES⁺, m/z): 337 (M+H)⁺.

Example 17Ethyl 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylate

The title compound was prepared from ethyl 5-(3-aminophenyl)-2-(4-*tert*-butylphenyl)-oxazole-4-carboxylate, prepared as described in Example 10 above, in a manner analogous to Example 15 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.04 (doublet, J = 9 Hz, 2H);

7.52 (doublet, J = 9 Hz, 2H);

7.48 (multiplet, 2H);

7.36 (multiplet, 1H);

6.85 (doublet of doublets, J₁ = 9 Hz, J₂ = 2 Hz, 1H);

4.45 (quartet, 2H);

3.02 (singlet, 6H);

1.43 (triplet, 3H);

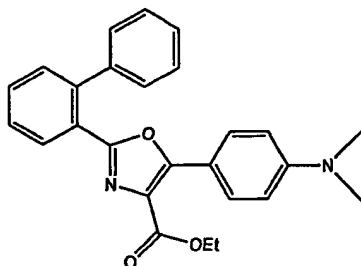
1.36 (singlet, 9H).

HPLC: Retention time = 1.27 min.

Mass Spectrum (ES+, m/z): 393 (M+H)⁺.

Example 18

Ethyl 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylate



The title compound was prepared from ethyl 5-(4-aminophenyl)-2-(biphenyl-2-yl)-oxazole-4-carboxylate, prepared as described in Example 11 above, in a manner analogous to Example 15 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

7.74 (doublet of doublets, J₁ = 9 Hz, J₂ = 2 Hz, 1H);

7.65 (doublet, J = 9 Hz, 2H);

7.52 (multiplet, 3H);

7.25 (multiplet, 5H);

7.23 (multiplet, 3H);

6.63 (doublet, J = 9 Hz, 2H);

4.25 (quartet, 2H);

3.02 (singlet, 6H);

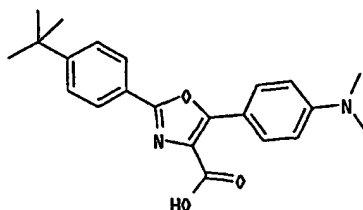
1.25 (triplet, 3H).

HPLC: Retention time = 1.38 min.

Mass Spectrum (ES⁺, m/z): 413 (M+H)⁺.

Example 19

2-(4-*tert*-Butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid



An aqueous solution of 1M lithium hydroxide (350 μ l) was added to a solution of ethyl 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylate (0.35 mmol, 137 mg), prepared as described in Example 15 above, in methanol (2 ml) and tetrahydrofuran (1 ml). The reaction mixture was then stirred for 8 hours during which time a precipitate formed. At the end of this time, 2M hydrochloric acid solution (1ml) was added and stirring continued until the precipitate became uniform. Filtration provided 95 mg (75%) of the title compound as a yellow solid.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.26 (doublet, J = 9 Hz, 2H);

7.95 (doublet, J = 9 Hz, 2H);

7.55 (doublet, $J = 9$ Hz, 2H);

6.76 (doublet, $J = 9$ Hz, 2H);

3.08 (singlet, 6H);

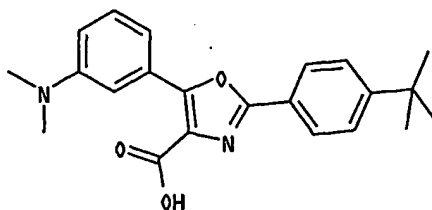
1.38 (singlet, 9H).

HPLC: Retention time = 1.32 min.

Mass Spectrum (ES⁺, m/z): 365 ($M+H$)⁺.

Example 20

2-(4-*tert*-Butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid



The title compound was prepared from ethyl 2-(4-*tert*-butylphenyl)-5-(3-dimethylamino-phenyl)oxazole-4-carboxylate, prepared as described in Example 17, in a manner analogous to Example 14 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, d_6 -DMSO) δ ppm:

8.40 (multiplet, 2H);

7.50 (multiplet, 2H);

7.35 (multiplet, 3H);

6.82 (multiplet, 1H);

3.00 (singlet, 6H);

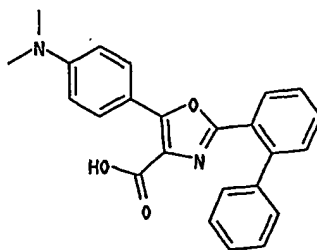
1.35 (singlet, 9H).

HPLC: Retention time = 1.36 min.

Mass Spectrum (ES⁺, m/z): 365 (M+H)⁺.

Example 21

2-(Biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid



The title compound was prepared from ethyl 2-(biphenyl-2-yl)-5-(4-dimethylamino-phenyl)oxazole-4-carboxylate, prepared as described in Example 18 above, in a manner analogous to Example 14 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, d₆-DMSO) δ ppm:

7.80 (doublet of doublets, J₁ = 9 Hz, J₂ = 2 Hz, 1H);

7.55 (multiplet, 1H);

7.47 (multiplet, 2H);

7.40 (doublet, J = 9 Hz, 2H);

7.26 (multiplet, 5H);

6.66 (doublet, $J = 9$ Hz, 2H);

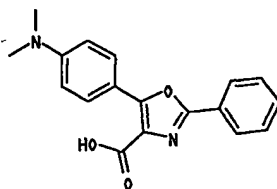
2.93 (singlet, 6H).

HPLC: Retention time = 1.11 min.

Mass Spectrum (ES+, m/z): 385 ($M+H$)⁺.

Example 22

5-(4-Dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid



The title compound was prepared from ethyl 5-(4-aminophenyl)-2-phenyloxazole-4-carboxylate, prepared as described in Example 16 above, in a manner analogous to Example 14 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.30 (doublet of doublets, $J_1 = 9$ Hz, $J_2 = 2$ Hz, 2H);

7.96 (doublet, $J = 9$ Hz, 2H);

7.49 (multiplet, 3H);

6.76 (doublet, $J = 9$ Hz, 2H);

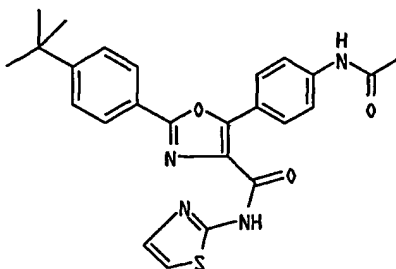
3.07 (singlet, 6H).

HPLC: Retention time = 0.94 min.

Mass Spectrum (ES⁺, m/z): 309 (M+H)⁺.

Example 23

N-(thiazol-2-yl)-5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



N-(thiazol-2-yl)-5-(4-aminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide (0.25 mmol, 100 mg), prepared as described in Example 7 above, was dissolved in pyridine (1 ml). Acetyl chloride (0.27 mmol, 21 mg, 19 μ l) was added and the reaction mixture was stirred overnight at room temperature. At the end of this time, the solvent was removed *in vacuo*. Flash column chromatography (25% ethyl acetate/hexanes) provided 30 mg (27%) of the title compound as a tan solid.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

10.60 (singlet, 1H);

8.29 (doublet of doublets, $J_1 = 8$ Hz, $J_2 = 2$ Hz, 2H);

8.06 (doublet, $J = 8$ Hz, 2H);

7.70 (doublet, $J = 9$ Hz, 2H);

7.55 (multiplet, 3H);

7.48 (singlet, 1H);

7.04 (doublet of doublets, $J_1 = 4$ Hz, $J_2 = 1$ Hz, 1H);

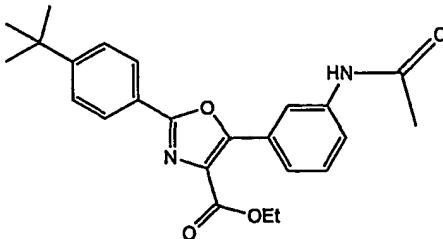
2.22 (singlet, 3H);

1.38 (singlet, 9H).

HPLC: Retention time = 1.42 min.

Mass Spectrum (ES⁺, m/z): 461 ($M+H$)⁺.

Example 24



Ethyl 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate

Pyridine (125 mmol, 10 ml) was added to a solution of ethyl 5-(4-aminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate (24.2 mmol, 8.8 g), prepared as described in Example 9 above, in dichloromethane (150 ml) and the reaction was cooled to 0°C. Acetyl chloride (48.4 mmol, 3.4 ml) was added dropwise to the cooled mixture and the reaction mixture was then stirred for 1 hour. At the end of this time, the reaction mixture was diluted with

dichloromethane (150 ml), washed with 2M hydrochloric acid solution (2 x 100 ml) and brine (100 ml), and then dried over sodium sulfate. Removal of the solvent *in vacuo* provided the title compound (8.8 g, 90 %) as a yellow solid.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.10 (doublet, J = 9 Hz, 2H);

8.05 (doublet, J = 9 Hz, 2H);

7.67 (doublet, J = 9 Hz, 2H);

7.55 (doublet, J = 9 Hz, 2H);

4.45 (quartet, J = 9 Hz, 2H);

2.20 (singlet, 3H);

1.42 (triplet, J = 9 Hz, 3H);

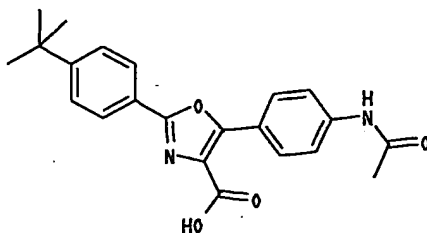
1.38 (singlet, 9H).

HPLC: Retention time = 1.36 min.

Mass Spectrum (ES⁺, m/z): 407 (M+H)⁺.

Example 25

5-(4-Acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid



10 % sodium hydroxide (75 ml) was added to a solution of ethyl 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate (21.8 mmol, 8.8 g), prepared as described in Example 24 above, in methanol (100 ml) and tetrahydrofuran (75 ml). The reaction mixture was then stirred for 4 hours upon which a yellow precipitate formed. The solvent was removed *in vacuo* and the crude residue partitioned between ethyl acetate (200 ml) and 2M hydrochloric acid solution (200 ml). The organic layer was washed with brine (100 ml), dried over sodium sulfate and the solvent was then removed *in vacuo* providing the title compound (5.9g, 72 %) as a yellow solid.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.25 (doublet, J = 8.7 Hz, 2H);

8.09 (doublet, J = 8.7 Hz, 2H);

7.70 (doublet, J = 8.4 Hz, 2H);

7.55 (doublet, J = 8.7 Hz, 2H);

2.25 (singlet, 3H);

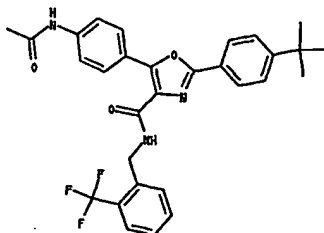
1.38 (singlet, 9H).

HPLC: Retention time = 1.17 min.

Mass Spectrum (ES⁺, m/z): 379 (M+H)⁺.

Example 26

N-(2-trifluoromethylbenzyl)-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



A solution of 5-(4-acetylamino-2-((2-(2-(trifluoromethyl)phenyl)ethyl)carbamoyl)-5-(tert-butyl)phenoxy)-2-methylimidazole-4-carboxylic acid, prepared as described in Example 25 above, in a 4:1 by volume mixture of acetonitrile and pyridine (800 μ l, 0.125M, 100 μ mol) was added to a 2-dram reaction vial. A solution of 2-chloro-1,3-dimethylimidazolium chloride (DMC) in a 4:1 by volume mixture of acetonitrile and pyridine (800 μ l, 0.25M, 200 μ mol) was added followed by the addition of a solution of 2-trifluoromethylbenzylamine in CH_3CN (400 μ l, 0.25M, 100 μ mol). The reaction was allowed to stand for 4 hours at room temperature. The solvent was removed in vacuo and the crude material submitted for HPLC purification.

^1H -Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl_3) δ ppm:

8.25 (doublet, $J = 8.4$ Hz, 2H);

8.03 (doublet, $J = 8.7$ Hz, 2H);

7.78 (triplet, $J = 6.6$ Hz, 1H);

7.65 (multiplet, 4H);

7.52 (multiplet, 3H);

7.37 (triplet, $J = 7.5$ Hz, 2H);

7.26 (singlet, 1H);

4.85 (doublet, $J = 6.3$ Hz, 2H);

2.20 (singlet, 3H);

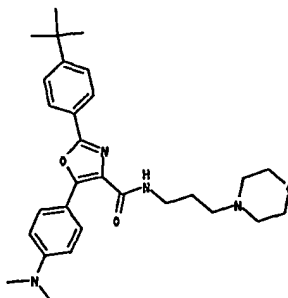
1.34 (singlet, 9H).

HPLC: Retention time = 1.68 min.

Mass Spectrum (ES⁺, m/z): 536 (M+H)⁺.

Example 27

N-[3-(morpholin-4-yl)propyl]-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-
carboxamide



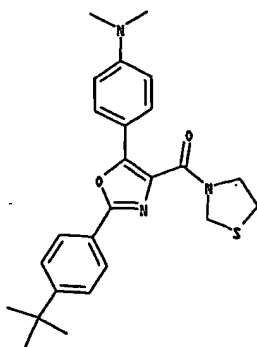
The title compound was prepared in analogous manner to Example 26, using 3-(morpholin-4-yl)propylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.10 min.

Mass Spectrum (ES⁺, m/z): 491 (M+H)⁺.

Example 28

2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)-4-(thiazolidin-3-ylcarbonyl)oxazole



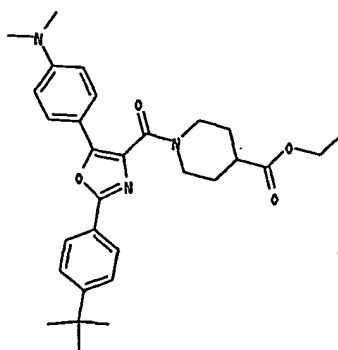
The title compound was prepared in analogous manner to Example 26, using thiazolidine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)-oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.62 min.

Mass Spectrum (ES⁺, m/z): 436 (M+H)⁺.

Example 29

2-(4-*tert*-Butylphenyl)-5-(4-dimethylaminophenyl)-4-[[4-(2-ethoxycarbonyl)piperidine]-carbonyl]oxazole



The title compound was prepared in analogous manner to Example 26, using ethyl piperidin-4-ylcarboxylate instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

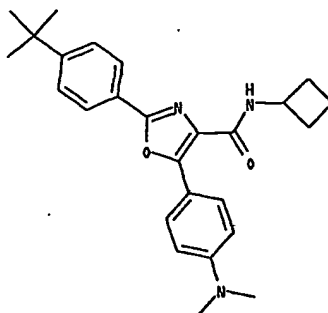
HPLC: Retention time = 1.61 min.

Mass Spectrum (ES⁺, m/z): 504 (M+H)⁺.

Example 30

N-cyclobutyl-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-
carboxamide

89



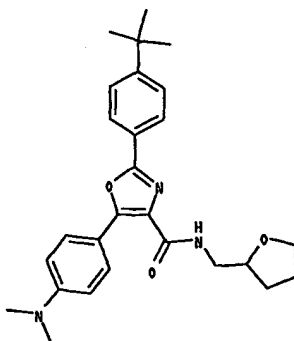
The title compound was prepared in analogous manner to Example 26, using cyclobutylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.76 min.

Mass Spectrum (ES⁺, m/z): 418 (M+H)⁺.

Example 31

N-(tetrahydrofuran-2-ylmethyl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



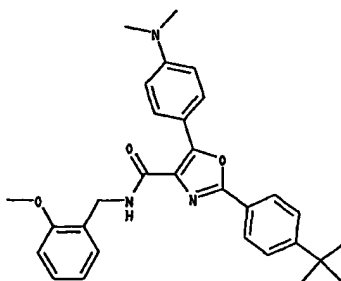
The title compound was prepared in analogous manner to Example 26, using tetrahydrofuran-2-ylmethylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butyl-phenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.68 min.

Mass Spectrum (ES⁺, m/z): 448 (M+H)⁺.

Example 32

N-(2-methoxybenzyl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-
carboxamide



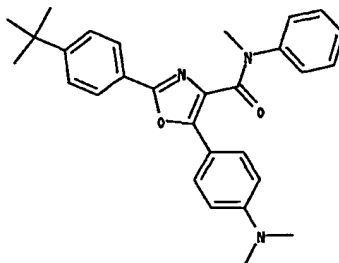
The title compound was prepared in analogous manner to Example 26, using 2-methoxybenzylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.79 min.

Mass Spectrum (ES+, m/z): 484 (M+H)⁺.

Example 33

N-Methyl-N-phenyl-2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-
carboxamide



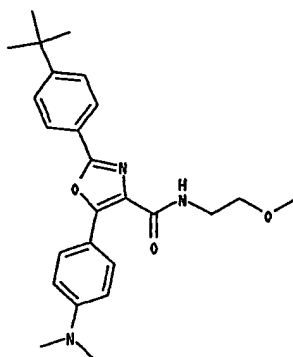
The title compound was prepared in analogous manner to Example 26, using *N*-methylphenylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.65 min.

Mass Spectrum (ES+, m/z): 454 (M+H)⁺.

Example 34

N-(2-methoxyethyl)-2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-
carboxamide



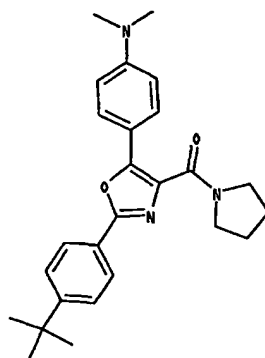
The title compound was prepared in analogous manner to Example 26, using 2-methoxyethylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.62 min.

Mass Spectrum (ES⁺, m/z): 422 (M+H)⁺.

Example 35

2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)-4-(pyrrolidin-1-ylcarbonyl)oxazole



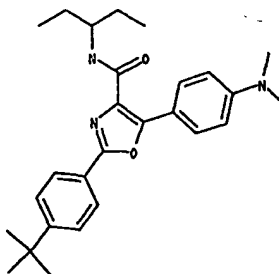
The title compound was prepared in analogous manner to Example 26, using pyrrolidine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylamino-phenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.60 min.

Mass Spectrum (ES⁺, m/z): 418 (M+H)⁺.

Example 36

N-(1-ethylpropyl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



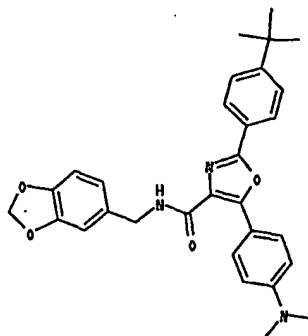
The title compound was prepared in analogous manner to Example 26, using 1-ethyl-propylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.82 min.

Mass Spectrum (ES⁺, m/z): 434 (M+H)⁺.

Example 37

N-(benzo[1,3]dioxol-5-ylmethyl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



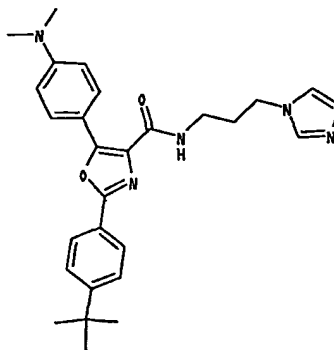
The title compound was prepared in analogous manner to Example 26, using benzo[1,3]dioxol-5-ylmethanamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.74 min.

Mass Spectrum (ES⁺, m/z): 498 (M+H)⁺.

Example 38

N-[3-(imidazol-1-yl)propyl]-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using 3-(imidazol-1-yl)propylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in

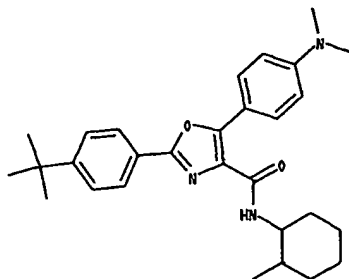
Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.11 min.

Mass Spectrum (ES+, m/z): 472 (M+H)⁺.

Example 39

N-(2-methylcyclohexyl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



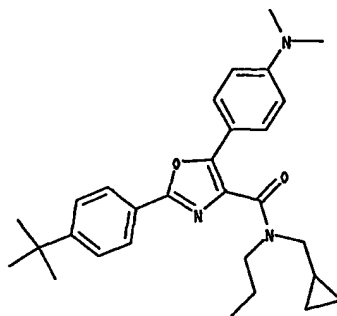
The title compound was prepared in analogous manner to Example 26, using 2-methyl-cyclohexylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.88 min.

Mass Spectrum (ES+, m/z): 460 (M+H)⁺.

Example 40

N-cyclopropylmethyl-N-propyl-2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



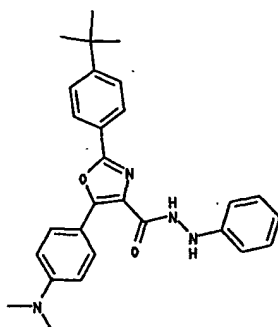
The title compound was prepared in analogous manner to Example 26, using *N*-cyclopropyl-*N*-propylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.76 min.

Mass Spectrum (ES⁺, *m/z*): 460 (M+H)⁺.

Example 41

N'-phenyl-2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carbonylhydrazide



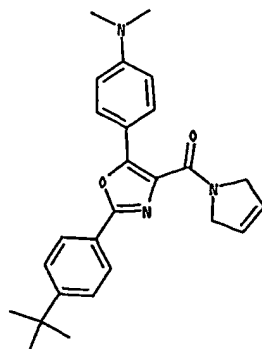
The title compound was prepared in analogous manner to Example 26, *N*-phenylhydrazine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.69 min.

Mass Spectrum (ES⁺, m/z): 455 (M+H)⁺.

Example 42

2-(4-*tert*-Butylphenyl)-4-[(2,5-dihydropyrrol-1-yl)carbonyl]-5-(4-dimethylaminophenyl)oxazole



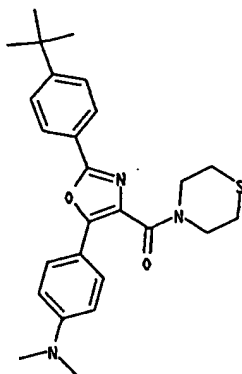
The title compound was prepared in analogous manner to Example 26, using 2,5-dihydropyrrole instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.62 min.

Mass Spectrum (ES⁺, m/z): 416 (M+H)⁺.

Example 43

2-(4-tert-Butylphenyl)-5-(4-dimethylaminophenyl)-4-[(thiomorpholin-4-yl)carbonyl]oxazole



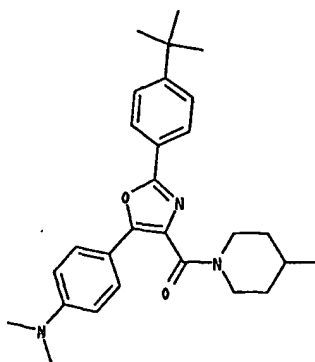
The title compound was prepared in analogous manner to Example 26, using thiomorpholine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.62 min.

Mass Spectrum (ES⁺, m/z): 450 (M+H)⁺.

Example 44

2-(4-tert-Butylphenyl)-5-(4-dimethylaminophenyl)-4-[(4-methylpiperidin-1-yl)carbonyl]oxazole



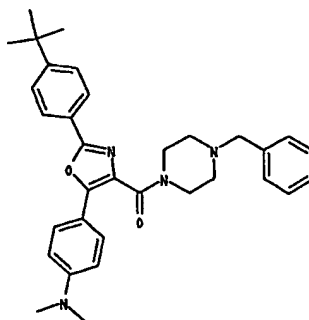
The title compound was prepared in analogous manner to Example 26, using 4-methylpiperidine instead of 2-trifluoromethylbenzylamine and 2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.72 min.

Mass Spectrum (ES⁺, m/z): 446 (M+H)⁺.

Example 45

4-[(4-Benzylpiperazin-1-yl)carbonyl]-2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole



The title compound was prepared in analogous manner to Example 26, using 4-benzylpiperazine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

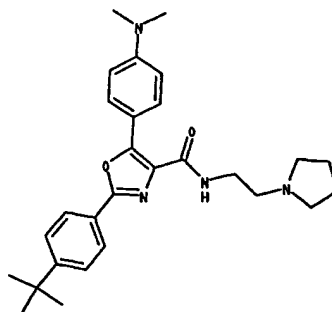
HPLC: Retention time = 1.14 min.

Mass Spectrum (ES⁺, m/z): 523 (M+H)⁺.

Example 46

N-[2-(pyrrolidin-1-yl)ethyl]-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide

103



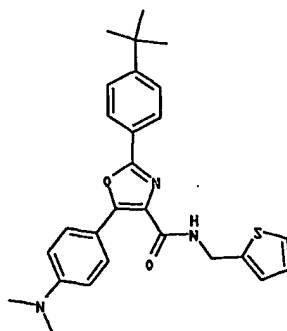
The title compound was prepared in analogous manner to Example 26, using 2-(pyrrolidin-1-yl)ethylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.11 min.

Mass Spectrum (ES⁺, m/z): 461 (M+H)⁺.

Example 47

N-(thiophen-2-ylmethyl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



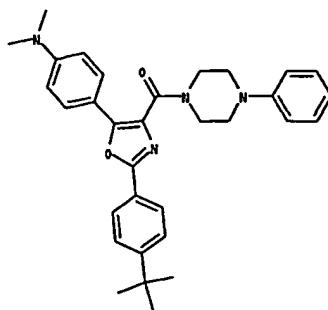
The title compound was prepared in analogous manner to Example 26, using thiophen-2-ylmethylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.74 min.

Mass Spectrum (ES⁺, m/z): 460 (M+H)⁺.

Example 48

2-(4-*tert*-Butylphenyl)-5-(4-dimethylaminophenyl)-4-[4-phenylpiperazin-1-yl]carbonyl]oxazole



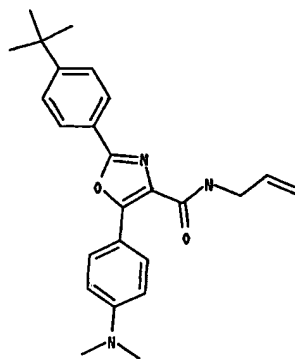
The title compound was prepared in analogous manner to Example 26, using 4-phenylpiperazine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.70 min.

Mass Spectrum (ES⁺, m/z): 509 (M+H)⁺.

Example 49

N-allyl-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



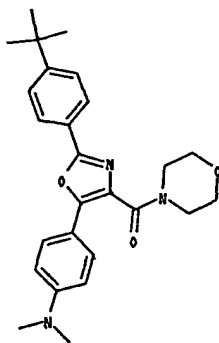
The title compound was prepared in analogous manner to Example 26, using allylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylamino-phenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.69 min.

Mass Spectrum (ES⁺, m/z): 404 (M+H)⁺.

Example 50

2-(4-*tert*-Butylphenyl)-5-(4-dimethylaminophenyl)-4-[(morpholin-4-yl)carbonyl]oxazole



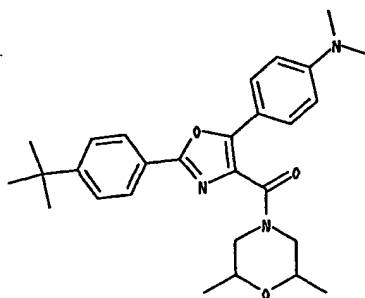
The title compound was prepared in analogous manner to Example 26, using morpholine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylamino-phenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.50 min.

Mass Spectrum (ES⁺, m/z): 434 (M+H)⁺.

Example 51

2-(4-tert-Butylphenyl)-5-(4-dimethylaminophenyl)-4-[(2,6-dimethylmorpholin-4-yl)carbonyl]-oxazole



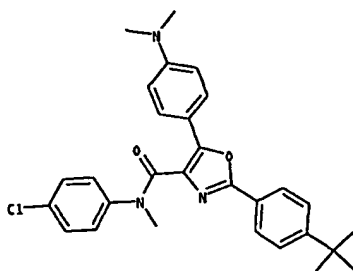
The title compound was prepared in analogous manner to Example 26, using 2,6-dimethylmorpholine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.58 min.

Mass Spectrum (ES⁺, m/z): 462 (M+H)⁺.

Example 52

N-(4-chlorophenyl)-*N*-methyl-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



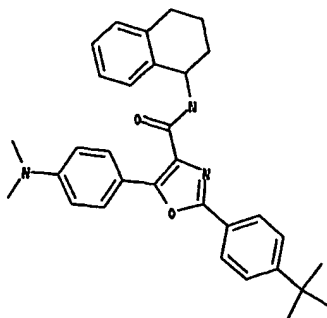
The title compound was prepared in analogous manner to Example 26, using *N*-methyl-4-chlorophenylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.72 min.

Mass Spectrum (ES⁺, m/z): 489, 491 (M+H)⁺.

Example 53

N-(1,2,3,4-tetrahydronaphthalen-1-yl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)-oxazole-4-carboxamide



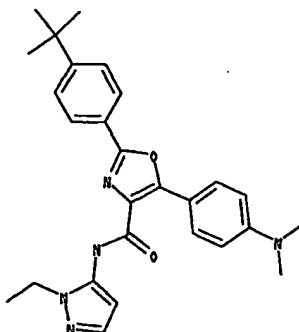
The title compound was prepared in analogous manner to Example 26, using 1,2,3,4-tetrahydronaphthalen-1-ylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.91 min.

Mass Spectrum (ES⁺, m/z): 494 (M+H)⁺.

Example 54

N-(2-ethyl-2H-pyrazol-3-yl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



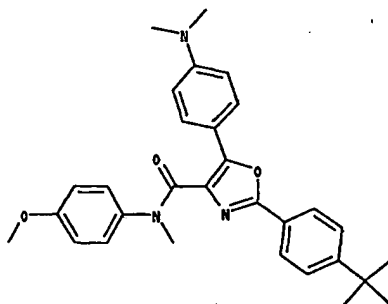
The title compound was prepared in analogous manner to Example 26, using (2-ethyl-2H-pyrazol-3-yl)amine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.69 min.

Mass Spectrum (ES⁺, m/z): 458 (M+H)⁺.

Example 55

N-(4-methoxyphenyl)-N-methyl-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using *N*-methyl-4-methoxyphenylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

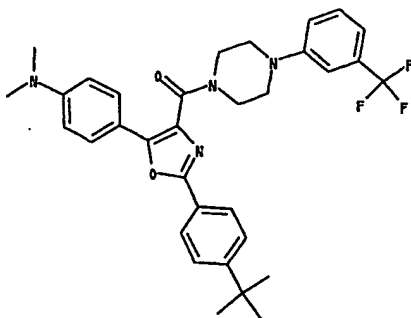
HPLC: Retention time = 1.61 min.

Mass Spectrum (ES⁺, m/z): 484 (M+H)⁺

Example 56

2-(4-*tert*-Butylphenyl)-5-(4-dimethylaminophenyl)-4-[[4-(3-trifluoromethylphenyl)piperazin-1-yl]carbonyl]oxazole

112



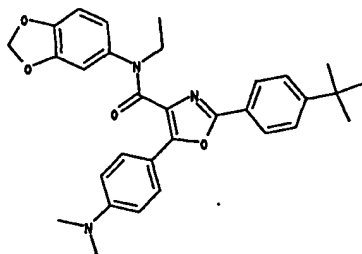
The title compound was prepared in analogous manner to Example 26, using 4-(3-trifluoromethylphenyl)piperazine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.78 min.

Mass Spectrum (ES⁺, m/z): 577 (M+H)⁺.

Example 57

N-(benzo[1,3]dioxol-5-yl)-N-ethyl-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



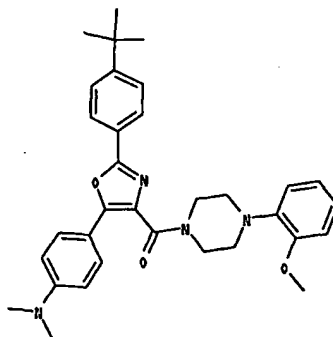
The title compound was prepared in analogous manner to Example 26, using *N*-ethyl-(benzo[1,3]dioxol-5-yl)amine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.64 min.

Mass Spectrum (ES⁺, *m/z*): 512 (M+H)⁺.

Example 58

2-(4-*tert*-Butylphenyl)-5-(4-dimethylaminophenyl)-4-[[4-(2-methoxyphenyl)piperazin-1-yl]carbonyl]oxazole



The title compound was prepared in analogous manner to Example 26, using 4-(2-methoxyphenyl)piperazine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in

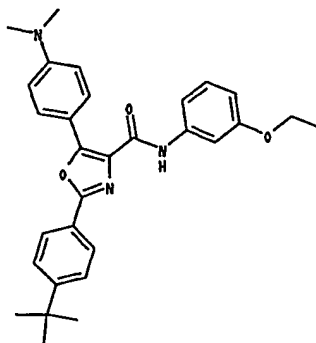
Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.66 min.

Mass Spectrum (ES⁺, m/z): 539 (M+H)⁺.

Example 59

N-(3-ethoxyphenyl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-
carboxamide



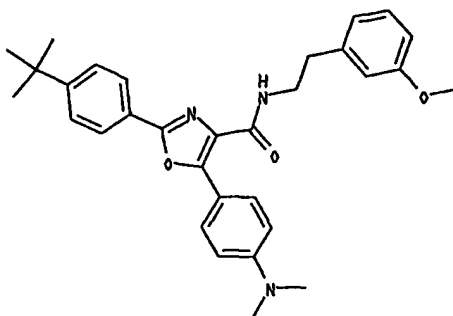
The title compound was prepared in analogous manner to Example 26, using 3-ethoxyphenylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.89 min.

Mass Spectrum (ES⁺, m/z): 484 (M+H)⁺.

Example 60

N-[2-(3-methoxyphenyl)ethyl]-2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



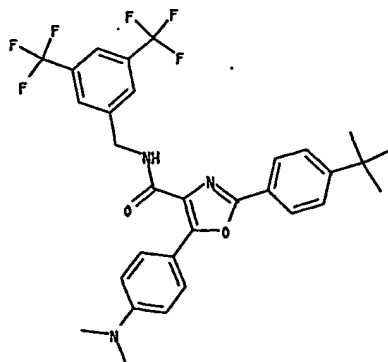
The title compound was prepared in analogous manner to Example 26, using 2-(3-methoxyphenyl)ethylamine instead of 2-trifluoromethylbenzylamine and 2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.77 min.

Mass Spectrum (ES⁺, m/z): 498 (M+H)⁺.

Example 61

N-(3,5-bis-trifluoromethylbenzyl)-2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



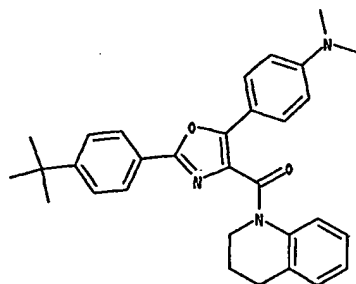
The title compound was prepared in analogous manner to Example 26, using 3,5-bis-trifluoromethylbenzylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.88 min.

Mass Spectrum (ES⁺, m/z): 590 (M+H)⁺.

Example 62

2-(4-*tert*-Butylphenyl)-4-[(3,4-dihydro-2H-quinolin-1-yl)carbonyl]-5-(4-dimethylaminophenyl)-oxazole



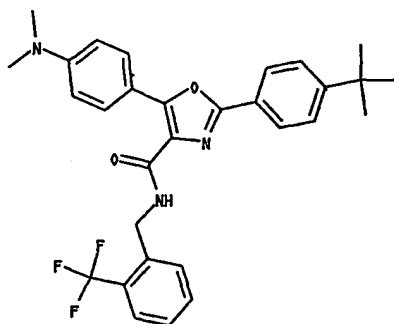
The title compound was prepared in analogous manner to Example 26, using 3,4-dihydro-2*H*-quinoline instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.73 min.

Mass Spectrum (ES⁺, m/z): 480 (M+H)⁺.

Example 63

N-(2-trifluoromethylbenzyl)-2-(4-tert-butylphenyl)-5-(4-
dimethylaminophenyl)oxazole-4-carboxamide



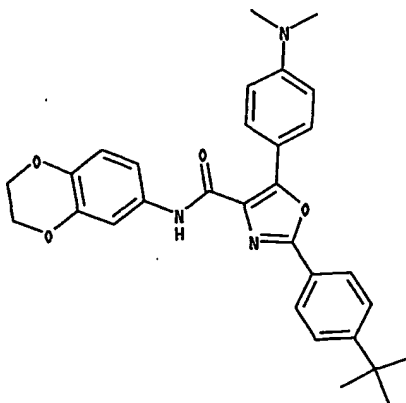
The title compound was prepared in analogous manner to Example 26, using 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.87 min.

Mass Spectrum (ES⁺, m/z): 522 (M+H)⁺.

Example 64

N-(2,3-dihydrobenzo[1,4]dioxin-6-yl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)-oxazole-4-carboxamide



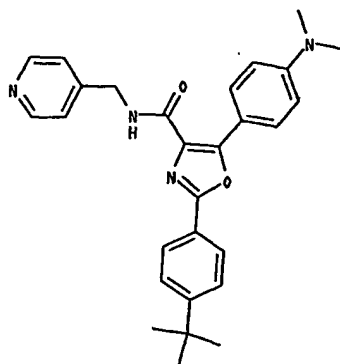
The title compound was prepared in analogous manner to Example 26, using (2,3-dihydrobenzo[1,4]dioxin-6-yl)amine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.79 min.

Mass Spectrum (ES⁺, m/z): 498 (M+H)⁺.

Example 65

N-(pyridin-4-ylmethyl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



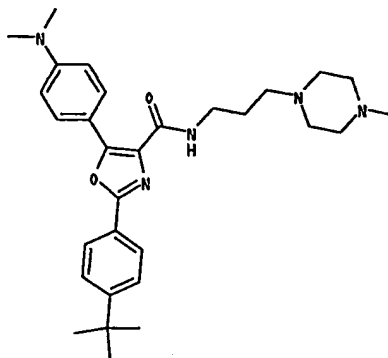
The title compound was prepared in analogous manner to Example 26, using pyridin-4-ylmethanamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.23 min.

Mass Spectrum (ES⁺, *m/z*): 455 (M+H)⁺.

Example 66

N-[3-(4-methylpiperazin-1-yl)propyl]-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)-oxazole-4-carboxamide



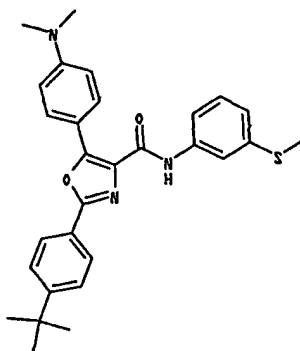
The title compound was prepared in analogous manner to Example 26, using 3-(4-methylpiperazin-1-yl)propylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 0.93 min.

Mass Spectrum (ES⁺, m/z): 504 (M+H)⁺.

Example 67

N-(3-methylsulfanylphenyl)-2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using 3-methyl-sulfanylphenylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

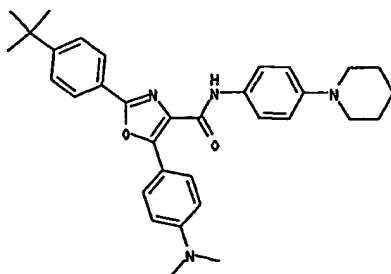
HPLC: Retention time = 1.87 min.

Mass Spectrum (ES⁺, m/z): 486 (M+H)⁺.

Example 68

N-(4-piperidin-1-ylphenyl)-2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide

123



The title compound was prepared in analogous manner to Example 26, using 4-(piperidin-1-yl)phenylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

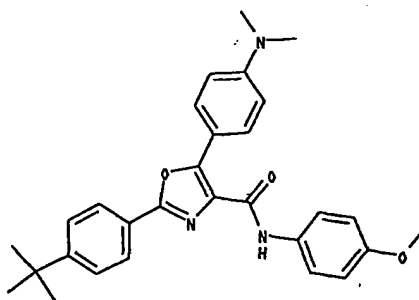
HPLC: Retention time = 1.37 min.

Mass Spectrum (ES⁺, m/z): 523 (M+H)⁺.

Example 69

N-(4-methoxyphenyl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-
carboxamide

124



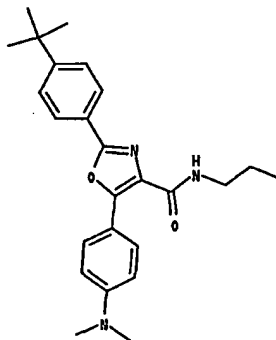
The title compound was prepared in analogous manner to Example 26, using 4-methoxy-phenylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.79 min.

Mass Spectrum (ES⁺, m/z): 470 (M+H)⁺.

Example 70

N-propyl-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



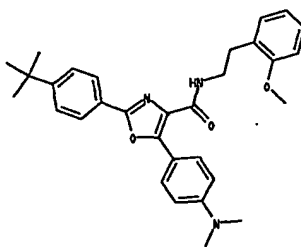
The title compound was prepared in analogous manner to Example 26, using propylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylamino-phenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.72 min.

Mass Spectrum (ES⁺, m/z): 406 (M+H)⁺.

Example 71

N-[2-(2-methoxyphenyl)ethyl]-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



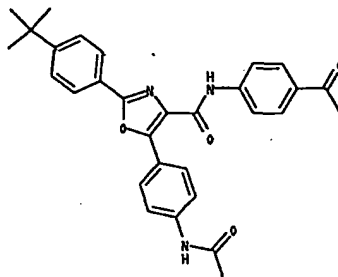
The title compound was prepared in analogous manner to Example 26, using 2-(2-methoxyphenyl)ethylamine instead of 2-trifluoromethylbenzylamine and 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, instead of 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid.

HPLC: Retention time = 1.81 min.

Mass Spectrum (ES⁺, m/z): 498 (M+H)⁺.

Example 72

N-(4-acetylphenyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using 4-acetylphenylamine instead of 2-trifluoromethylbenzylamine.

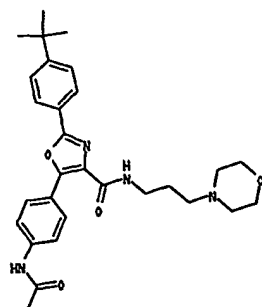
HPLC: Retention time = 1.57 min.

Mass Spectrum (ES⁺, m/z): 496 (M+H)⁺.

Example 73

N-[3-(morpholin-4-yl)propyl]-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide

127



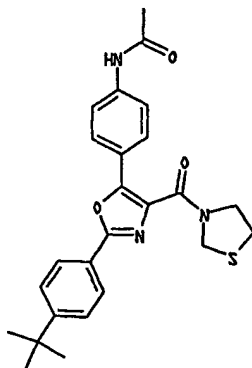
The title compound was prepared in analogous manner to Example 26, using 3-(morpholin-4-yl)propylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 0.89 min.

Mass Spectrum (ES⁺, m/z): 505 (M+H)⁺.

Example 74

5-(4-Acetylamino-phenyl)-2-(4-tert-butylphenyl)-4-[thiazolidin-3-yl]carbonyl]oxazole



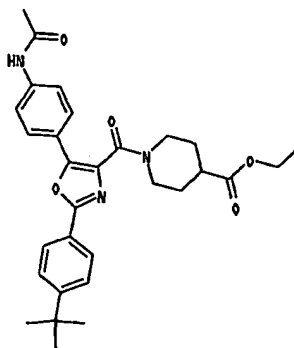
The title compound was prepared in analogous manner to Example 26, using thiazolidine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.36 min.

Mass Spectrum (ES+, m/z): 450 (M+H)⁺.

Example 75

5-(4-Acetylamino-phenyl)-2-(4-tert-butylphenyl)-4-[[4-(ethoxycarbonyl)piperidin-1-yl]carbonyl]oxazole



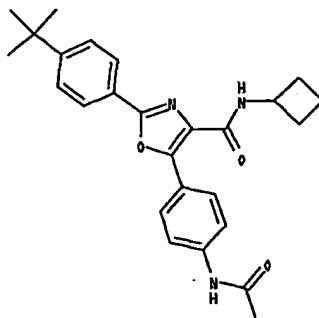
The title compound was prepared in analogous manner to Example 26, using 4-(ethoxycarbonyl)piperidine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.37 min.

Mass Spectrum (ES+, m/z): 518 (M+H)⁺.

Example 76

N-cyclobutyl-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using cyclobutylamine instead of 2-trifluoromethylbenzylamine.

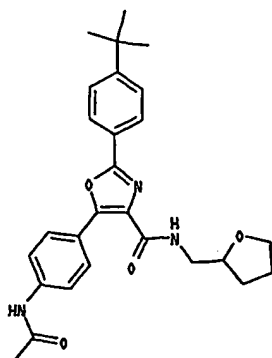
HPLC: Retention time = 1.50 min.

Mass Spectrum (ES+, m/z): 432 (M+H)⁺.

Example 77

N-(tetrahydrofuran-2-ylmethyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide

130



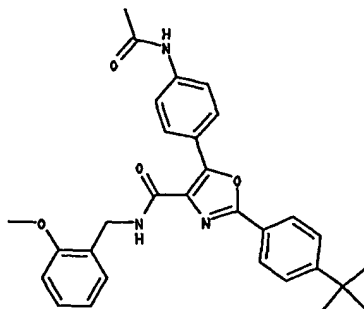
The title compound was prepared in analogous manner to Example 26, using tetrahydrofuran-2-ylmethylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.41 min.

Mass Spectrum (ES+, m/z): 462 (M+H)⁺.

Example 78

N-(2-methoxybenzyl)-5-(4-acetylaminophenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



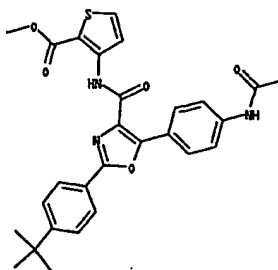
The title compound was prepared in analogous manner to Example 26, using 2-methoxybenzylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.58 min.

Mass Spectrum (ES+, m/z): 498 (M+H)⁺.

Example 79

N-[(2-methoxycarbonyl)thiophen-3-yl]-5-(4-Acetylaminophenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



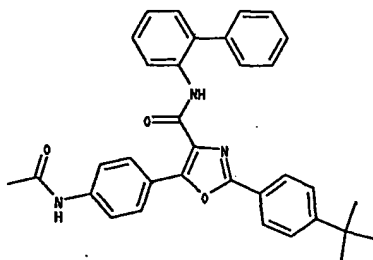
The title compound was prepared in analogous manner to Example 26, using 2-(methoxycarbonyl)thiophen-3-ylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.71 min.

Mass Spectrum (ES+, m/z): 518 (M+H)⁺.

Example 80

N-(biphenyl-2-yl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-
carboxamide



The title compound was prepared in analogous manner to Example 26, using biphenyl-2-ylamine instead of 2-trifluoromethylbenzylamine.

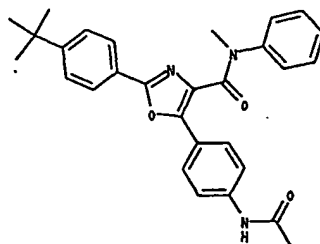
HPLC: Retention time = 1.82 min.

Mass Spectrum (ES⁺, m/z): 530 (M+H)⁺.

Example 81

N-methyl-N-phenyl-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-
carboxamide

133



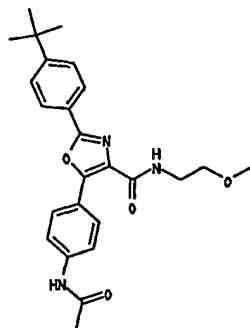
The title compound was prepared in analogous manner to Example 26, using N-methyl phenylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.41 min.

Mass Spectrum (ES+, m/z): 468 (M+H)⁺.

Example 82

N-(2-methoxyethyl)-5-(4-acetaminophenyl)-2-(4-tert-butylphenyl)oxazole-4-
carboxamide



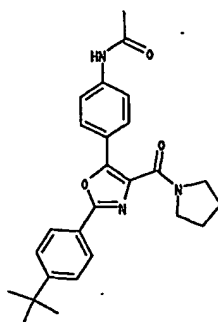
The title compound was prepared in analogous manner to Example 26, using 2-methoxyethylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.35 min.

Mass Spectrum (ES⁺, m/z): 436 (M+H)⁺.

Example 83

5-(4-Acetylamino-phenyl)-2-(4-tert-butylphenyl)-4-[pyrrolidin-1-yl]carbonyl]oxazole



The title compound was prepared in analogous manner to Example 26, using pyrrolidine instead of 2-trifluoromethylbenzylamine.

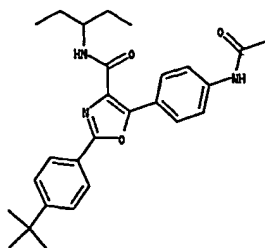
HPLC: Retention time = 1.31 min.

Mass Spectrum (ES⁺, m/z): 432 (M+H)⁺.

Example 84

N-(1-ethylpropyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide

135



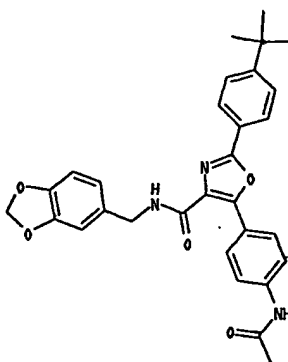
The title compound was prepared in analogous manner to Example 26, using 1-ethylpropylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.61 min.

Mass Spectrum (ES⁺, m/z): 447 (M+H)⁺.

Example 85

N-(benzo[1,3]dioxol-5-ylmethyl)-5-(4-acetaminophenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



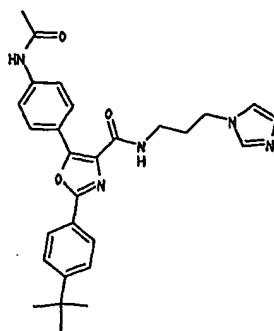
The title compound was prepared in analogous manner to Example 26, using benzo[1,3]dioxol-5-ylmethylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.51 min.

Mass Spectrum (ES⁺, m/z): 512 (M+H)⁺.

Example 86

N-[3-(imidazol-1-yl)propyl]-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



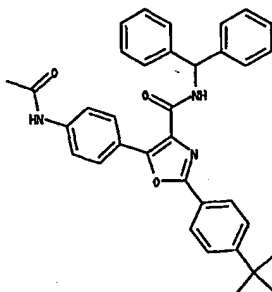
The title compound was prepared in analogous manner to Example 26, using 3-(imidazol-1-yl)propylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 0.89 min.

Mass Spectrum (ES⁺, m/z): 486 (M+H)⁺.

Example 87

N-benzhydryl-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-
carboxamide



The title compound was prepared in analogous manner to Example 26, benzhydrylamine instead of 2-trifluoromethylbenzylamine.

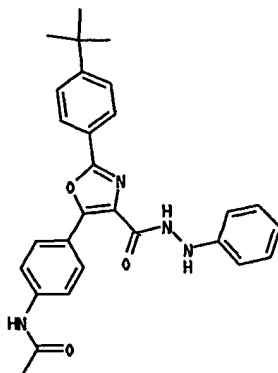
HPLC: Retention time = 1.72 min.

Mass Spectrum (ES⁺, m/z): 544 (M+H)⁺.

Example 88

N'-phenyl-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-
carbonylhydrazide

138



The title compound was prepared in analogous manner to Example 26, using N-phenylhydrazine instead of 2-trifluoromethylbenzylamine.

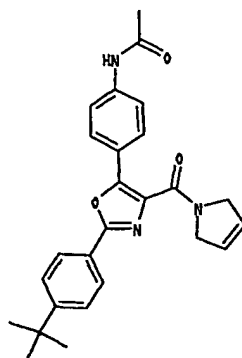
HPLC: Retention time = 1.47 min.

Mass Spectrum (ES⁺, m/z): 469 (M+H)⁺.

Example 89

5-(4-Acetylamino-phenyl)-2-(4-tert-butylphenyl)-4-[(2,5-dihydropyrrol-1-yl)carbonyl] oxazole

139



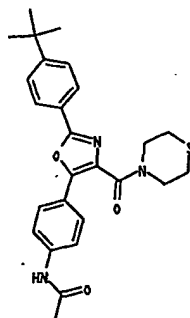
The title compound was prepared in analogous manner to Example 26, using 2,5-dihydropyrrole instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.35 min.

Mass Spectrum (ES⁺, m/z): 430 (M+H)⁺.

Example 90

5-(4-Acetylaminophenyl)-2-(4-tert-butylphenyl)-4-[(thiomorpholin-4-yl)carbonyl]oxazole



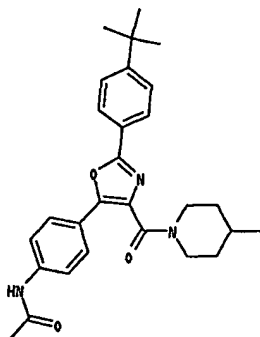
The title compound was prepared in analogous manner to Example 26, using thiomorpholine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.36 min.

Mass Spectrum (ES⁺, m/z): 464 (M+H)⁺.

Example 91

5-(4-Acetylamino-phenyl)-2-(4-tert-butylphenyl)-4-[(4-methylpiperidin-1-yl)carbonyl]oxazole



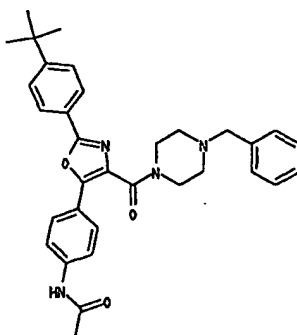
The title compound was prepared in analogous manner to Example 26, 4-methylpiperidine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.45 min.

Mass Spectrum (ES⁺, m/z): 460 (M+H)⁺.

Example 92

5-(4-Acetylamino-phenyl)-4-[(4-benzyl)piperazin-1-yl]carbonyl]-2-(4-tert-butylphenyl)oxazole



The title compound was prepared in analogous manner to Example 26, 4-benzyl-piperazine instead of 2-trifluoromethylbenzylamine.

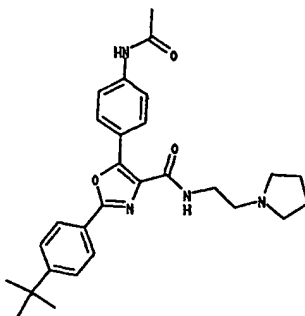
HPLC: Retention time = 0.94 min.

Mass Spectrum (ES⁺, m/z): 537 (M+H)⁺.

Example 93

N-[2-(pyrrolidin-1-yl)ethyl]-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide

142



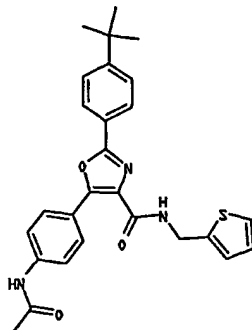
The title compound was prepared in analogous manner to Example 26, 2-(pyrrolidin-1-yl)ethylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 0.91 min.

Mass Spectrum (ES⁺, m/z): 475 (M+H)⁺.

Example 94

N-(thiophen-2-ylmethyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



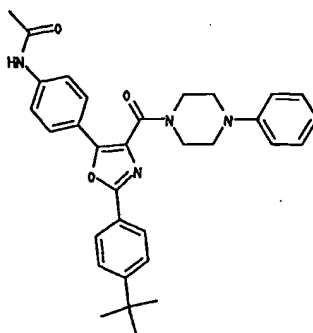
The title compound was prepared in analogous manner to Example 26, using thiophen-2-ylmethanamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.51 min.

Mass Spectrum (ES⁺, m/z): 474 (M+H)⁺.

Example 95

5-(4-Acetylamino-phenyl)-2-(4-tert-butylphenyl)-4-[4-phenylpiperazin-1-yl]carbonyl]oxazole



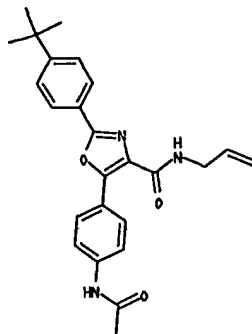
The title compound was prepared in analogous manner to Example 26, using 4-phenylpiperazine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.46 min.

Mass Spectrum (ES⁺, m/z): 523 (M+H)⁺.

Example 96

N-allyl-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using allylamine instead of 2-trifluoromethylbenzylamine.

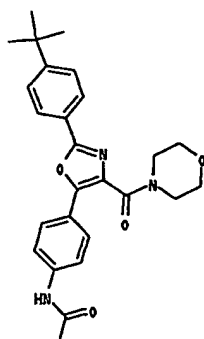
HPLC: Retention time = 1.43 min.

Mass Spectrum (ES⁺, m/z): 418 (M+H)⁺.

Example 97

5-(4-Acetylamino-phenyl)-2-(4-tert-butylphenyl)-4-[(morpholin-4-yl)carbonyl]oxazole

145



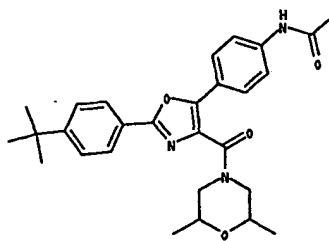
The title compound was prepared in analogous manner to Example 26, using morpholine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.21 min.

Mass Spectrum (ES⁺, m/z): 448 (M+H)⁺.

Example 98

5-(4-Acetylamino-2-(4-tert-butylphenyl)-2-oxo-1,3-oxazol-5-yl)-2-(4-tert-butylphenyl)-4-[(2,6-dimethylmorpholin-4-yl)carbonyl]-oxazole



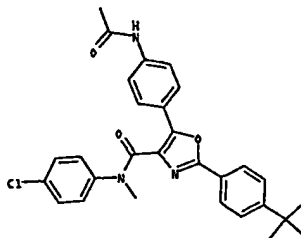
The title compound was prepared in analogous manner to Example 26, using 2,6-dimethylmorpholine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.31 min.

Mass Spectrum (ES⁺, m/z): 476 (M+H)⁺.

Example 99

N-(4-chlorophenyl)-N-methyl-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



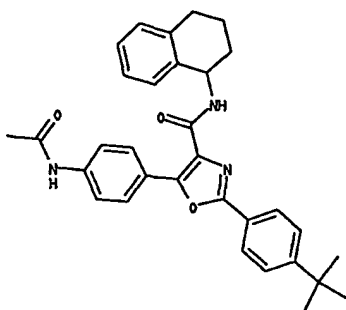
The title compound was prepared in analogous manner to Example 26, using *N*-methyl-4-chlorophenylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.49 min.

Mass Spectrum (ES⁺, m/z): 503, 505 (M+H)⁺.

Example 100

N-(1,2,3,4-tetrahydronaphthalen-1-yl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using (1,2,3,4-tetrahydronaphthalen-1-yl)amine instead of 2-trifluoromethylbenzylamine.

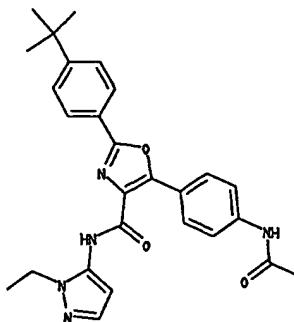
HPLC: Retention time = 1.71 min.

Mass Spectrum (ES⁺, m/z): 508 (M+H)⁺.

Example 101

N-(2-ethyl-2H-pyrazol-3-yl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide

148



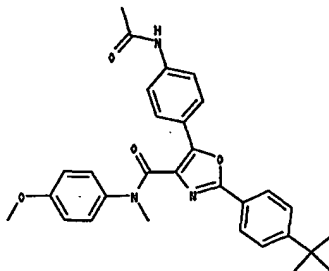
The title compound was prepared in analogous manner to Example 26, using (2-ethyl-2H-pyrazol-3-yl)amine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.41 min.

Mass Spectrum (ES+, m/z): 472 (M+H)⁺.

Example 102

***N*-(4-methoxyphenyl)-*N*-methyl-5-(4-acetaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide**



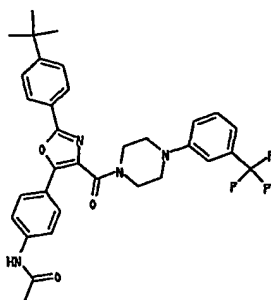
The title compound was prepared in analogous manner to Example 26, using *N*-methyl-4-methoxyphenylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.38 min.

Mass Spectrum (ES+, m/z): 498 (M+H)⁺.

Example 103

5-(4-Acetylamino-phenyl)-2-(4-*tert*-butylphenyl)-4-[[4-(3-trifluoromethylphenyl)piperazin-1-yl]carbonyl]oxazole



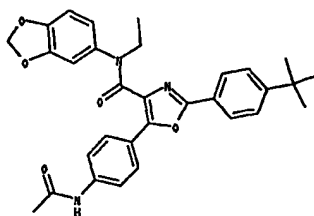
The title compound was prepared in analogous manner to Example 26, using 4-(3-trifluoromethylphenyl)piperazine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.58 min.

Mass Spectrum (ES⁺, m/z): 591 (M+H)⁺.

Example 104

N-(benzo[1,3]dioxol-5-yl)-*N*-ethyl-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



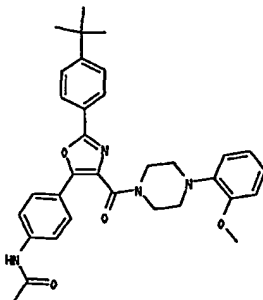
The title compound was prepared in analogous manner to Example 26, using *N*-methyl-*N*-(benzo[1,3]dioxol-5-yl)amine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.42 min.

Mass Spectrum (ES⁺, *m/z*): 526 (M+H)⁺.

Example 105

5-(4-Acetylamino-phenyl)-2-(4-*tert*-butyl-phenyl)-4-[[4-(2-methoxy-phenyl)piperazin-1-yl]carbonyl]oxazole



The title compound was prepared in analogous manner to Example 26, using 4-(2-methoxyphenyl)piperazine instead of 2-trifluoromethylbenzylamine.

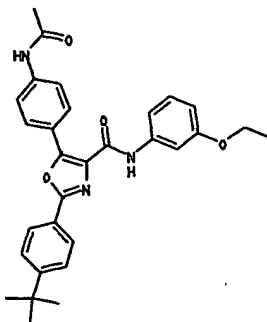
HPLC: Retention time = 1.42 min.

Mass Spectrum (ES⁺, *m/z*): 553 (M+H)⁺.

Example 106

N-(3-ethoxyphenyl)-5-(4-acetylamino-phenyl)-2-(4-*tert*-butyl-phenyl)oxazole-4-carboxamide

151



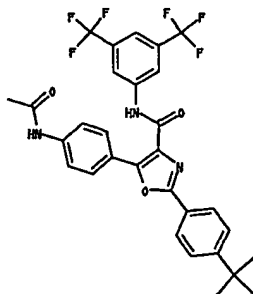
The title compound was prepared in analogous manner to Example 26, using 3-ethoxyphenylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.66 min.

Mass Spectrum (ES⁺, m/z): 498 (M+H)⁺.

Example 107

N-(3,5-bis-trifluoromethylphenyl)-5-(4-acetaminophenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using 3,5-bis-trifluoromethylphenylamine instead of 2-trifluoromethylbenzylamine.

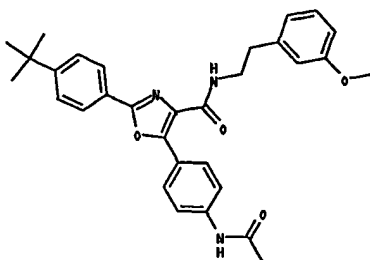
152

HPLC: Retention time = 1.84 min.

Mass Spectrum (ES⁺, m/z): 590 (M+H)⁺.

Example 108

N-[2-(3-methoxyphenyl)ethyl]-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using 2-(3-methoxyphenyl)ethylamine instead of 2-trifluoromethylbenzylamine.

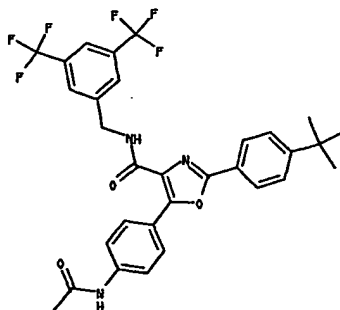
HPLC: Retention time = 1.56 min.

Mass Spectrum (ES⁺, m/z): 512 (M+H)⁺.

Example 109

N-(3,5-bis-trifluoromethylbenzyl)-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide

153



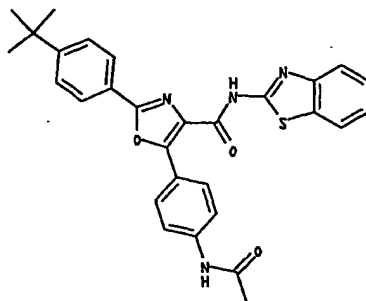
The title compound was prepared in analogous manner to Example 26, using 3,5-bis-trifluoromethylbenzylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.71 min.

Mass Spectrum (ES⁺, m/z): 604 (M+H)⁺.

Example 110

N-(benzothiazol-2-yl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



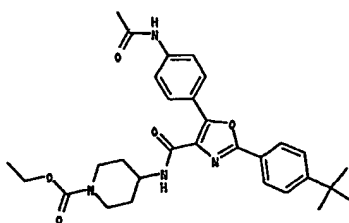
The title compound was prepared in analogous manner to Example 26, using benzothiazol-2-ylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.67 min.

Mass Spectrum (ES⁺, m/z): 511 (M+H)⁺.

Example 111

N-(1-ethoxycarbonylpiperidin-4-yl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



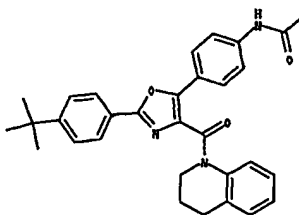
The title compound was prepared in analogous manner to Example 26, using (1-ethoxycarbonylpiperidin-4-yl)amine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.45 min.

Mass Spectrum (ES+, m/z): 533 (M+H)⁺.

Example 112

5-(4-Acetylamino-phenyl)-2-(4-tert-butylphenyl)-4-(3,4-dihydro-2H-quinolin-1-ylcarbonyl)-oxazole



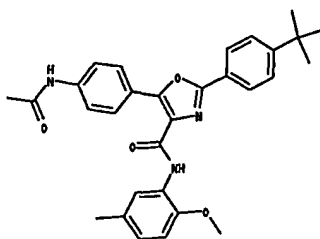
The title compound was prepared in analogous manner to Example 26, using 3,4-dihydro-2H-quinoline instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.50 min.

Mass Spectrum (ES⁺, m/z): 494 (M+H)⁺.

Example 113

N-(2-methoxy-5-methylphenyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using 2-methoxy-5-methylphenylamine instead of 2-trifluoromethylbenzylamine.

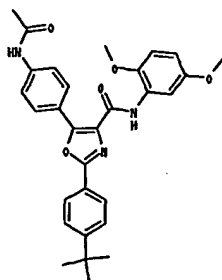
HPLC: Retention time = 1.74 min.

Mass Spectrum (ES⁺, m/z): 498 (M+H)⁺.

Example 114

N-(2,5-dimethoxyphenyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide

156



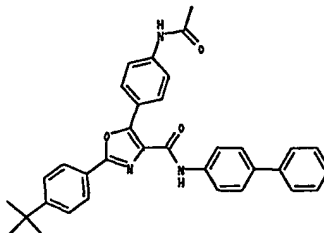
The title compound was prepared in analogous manner to Example 26, using 2,5-dimethoxyphenylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.66 min.

Mass Spectrum (ES⁺, m/z): 514 (M+H)⁺.

Example 115

N-(biphenyl-4-yl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using biphenyl-4-ylamine instead of 2-trifluoromethylbenzylamine.

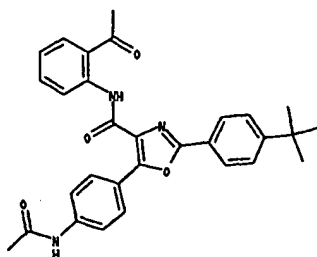
HPLC: Retention time = 1.76 min.

Mass Spectrum (ES⁺, m/z): 530 (M+H)⁺.

Example 116

N-(2-acetylphenyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide

157



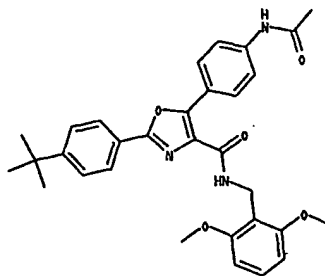
The title compound was prepared in analogous manner to Example 26, using 2-acetylphenylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.66 min.

Mass Spectrum (ES⁺, m/z): 496 (M+H)⁺.

Example 117

N-(2,6-dimethoxybenzyl)-5-(4-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



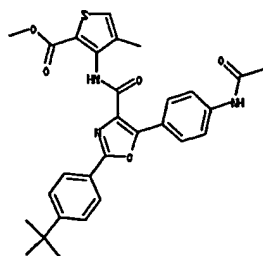
The title compound was prepared in analogous manner to Example 26, using 2,6-dimethoxybenzylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.59 min.

Mass Spectrum (ES⁺, m/z): 528 (M+H)⁺.

Example 118

N-(2-methoxycarbonyl-4-methylthiophene-3-yl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)-oxazole-4-carboxamide



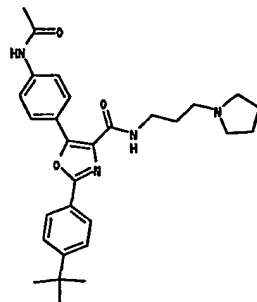
The title compound was prepared in analogous manner to Example 26, using (2-methoxycarbonyl-4-methylthiophene-3-yl)amine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.65 min.

Mass Spectrum (ES⁺, m/z): 532 (M+H)⁺.

Example 119

N-[3-(pyrrolidin-1-yl)propyl]-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



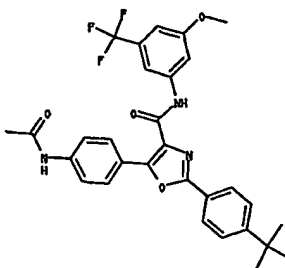
The title compound was prepared in analogous manner to Example 26, using 3-(pyrrolidin-1-yl)propylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 0.92 min.

Mass Spectrum (ES⁺, m/z): 489 (M+H)⁺.

Example 120

N-(3-methoxy-5-trifluoromethylphenyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using 3-methoxy-5-trifluoromethylphenylamine instead of 2-trifluoromethylbenzylamine.

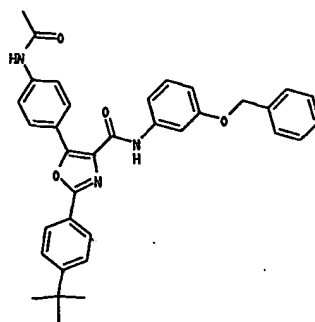
HPLC: Retention time = 1.75 min.

Mass Spectrum (ES⁺, m/z): 552 (M+H)⁺.

Example 121

N-(3-benzyloxyphenyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide

160



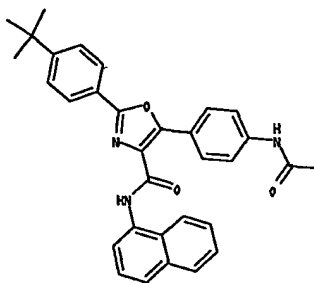
The title compound was prepared in analogous manner to Example 26, using 3-benzyloxyphenylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.76 min.

Mass Spectrum (ES⁺, m/z): 560 (M+H)⁺.

Example 122

N-(naphthalen-1-yl)-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



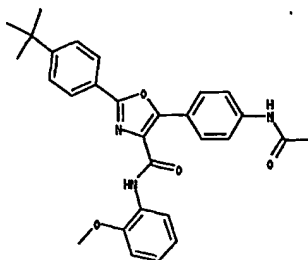
The title compound was prepared in analogous manner to Example 26, using naphthalen-1-ylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.71 min.

Mass Spectrum (ES⁺, m/z): 504 (M+H)⁺.

Example 123

N-(2-methoxyphenyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



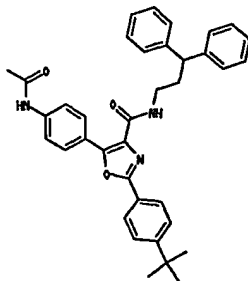
The title compound was prepared in analogous manner to Example 26, using 2-methoxyphenylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.68 min.

Mass Spectrum (ES⁺, m/z): 484 (M+H)⁺.

Example 124

N-(3,3-diphenylpropyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-
carboxamide



162

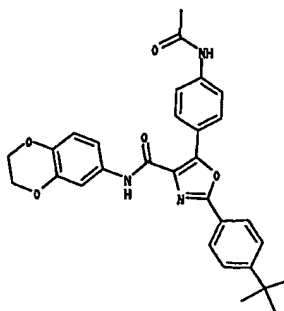
The title compound was prepared in analogous manner to Example 26, using 3,3-diphenylpropylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.72 min.

Mass Spectrum (ES+, m/z): 572 (M+H)⁺.

Example 125

N-(2,3-dihydrobenzo[1,4]dioxin-6-yl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using 2,3-dihydrobenzo[1,4]dioxin-6-ylamine instead of 2-trifluoromethylbenzylamine.

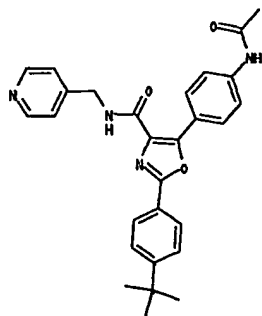
HPLC: Retention time = 1.56 min.

Mass Spectrum (ES+, m/z): 512 (M+H)⁺.

Example 126

N-(pyridin-4-ylmethyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide

163



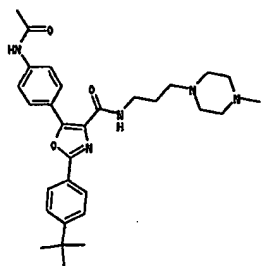
The title compound was prepared in analogous manner to Example 26, using pyridin-4-ylmethylaniline instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 0.96 min.

Mass Spectrum (ES⁺, m/z): 469 (M+H)⁺.

Example 127

N-[3-(4-methylpiperazin-1-yl)propyl]-5-(4-acetylamino-2-tert-butylphenyl)oxazole-4-carboxamide



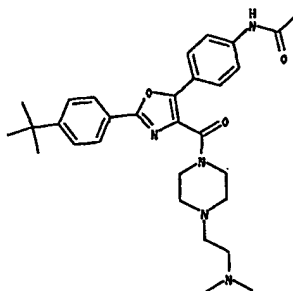
The title compound was prepared in analogous manner to Example 26, using 3-(4-methylpiperazin-1-yl)propylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 0.76 min.

Mass Spectrum (ES+, m/z): 518 (M+H)⁺.

Example 128

5-(4-Acetylaminoethyl)-2-(4-*tert*-butylphenyl)-4-[[4-(2-dimethylaminoethyl)piperazin-1-yl]carbonyl]oxazole



The title compound was prepared in analogous manner to Example 26, using 4-(2-dimethylaminoethyl)piperazine instead of 2-trifluoromethylbenzylamine.

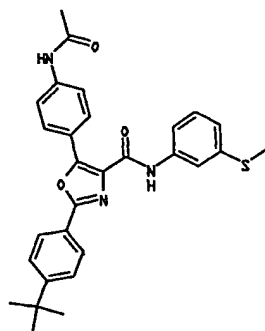
HPLC: Retention time = 0.80 min.

Mass Spectrum (ES+, m/z): 518 (M+H)⁺.

Example 129

N-(3-methylsulfanylphenyl)-5-(4-acetylaminoethyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide

165



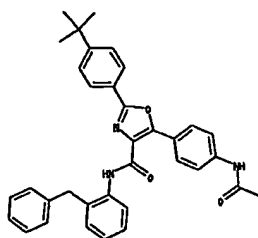
The title compound was prepared in analogous manner to Example 26, using 3-methyl-sulfanylphenylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.68 min.

Mass Spectrum (ES⁺, m/z): 500 (M+H)⁺.

Example 130

N-(2-benzylphenyl)-5-(4-acetylamino-3-(4-(tert-butyl)phenyl)oxazole-4-carboxamide



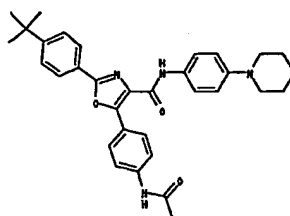
The title compound was prepared in analogous manner to Example 26, using 2-benzylphenylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.78 min.

Mass Spectrum (ES⁺, m/z): 544 (M+H)⁺.

Example 131

N-[4-(piperidin-1-yl)phenyl]-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



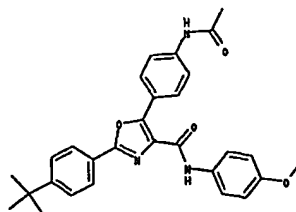
The title compound was prepared in analogous manner to Example 26, using 4-(piperidin-1-yl)phenylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.12 min.

Mass Spectrum (ES⁺, m/z): 537 (M+H)⁺.

Example 132

N-(4-methoxyphenyl)-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using 4-methoxyphenylamine instead of 2-trifluoromethylbenzylamine.

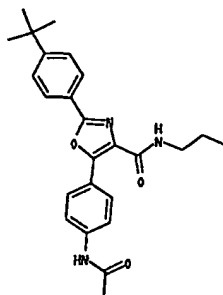
167

HPLC: Retention time = 1.58 min.

Mass Spectrum (ES+, m/z): 484 (M+H)⁺.

Example 133

N-propyl-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



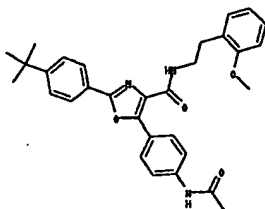
The title compound was prepared in analogous manner to Example 26, using n-propylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.47 min.

Mass Spectrum (ES+, m/z): 420 (M+H)⁺.

Example 134

N-[2-(2-methoxyphenyl)ethyl]-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



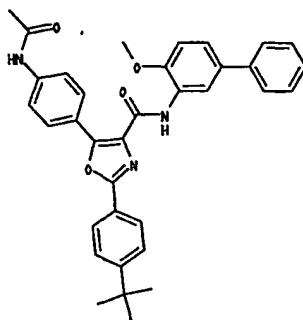
The title compound was prepared in analogous manner to Example 26, using 2-(2-methoxyphenyl)ethylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.60 min.

Mass Spectrum (ES⁺, m/z): 512 (M+H)⁺.

Example 135

N-(4-methoxybiphenyl-3-yl)-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



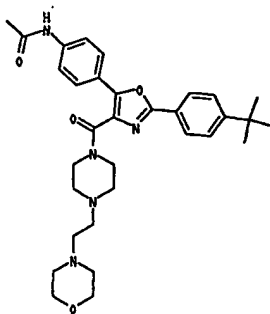
The title compound was prepared in analogous manner to Example 26, using 4-methoxybiphenyl-3-ylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.84 min.

Mass Spectrum (ES⁺, m/z): 560 (M+H)⁺.

Example 136

5-(4-Acetylamino-phenyl)-2-(4-tert-butylphenyl)-4-[[4-(2-morpholin-4-ylethyl)piperazin-1-yl]carbonyl]oxazole



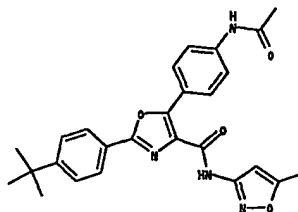
The title compound was prepared in analogous manner to Example 26, using 4-(2-morpholin-4-ylethyl)piperazine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 0.80 min.

Mass Spectrum (ES+, m/z): 560 (M+H)⁺.

Example 137

N-(5-methylisoxazol-3-yl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 26, using 5-methyl-isoxazol-3-ylamine instead of 2-trifluoromethylbenzylamine.

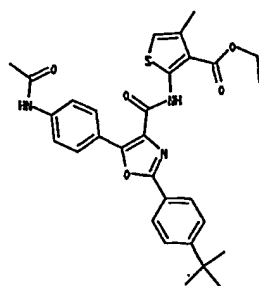
170

HPLC: Retention time = 1.50 min.

Mass Spectrum (ES⁺, m/z): 459 (M+H)⁺.

Example 138

N-(3-ethoxycarbonyl-4-methylthiophene-2-yl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)-oxazole-4-carboxamide



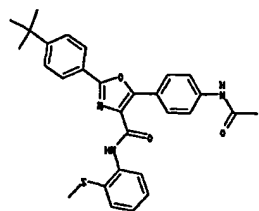
The title compound was prepared in analogous manner to Example 26, using 3-ethoxycarbonyl-4-methylthiophene-2-ylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.83 min.

Mass Spectrum (ES⁺, m/z): 546 (M+H)⁺.

Example 139

N-(2-methylsulfonylphenyl)-5-(4-acetylamino-phenyl)-2-(4-tert-butylphenyl)oxazole-4-carboxamide



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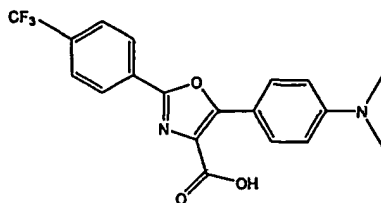
The title compound was prepared in analogous manner to Example 26, using 2-methylsulfanylphenylamine instead of 2-trifluoromethylbenzylamine.

HPLC: Retention time = 1.75 min.

Mass Spectrum (ES+, m/z): 500 (M+H)⁺.

Example 140

5-(4-Dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid



The title compound was prepared from ethyl 5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylate in analogous manner to that described in Example 5 above in 82 % yield.

¹H -Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ (ppm):

8.26 (doublet, J = 7.8 Hz, 2H);

8.09 (doublet, J = 7.5 Hz, 2H);

7.82 (doublet, J = 6.9 Hz, 2H);

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6.82 (doublet, $J = 7.2$ Hz, 2H);

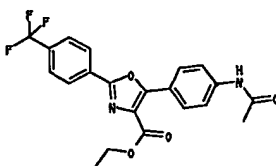
3.02 (singlet, 6H).

HPLC: $R_t = 1.16$ min.

Mass Spectrum (ES⁺, m/z): 377.09 (M+H)⁺.

Example 141

Ethyl 5-(4-acetylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylate



The title compound was prepared from ethyl 5-(4-aminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylate in analogous manner to that described in Example 23 above in 37 % yield.

¹H -Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ (ppm):

8.26 (doublet, $J = 7.8$ Hz, 2H);

8.13 (doublet, $J = 8.7$ Hz, 2H);

7.75 (doublet, $J = 8.7$ Hz, 2H);

7.67 (doublet, $J = 9$ Hz, 2H);

4.45 (quartet, $J = 9$ Hz, 2H);

2.20 (singlet, 3H);

1.42 (triplet, $J = 9$ Hz, 3H);

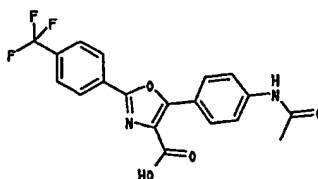
1.38 (singlet, 9H).

HPLC: R_t = 1.22 min.

Mass Spectrum (ES⁺, m/z): 419.16 (M+H)⁺.

Example 142

5-(4-Acetylamino-phenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid



A 10% aqueous sodium hydroxide solution (2 ml) was added to ethyl 5-(4-acetylamino-phenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylate (0.19 mmol, 80 mg), prepared as described in Example 141 above, in methanol (3 ml) and tetrahydrofuran (2 ml) and stirred for 4 hours at room temperature. At the end of this time, the solvent was removed *in vacuo*, 2M hydrochloric acid (3 ml) was added. The resulting precipitate was filtered, washed with diethyl ether and dried providing the title compound (54 mg, 73%) as an off white solid.

¹H -Nuclear Magnetic Resonance Spectrum (300 MHz, DMSO-d₆) δ (ppm):

10.23 (singlet, 1H);

8.30 (doublet, J = 7.2 Hz, 2H);

8.15 (doublet, J = 7.2 Hz, 2H);

7.95 (doublet, J = 7.2 Hz, 2H);

7.75 (doublet, $J = 7.2$ Hz, 2H);

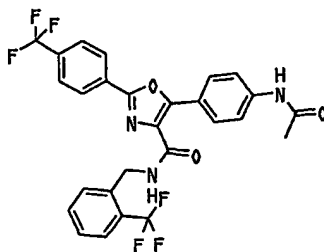
2.02 (singlet, 3H).

HPLC: $R_t = 1.03$ min.

Mass Spectrum (ES+, m/z): 391.13 ($M+H$)⁺.

Example 143

N-(2-trifluoromethylbenzyl)-5-(4-acetylamino-phenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxamide



2-Chloro-1,3-dimethyl-2-imidazolinium chloride (DMC) (0.16 mmol, 27 mg) was added to a solution of 5-(4-acetylamino-phenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid (0.08 mmol, 31.6 mg), prepared as described in Example 142 above, in acetonitrile (0.8 ml) and pyridine (0.2 ml). 2-Trifluoromethylbenzylamine (0.08 mmol, 11.3 μ l) was then added and the resulting reaction mixture was stirred for 2 hours at room temperature. At the end of this time, the solvent was removed *in vacuo* and the crude residue partitioned between chloroform (50 ml) and 2M hydrochloric acid (20 ml). The organic layer was washed with brine (20 ml), dried over sodium sulfate and the solvent was then removed

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in vacuo. Flash chromatography (20 % ethyl acetate/hexanes) was performed on the resulting residue to give the title compound (25 mg, 57 %) as a yellow solid.

¹H -Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ (ppm):

8.38 (doublet, J = 7.8 Hz, 2H);

8.18 (doublet, J = 8.7 Hz, 2H);

7.30-7.80 (multiplet, 8H);

7.40 (triplet, J = 7.2 Hz, 1H);

4.85 (doublet, J = 6.9 Hz, 2H);

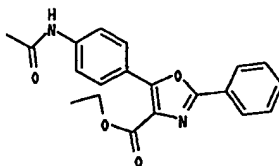
2.23 (singlet, 3H).

HPLC: Rt = 1.53 min.

Mass Spectrum (ES⁺, m/z): 548.01 (M+H)⁺.

Example 144

Ethyl 5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxylate



The title compound was prepared from ethyl 5-(4-aminophenyl)-2-phenyloxazole-4-carboxylate, prepared as described in Example 12 above, in a manner analogous to that described in Example 24 above, in 97% yield.

¹H -Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ (ppm):

8.10 (multiplet, 4H);

7.68 (doublet, J = 8 Hz, 2H);

7.50 (multiplet, 3H);

4.45 (quartet, J = 9Hz, 2H);

2.21 (singlet, 3H);

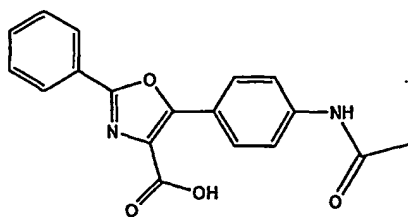
1.42 (triplet, J = 9 Hz, 3H).

HPLC: Rt = 1.09 min.

Mass Spectrum (ES⁺, m/z): 351 (M+H)⁺.

Example 145

5-(4-Acetylaminophenyl)-2-phenyloxazole-4-carboxylic acid



Ethyl 5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxylate (2.57 g, 7.34 mmol), prepared as described in Example 144 above, was suspended in ethanol (25 ml). A solution of 1N potassium hydroxide in ethanol was then added (9 ml, 9 mmol) and the resulting reaction mixture was heated for 1 hour at reflux. At the end of this time, the reaction mixture

was cooled to 0°C. A solution of 0.1N hydrochloric acid was then added (150 ml) and the resulting precipitate was recovered via filtration to give the title compound (2.15 g, 91% yield).

¹H -Nuclear Magnetic Resonance Spectrum (300 MHz, DMSO-d₆) δ (ppm):

10.35 (singlet, 1H);

8.12 (doublet, J = 6Hz, 2H);

8.04 (doublet, J = 6Hz, 2H);

7.80 (doublet, J = 6Hz, 2H);

7.52 (multiplet, 3H);

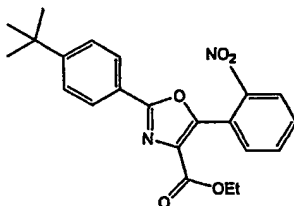
2.10 (singlet, 3H).

HPLC: Rt = 0.83 min.

Mass Spectrum (ES⁺, m/z): 323 (M+H)⁺.

Example 146

Ethyl 2-(4-*tert*-butylphenyl)-5-(2-nitrophenyl)oxazole-4-carboxylate



The title compound was prepared in 75 % yield in an analogous manner to that described in Example 1 above, using 2-nitrobenzoyl chloride instead of 4-nitrobenzoyl chloride.

¹H -Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ (ppm):

8.12 (doublet, J = 8.6 Hz, 2H);

7.97 (doublet, J = 8.6 Hz, 2H);

7.91 (multiplet, 2H);

7.69 (multiplet, 2H);

7.51 (doublet, J = 8.6 Hz, 2H);

4.46 (quartet, J = 7.1 Hz, 2H);

1.43 (triplet, J = 7.1 Hz, 3H);

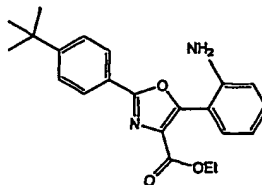
1.35 (singlet, 9H).

HPLC: Rt = 1.52 min.

Mass Spectrum (ES⁺, m/z): 395.17 (M+H)⁺.

Example 147

Ethyl 5-(2-aminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate



The title compound was prepared in 80% yield from ethyl 2-(4-*tert*-butylphenyl)-5-(2-nitrophenyl)oxazole-4-carboxylate, prepared as described in Example 146 above, in analogous manner to that described in Example 9 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ (ppm):

8.04 (doublet, J = 8.6 Hz, 2H);

7.90 (doublet, J = 9.4 Hz, 2H);

7.51 (doublet, J = 10.7 Hz, 2H);

7.23 (doublet, J = 8.5 Hz, 2H);

6.76 (multiplet, 2H);

5.98 (multiplet, 2H);

4.42 (quartet, J = 7.1 Hz, 2H);

1.41 (triplet, J = 7.1 Hz, 3H);

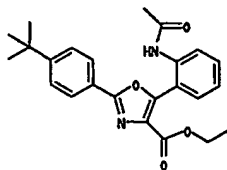
1.37 (singlet, 9H).

HPLC: Rt = 1.57 min.

Mass Spectrum (ES⁺, m/z): 365.59 (M+H)⁺.

Example 148

Ethyl 5-(2-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate



The title compound was prepared in 96 % yield from ethyl 5-(2-aminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate, prepared as described in Example 147 above, in analogous manner to that described in Example 24 above.

¹H -Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ (ppm):

8.77 (doublet, J = 8.4 Hz, 1H);

8.10 (doublet, J = 8.6 Hz, 2H);

8.05 (doublet, J = 9.4 Hz, 2H);

7.53 (doublet, J = 11.0 Hz, 2H);

7.48 (doublet, J = 8.6 Hz, 2H);

7.18 (triplet, J = 8 Hz, 1H);

4.42 (quartet, J = 7.1 Hz, 2H);

2.34 (singlet, 3H);

1.43 (triplet, J = 7.1 Hz, 3H);

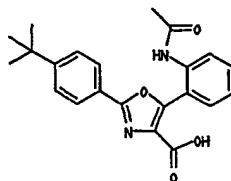
1.37 (singlet, 9H).

HPLC: Rt = 1.68 min.

Mass Spectrum (ES⁺, m/z): 407.25 (M+H)⁺.

Example 149

5-(2-Acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid



The title compound was prepared in 98 % yield from ethyl 5-(2-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate, prepared as described in Example 148 above, in a manner analogous to that described in Example 25 above.

¹H -Nuclear Magnetic Resonance Spectrum (300 MHz, DMSO-d₆) δ (ppm):

8.50 (doublet, J = 8.6 Hz, 1H);

8.12 (multiplet, 3H);

7.54 (multiplet, 3H);

7.26 (triplet, J = 8 Hz, 1H);

2.20 (singlet, 3H);

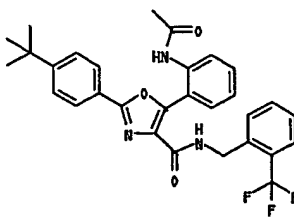
1.33 (singlet, 9H).

HPLC: Rt = 1.33 min.

Mass Spectrum (ES⁺, m/z): 379.14 (M+H)⁺.

Example 150

N-(2-trifluoromethylbenzyl)-5-(2-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in 56 % yield from 5-(2-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 149 above, in a manner analogous to that described in Example 26 above.

¹H -Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ (ppm):

8.71 (doublet, J = 8.6 Hz, 1H);

8.24 (doublet, J = 8.5 Hz, 2H);

8.06 (doublet, J = 8.0 Hz, 1H);

7.71 (triplet, J = 8.1 Hz, 2H);

7.54 (doublet, J = 8.5 Hz, 2H);

7.54 (multiplet, 2H);

7.19 (multiplet, 2H);

4.86 (doublet, J = 6.5 Hz, 2H);

2.12 (singlet, 3H);

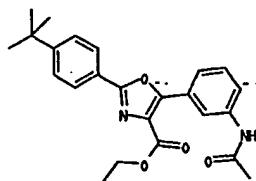
1.37 (singlet, 9H).

HPLC: Rt = 1.70 min.

Mass Spectrum (ES⁺, m/z): 536.10 (M+H)⁺.

Example 151

Ethyl 5-(3-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate



The title compound was prepared in 76 % yield from ethyl 5-(3-aminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate, prepared as described in Example 10 above, in a manner analogous to that described in Example 24 above.

¹H -Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ (ppm):

8.10 (singlet, 1H);

8.05 (doublet, J = 7.8 Hz, 2H);

7.85 (triplet, J = 7.2 Hz, 2H);

7.50 (doublet, J = 7.8 Hz, 2H);

7.43 (triplet, J = 7.2 Hz, 1H);

7.39 (singlet, 1H);

4.42 (quartet, J = 6.9 Hz, 2H);

2.20 (singlet, 3H);

1.40 (triplet, J = 6.9 Hz, 3H);

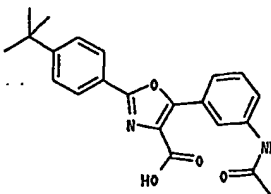
1.37 (singlet, 9H).

HPLC: Rt = 1.49 min.

Mass Spectrum (ES⁺, m/z): 407.16 (M+H)⁺.

Example 152

5-(3-Acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid



The title compound was prepared in 82 % yield from ethyl 5-(3-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylate, prepared as described in Example 151 above, in a manner analogous to that described in Example 25 above.

¹H -Nuclear Magnetic Resonance Spectrum (300 MHz, DMSO-d₆) δ (ppm):

10.23 (singlet, 1H);

8.40 (singlet, J = 7.2 Hz, 1H);

8.00 (doublet, J = 7.2 Hz, 2H);

7.75 (multiplet, 2H);

7.58 (doublet, J = 7.2 Hz, 2H);

7.48 (triplet, J = 6.9 Hz, 1H);

2.09 (singlet, 3H);

1.35 (singlet, 9H).

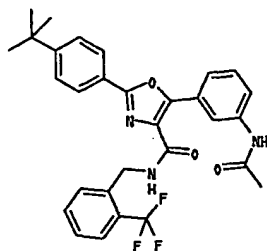
HPLC: Rt = 1.17 min.

Mass Spectrum (ES⁺, m/z): 379.13 (M+H)⁺.

Example 153

N-(2-trifluoromethylbenzyl)-5-(3-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide

185



The title compound was prepared in 45 % yield from 5-(3-acetylaminophenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 152 above, in a manner analogous to that described in Example 26 above.

¹H -Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ (ppm):

8.26 (doublet, J = 7.8 Hz, 2H);

8.17 (2,1H);

7.79 (multiplet, 1H);

7.68 (multiplet, 4H);

7.30-7.50 (multiplet, J = 8.5 Hz, 6H);

4.84 (doublet, J = 6.5 Hz, 2H);

2.20 (singlet, 3H);

1.37 (singlet, 9H).

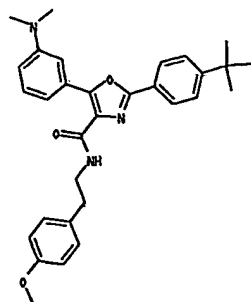
HPLC: Rt = 1.64 min.

Mass Spectrum (ES⁺, m/z): 536.07 (M+H)⁺.

Example 154

N-[2-(4-methoxyphenyl)ethyl]-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide

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A solution of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 20 above, in a 4:1 by volume mixture of acetonitrile and pyridine (800 μ l, 0.125M, 100 μ mol) was added to a 2-dram reaction vial. A solution of 2-chloro-1,3-dimethylimidazolinium chloride (DMC) in a 4:1 by volume mixture of acetonitrile and pyridine (800 μ l, 0.25M, 200 μ mol) was then added followed by the addition of a solution of 2-(4-methoxyphenyl)ethylamine in acetonitrile (400 μ l, 0.25M, 100 μ mol). The reaction mixture was then allowed to stand for 4 hours at room temperature. At the end of this time, the solvent was removed *in vacuo* and the resulting crude material submitted for HPLC purification.

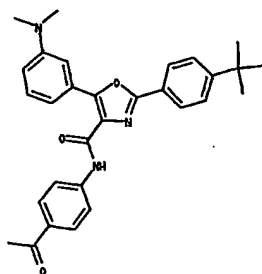
HPLC: R_t = 1.78 min.

Mass Spectrum (ES⁺, m/z): 498.06 (M+H)⁺.

Example 155

N-(4-acetylphenyl)-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide

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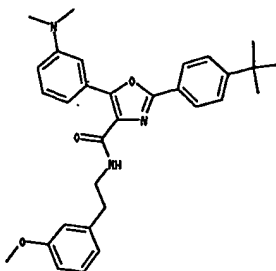
The title compound was prepared in analogous manner to Example 154, using 4-acetyl-phenylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.85$ min.

Mass Spectrum (ES^+ , m/z): 482.08 ($M+H$) $^+$.

Example 156

N-[2-(3-methoxyphenyl)ethyl]-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide



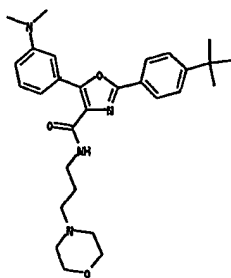
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-(3-methoxyphenyl)ethylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.82$ min.

Mass Spectrum (ES⁺, m/z): 498.09 (M+H)⁺.

Example 157

N-(3-morpholin-4-ylpropyl)-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide



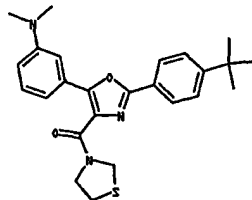
The title compound was prepared in analogous manner to that described in Example 154 above, using 3-morpholin-4-ylpropylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: Rt = 1.06 min.

Mass Spectrum (ES⁺, m/z): 491.17 (M+H)⁺.

Example 158

2-(4-*tert*-Butylphenyl)-5-(3-dimethylaminophenyl)-4-(thiazolidin-3-ylcarbonyl)oxazole



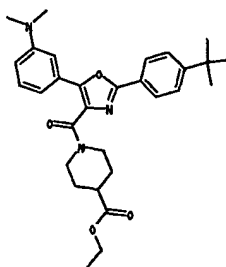
The title compound was prepared in analogous manner to that described in Example 154 above, using thiazolidine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.65$ min.

Mass Spectrum (ES⁺, m/z): 436.05 (M+H)⁺.

Example 159

2-(4-*tert*-Butylphenyl)-5-(3-dimethylaminophenyl)-4-[[4-(2-ethoxycarbonyl)piperidin-1-yl]-carbonyl]oxazole



The title compound was prepared in analogous manner to that described in Example 154 above, using 4-(2-ethoxycarbonyl)piperidine instead of 2-(4-methoxyphenyl)ethylamine.

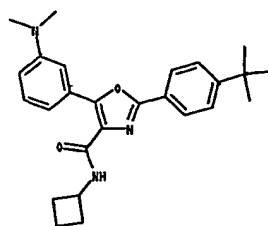
HPLC: $R_t = 1.62$ min.

Mass Spectrum (ES⁺, m/z): 504.22 (M+H)⁺

Example 160

N-cyclobutyl-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide

190



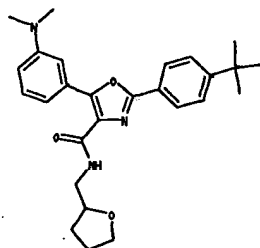
The title compound was prepared in analogous manner to that described in Example 154 above, using cyclobutylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.77$ min.

Mass Spectrum (ES+, m/z): 418.11 (M+H)⁺

Example 161

N-(tetrahydrofuran-2-ylmethyl)-2-(4-tert-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide



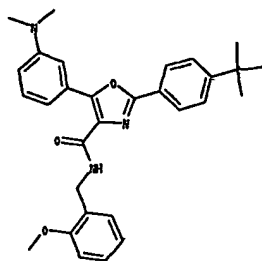
The title compound was prepared in analogous manner to that described in Example 154 above, using tetrahydrofuran-2-ylmethylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.67$ min.

Mass Spectrum (ES+, m/z): 448.10 (M+H)⁺

Example 162

N-(2-methoxybenzyl)-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide



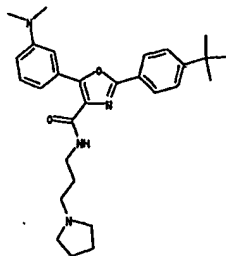
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-methoxybenzylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.79$ min.

Mass Spectrum (ES⁺, m/z): 484.10 (M+H)⁺

Example 163

N-[3-(pyrrolidin-1-yl)propyl]-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide



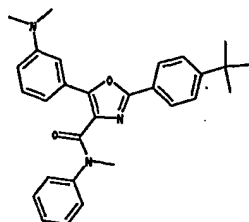
The title compound was prepared in analogous manner to that described in Example 154 above, using 3-(pyrrolidin-1-yl)propylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.10$ min.

Mass Spectrum (ES⁺, m/z): 475.18 (M+H)⁺

Example 164

N-methyl-*N*-phenyl-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-methylphenylamine instead of 2-(4-methoxyphenyl)ethylamine.

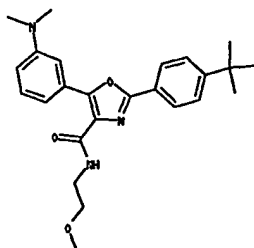
HPLC: $R_t = 1.67$ min.

Mass Spectrum (ES⁺, m/z): 454.10 (M+H)⁺

Example 165

N-(2-methoxyethyl)-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide

193



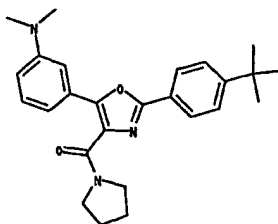
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-methoxyethylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.64$ min.

Mass Spectrum (ES⁺, m/z): 422.32 (M+H)⁺

Example 166

2-(4-*tert*-Butylphenyl)-5-(3-dimethylaminophenyl)-4-(pyrrolidin-1-ylcarbonyl)oxazole



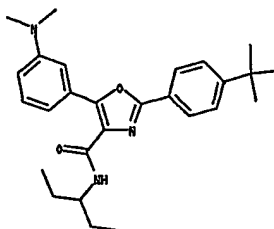
The title compound was prepared in analogous manner to that described in Example 154 above, using pyrrolidine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.60$ min.

Mass Spectrum (ES⁺, m/z): 418.34 (M+H)⁺

Example 167

N-(1-ethylpropyl)-2-(4-tert-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide



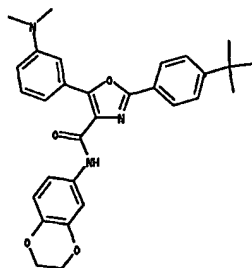
The title compound was prepared in analogous manner to that described in Example 154 above, using 1-ethylpropylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.84$ min.

Mass Spectrum (ES+, m/z): 434.13 (M+H)⁺

Example 168

N-(2,3-dihydrobenzo[1,4]dioxin-6-yl)-2-(4-tert-butylphenyl)-5-(3-dimethylaminophenyl)-oxazole-4-carboxamide



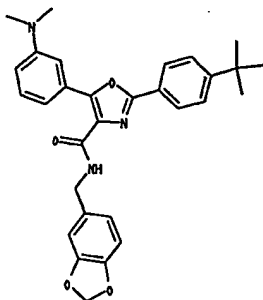
The title compound was prepared in analogous manner to that described in Example 154 above, using 2,3-dihydrobenzo[1,4]dioxin-6-ylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.82$ min.

Mass Spectrum (ES⁺, m/z): 498.10 (M+H)⁺

Example 169

N-(benzo[1,3]dioxol-5-ylmethyl)-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using benzo[1,3]dioxol-5-ylmethylamine instead of 2-(4-methoxyphenyl)ethylamine.

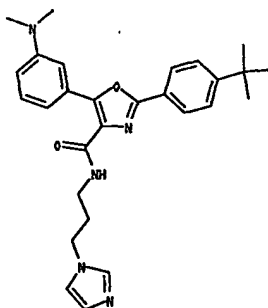
HPLC: $R_t = 1.76$ min.

Mass Spectrum (ES⁺, m/z): 498.07 (M+H)⁺

Example 170

N-[3-(imidazol-1-yl)propyl]-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide

196



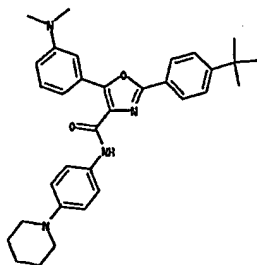
The title compound was prepared in analogous manner to that described in Example 154 above, using 3-(imidazol-1-yl)propylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.07$ min.

Mass Spectrum (ES⁺, m/z): 472.15 (M+H)⁺

Example 171

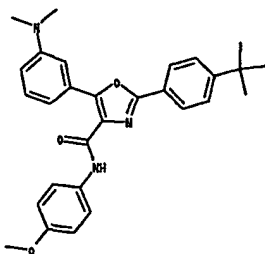
N-[4-(piperidin-1-yl)phenyl]-2-(4-tert-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 4-(piperidin-1-yl)phenylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.39$ min.

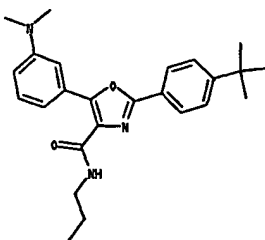
Mass Spectrum (ES⁺, m/z): 523.07 (M+H)⁺

Example 172*N*-(4-methoxyphenyl)-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide

The title compound was prepared in analogous manner to that described in Example 154 above, using 4-methoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.80$ min.

Mass Spectrum (ES⁺, m/z): 470.10 (M+H)⁺

Example 173*N*-propyl-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide

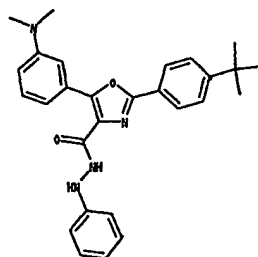
The title compound was prepared in analogous manner to that described in Example 154 above, using propylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.75$ min.

Mass Spectrum (ES⁺, m/z): 406.32 (M+H)⁺

Example 174

N'-phenyl-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carbonylhydrazide



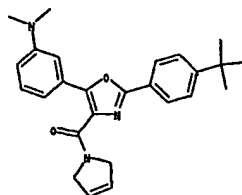
The title compound was prepared in analogous manner to that described in Example 154 above, using N-phenylhydrazine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.72$ min.

Mass Spectrum (ES⁺, m/z): 455.08 (M+H)⁺

Example 175

2-(4-*tert*-Butylphenyl)-4-[(2,5-dihydro-pyrrol-1-yl)carbonyl]-5-(3-dimethylaminophenyl)oxazole



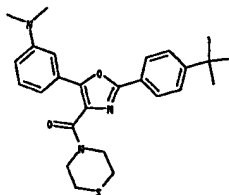
The title compound was prepared in analogous manner to that described in Example 154 above, using 2,5-dihydropyrrole instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.63$ min.

Mass Spectrum (ES⁺, m/z): 416.20 (M+H)⁺

Example 176

2-(4-*tert*-Butylphenyl)-5-(3-dimethylaminophenyl)-4-(thiomorpholin-4-ylcarbonyl)oxazole



The title compound was prepared in analogous manner to that described in Example 154 above, using thiomorpholine instead of 2-(4-methoxyphenyl)ethylamine.

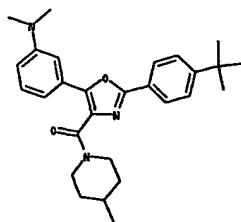
HPLC: $R_t = 1.63$ min.

Mass Spectrum (ES⁺, m/z): 450.07 (M+H)⁺

Example 177

2-(4-*tert*-Butylphenyl)-5-(3-dimethylaminophenyl)-4-[(4-methylpiperidin-1-yl)carbonyl]oxazole

200



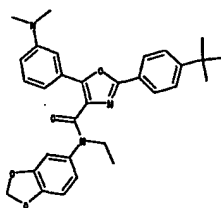
The title compound was prepared in analogous manner to that described in Example 154 above, using 4-methylpiperidine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.73$ min.

Mass Spectrum (ES⁺, m/z): 446.12 (M+H)⁺

Example 178

N-(benzo[1,3]dioxol-5-yl)-*N*-ethyl-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide



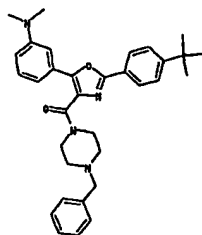
The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-ethyl-(benzo[1,3]dioxol-5-yl)amine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.68$ min.

Mass Spectrum (ES⁺, m/z): 512.06 (M+H)⁺

Example 179

4-[(4-Benzylpiperazin-1-yl)carbonyl]-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole



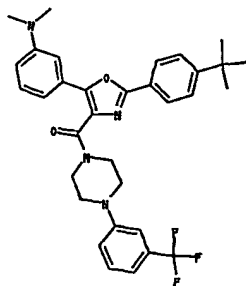
The title compound was prepared in analogous manner to that described in Example 154 above, using 1-benzylpiperazine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.15$ min.

Mass Spectrum (ES+, m/z): 523.15 ($M+H$)⁺

Example 180

2-(4-*tert*-Butylphenyl)-5-(3-dimethylaminophenyl)-4-[[4-(3-trifluoromethylphenyl)piperazin-1-yl]carbonyl]oxazole



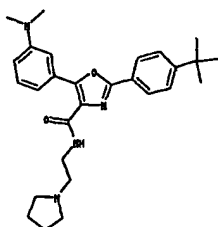
The title compound was prepared in analogous manner to that described in Example 154 above, using 1-(3-trifluoromethylphenyl)piperazine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.79$ min.

Mass Spectrum (ES⁺, m/z): 577.03 (M+H)⁺

Example 181

N-[2-(pyrrolidin-1-yl)ethyl]-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 2-(pyrrolidin-1-yl)ethylamine instead of 2-(4-methoxyphenyl)ethylamine.

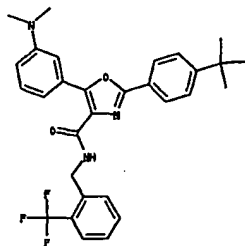
HPLC: $R_t = 1.09$ min.

Mass Spectrum (ES⁺, m/z): 461.17 (M+H)⁺

Example 182

N-(2-trifluoromethylbenzyl)-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide

203



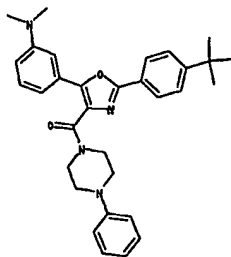
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-trifluoromethylbenzylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.84$ min.

Mass Spectrum (ES⁺, m/z): 522.12 (M+H)⁺

Example 183

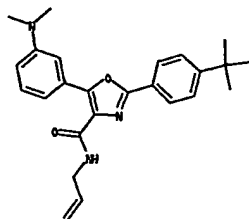
2-(4-*tert*-Butylphenyl)-5-(3-dimethylaminophenyl)-4-[(4-phenylpiperazin-1-yl)carbonyl]oxazole



The title compound was prepared in analogous manner to that described in Example 154 above, using 1-phenylpiperazine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.72$ min.

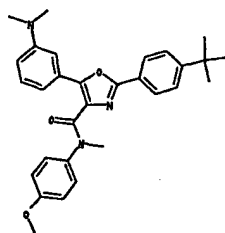
Mass Spectrum (ES⁺, m/z): 509.11 (M+H)⁺

Example 184*N*-allyl-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide

The title compound was prepared in analogous manner to that described in Example 154 above, using allylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.71$ min.

Mass Spectrum (ES⁺, m/z): 404.35 (M+H)⁺

Example 185*N*-(4-methoxyphenyl)-*N*-methyl-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide

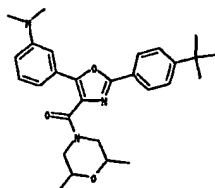
The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-methyl-4-methoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.64$ min.

Mass Spectrum (ES⁺, m/z): 484.29 (M+H)⁺

Example 186

2-(4-*tert*-Butylphenyl)-5-(3-dimethylaminophenyl)-4-[(2,6-dimethylmorpholin-4-yl)carbonyl]-oxazole



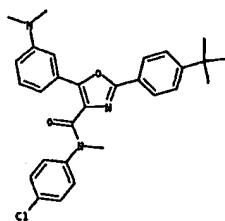
The title compound was prepared in analogous manner to that described in Example 154 above, using 2,6-dimethylmorpholine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.57$ min.

Mass Spectrum (ES⁺, m/z): 462.10 (M+H)⁺

Example 187

N-(4-chlorophenyl)-*N*-methyl-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide



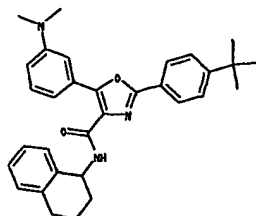
The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-methyl-4-chlorophenylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.75$ min.

Mass Spectrum (ES⁺, m/z): 488.02 (M+H)⁺

Example 188

N-(1,2,3,4-tetrahydronaphthalen-1-yl)-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)-oxazole-4-carboxamide



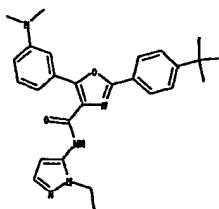
The title compound was prepared in analogous manner to that described in Example 154 above, using 1,2,3,4-tetrahydronaphthalen-1-ylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.90$ min.

Mass Spectrum (ES⁺, m/z): 494.13 (M+H)⁺

Example 189

N-(2-ethyl-2*H*-pyrazol-3-yl)-2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxamide



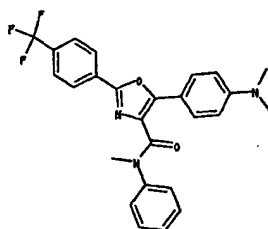
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-ethyl-2*H*-pyrazol-3-ylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC: $R_t = 1.70$ min.

Mass Spectrum (ES⁺, m/z): 458.11 (M+H)⁺

Example 190

N-methyl-*N*-phenyl-5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxamide



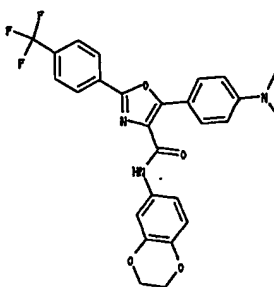
The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-methylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 140 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)-oxazole-4-carboxylic acid.

HPLC: $R_t = 1.51$ min.

Mass Spectrum (ES⁺, m/z): 466.15 (M+H)⁺

Example 191

N-(2,3-dihydrobenzo[1,4]dioxin-6-yl)-5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)-oxazole-4-carboxamide



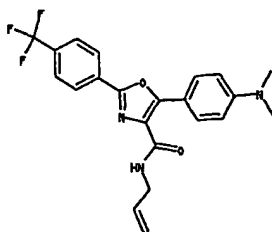
The title compound was prepared in analogous manner to that described in Example 154 above, using 2,3-dihydrobenzo[1,4]dioxin-6-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 140 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylamino-phenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.61$ min.

Mass Spectrum (ES⁺, m/z): 510.13 (M+H)⁺

Example 192

N-allyl-5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxamide



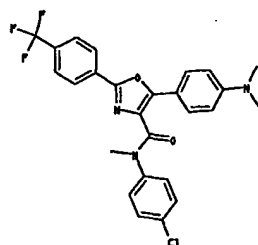
The title compound was prepared in analogous manner to that described in Example 154 above, using allylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylamino-phenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 140 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.52$ min.

Mass Spectrum (ES⁺, m/z): 416.15 (M+H)⁺

Example 193

N-(4-chlorophenyl)-*N*-methyl-5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-methyl-4-chlorophenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid,

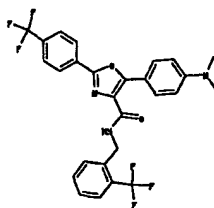
prepared as described in Example 140 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)-oxazole-4-carboxylic acid.

HPLC: $R_t = 1.60$ min.

Mass Spectrum (ES⁺, m/z): 500.11 (M+H)⁺

Example 194

N-(2-trifluoromethylbenzyl)-5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxamide



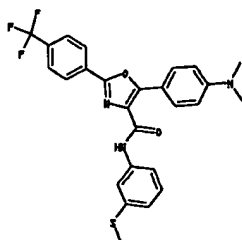
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-trifluoromethylbenzylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 140 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)-oxazole-4-carboxylic acid.

HPLC: $R_t = 1.68$ min.

Mass Spectrum (ES⁺, m/z): 534.13 (M+H)⁺

Example 195

N-(3-methylsulfanylphenyl)-5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxamide



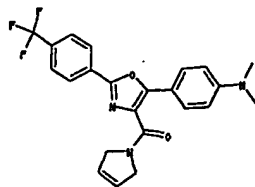
The title compound was prepared in analogous manner to that described in Example 154 above, using 3-methylsulfanylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 140 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)-oxazole-4-carboxylic acid.

HPLC: $R_t = 1.72$ min.

Mass Spectrum (ES⁺, m/z): 498.12 (M+H)⁺

Example 196

4-[(2,5-Dihydropyrrol-1-yl)carbonyl]-5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)-oxazole



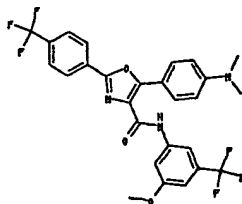
The title compound was prepared in analogous manner to that described in Example 154 above, using 2,5-dihydropyrrole instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 140 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)-oxazole-4-carboxylic acid.

HPLC: $R_t = 1.45$ min.

Mass Spectrum (ES⁺, m/z): 428.13 (M+H)⁺

Example 197

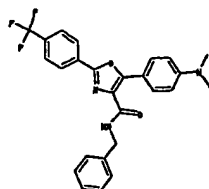
N-(3-methoxy-5-trifluoromethylphenyl)-5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 3-methoxy-5-trifluoromethylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 140 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.80$ min.

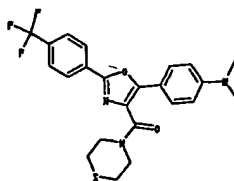
Mass Spectrum (ES⁺, m/z): 550.12 (M+H)⁺

Example 198N-benzyl-5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxamide

The title compound was prepared in analogous manner to that described in Example 154 above, using benzylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylamino-phenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 140 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.59$ min.

Mass Spectrum (ES⁺, m/z): 466.15 (M+H)⁺

Example 1995-(4-Dimethylaminophenyl)-4-(thiomorpholin-4-ylcarbonyl)-2-(4-trifluoromethylphenyl)-oxazole

The title compound was prepared in analogous manner to that described in Example 154 above, using thiomorpholine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-

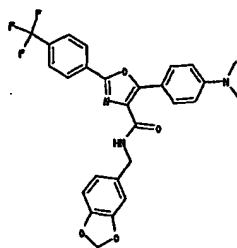
dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 140 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)-oxazole-4-carboxylic acid.

HPLC: $R_t = 1.46$ min.

Mass Spectrum (ES+, m/z): 462.13 (M+H)⁺

Example 200

N-(benzo[1,3]dioxol-5-ylmethyl)-5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)-oxazole-4-carboxamide



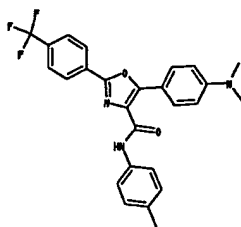
The title compound was prepared in analogous manner to that described in Example 154 above, using benzo[1,3]dioxol-5-ylmethylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 140 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)-oxazole-4-carboxylic acid.

HPLC: $R_t = 1.57$ min.

Mass Spectrum (ES+, m/z): 510.14 (M+H)⁺

Example 201

N-(4-methylphenyl)-5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 4-methylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 140 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)-oxazole-4-carboxylic acid.

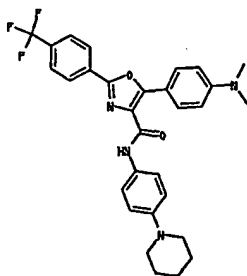
HPLC: $R_t = 1.69$ min.

Mass Spectrum (ES⁺, m/z): 466.15 (M+H)⁺

Example 202

N-[4-(piperidin-1-yl)phenyl]-5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxamide

216



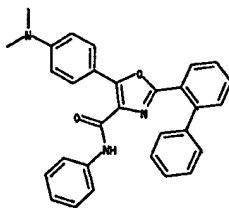
The title compound was prepared in analogous manner to that described in Example 154 above, using 4-(piperidin-1-yl)phenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 140 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)-oxazole-4-carboxylic acid.

HPLC: $R_t = 1.20$ min.

Mass Spectrum (ES⁺, m/z): 535.21 (M+H)⁺

Example 203

N-phenyl-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using phenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example

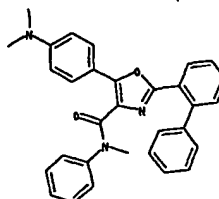
21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.62$ min.

Mass Spectrum (ES⁺, m/z): 460.18 (M+H)⁺

Example 204

N-methyl-*N*-phenyl-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-methylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

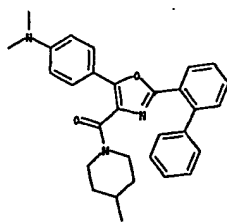
HPLC: $R_t = 1.47$ min.

Mass Spectrum (ES⁺, m/z): 474.20 (M+H)⁺

Example 205

2-(Biphenyl-2-yl)-5-(4-dimethylaminophenyl)-4-[(4-methylpiperidin-1-yl)carbonyl]oxazole

218



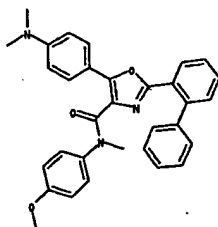
The title compound was prepared in analogous manner to that described in Example 154 above, using 4-methylpiperidine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.56$ min.

Mass Spectrum (ES⁺, m/z): 466.22 (M+H)⁺

Example 206

N-(4-methoxyphenyl)-*N*-methyl-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-methyl-4-methoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-

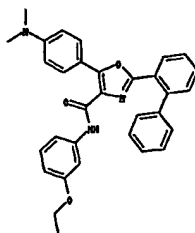
carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: Rt = 1.43 min.

Mass Spectrum (ES+, m/z): 504.19 (M+H)⁺

Example 207

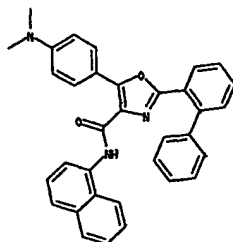
N-(3-ethoxyphenyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 3-ethoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: Rt = 1.68 min.

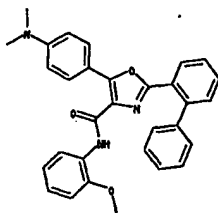
Mass Spectrum (ES+, m/z): 504.22 (M+H)⁺

Example 208*N*-(naphthalen-1-yl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide

The title compound was prepared in analogous manner to that described in Example 154 above, using naphthalen-1-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.71$ min.

Mass Spectrum (ES⁺, m/z): 510.21 (M+H)⁺

Example 209*N*-(2-methoxyphenyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide

The title compound was prepared in analogous manner to that described in Example 154 above, using 2-methoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-

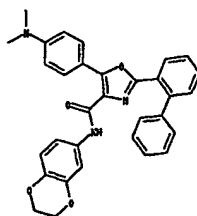
(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.69$ min.

Mass Spectrum (ES⁺, m/z): 490.20 (M+H)⁺

Example 210

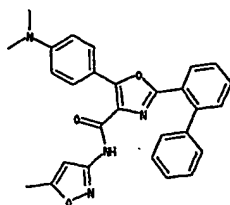
N-(2,3-dihydrobenzo[1,4]dioxin-6-yl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 2,3-dihydrobenzo[1,4]dioxin-6-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylamino-phenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.57$ min.

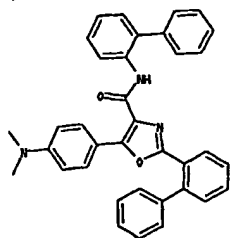
Mass Spectrum (ES⁺, m/z): 518.19 (M+H)⁺

Example 211*N*-(5-methylisoxazol-3-yl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide

The title compound was prepared in analogous manner to that described in Example 154 above, using 5-methylisoxazol-3-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.54$ min.

Mass Spectrum (ES⁺, m/z): 565.18 (M+H)⁺

Example 212*N*-(biphenyl-2-yl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide

The title compound was prepared in analogous manner to that described in Example 154 above, using biphenyl-2-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-

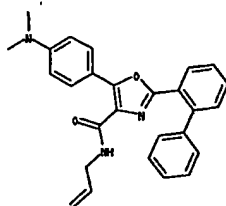
(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.81$ min.

Mass Spectrum (ES⁺, m/z): 536.20 (M+H)⁺

Example 213

N-allyl-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



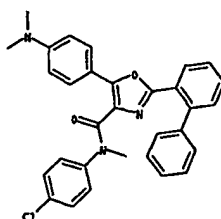
The title compound was prepared in analogous manner to that described in Example 154 above, using allylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.46$ min.

Mass Spectrum (ES⁺, m/z): 424.19 (M+H)⁺

Example 214

N-(4-chlorophenyl)-*N*-methyl-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



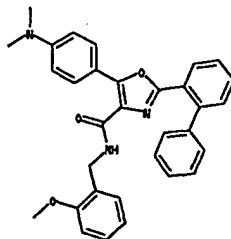
The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-methyl-4-chlorophenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.54$ min.

Mass Spectrum (ES⁺, m/z): 508.15 (M+H)⁺

Example 215

N-(2-methoxybenzyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



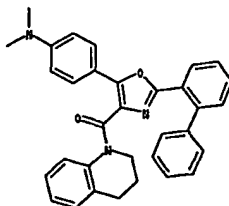
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-methoxybenzylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: Rt = 1.59 min.

Mass Spectrum (ES⁺, m/z): 504.21 (M+H)⁺

Example 216

2-(Biphenyl-2-yl)-4-[(3,4-dihydro-2H-quinolin-1-yl)carbonyl]-5-(4-dimethylaminophenyl)-oxazole



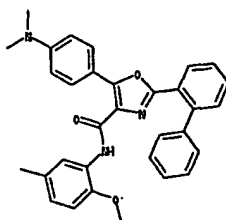
The title compound was prepared in analogous manner to that described in Example 154 above, using 3,4-dihydro-2H-quinoline instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: Rt = 1.57 min.

Mass Spectrum (ES⁺, m/z): 500.21 (M+H)⁺

Example 217

N-(2-methoxy-5-methylphenyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



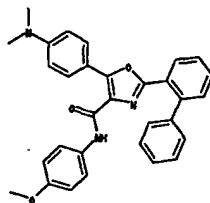
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-methoxy-5-methylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.74$ min.

Mass Spectrum (ES^+ , m/z): 504.21 ($M+H$)⁺

Example 218

N-(4-methoxyphenyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



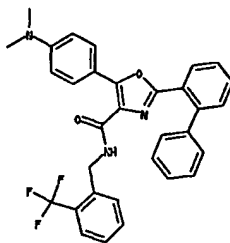
The title compound was prepared in analogous manner to that described in Example 154 above, using 4-methoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.59$ min.

Mass Spectrum (ES+, m/z): 490.20 (M+H)⁺

Example 219

N-(2-trifluoromethylbenzyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



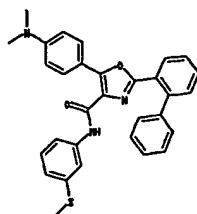
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-trifluoromethylbenzylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.67$ min.

Mass Spectrum (ES+, m/z): 542.18 (M+H)⁺

Example 220

N-(3-methylsulfanylphenyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



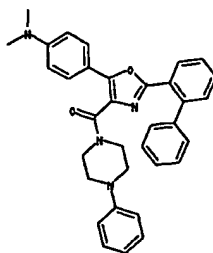
The title compound was prepared in analogous manner to that described in Example 154 above, using 3-methylsulfanylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.68$ min.

Mass Spectrum (ES⁺, m/z): 506.18 (M+H)⁺

Example 221

2-(Biphenyl-2-yl)-5-(4-dimethylaminophenyl)-4-[(4-phenylpiperazin-1-yl)carbonyl]oxazole



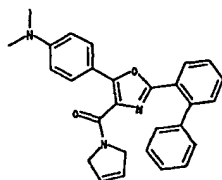
The title compound was prepared in analogous manner to that described in Example 154 above, using 1-phenylpiperazine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.56$ min.

Mass Spectrum (ES⁺, m/z): 529.24 (M+H)⁺

Example 222

2-(Biphenyl-2-yl)-4-(2,5-dihydropyrrol-1-ylcarbonyl)-5-(4-dimethylaminophenyl)oxazole



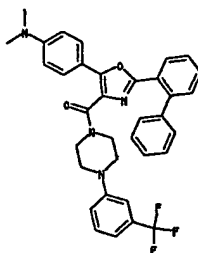
The title compound was prepared in analogous manner to that described in Example 154 above, using 2,5-dihydropyrrole instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.42$ min.

Mass Spectrum (ES⁺, m/z): 436.17 (M+H)⁺

Example 223

2-(Biphenyl-2-yl)-5-(4-dimethylaminophenyl)-4-[[4-(3-trifluoromethylphenyl)piperazin-1-yl]carbonyl]oxazole



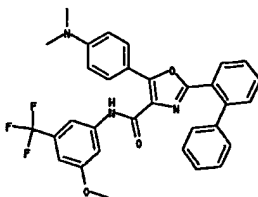
The title compound was prepared in analogous manner to that described in Example 154 above, using 1-(3-trifluoromethylphenyl)piperazine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylamino-phenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.68$ min.

Mass Spectrum (ES⁺, m/z): 597.18 (M+H)⁺

Example 224

N-(3-methoxy-5-trifluoromethylphenyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



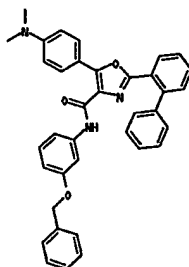
The title compound was prepared in analogous manner to that described in Example 154 above, using 3-methoxy-5-trifluoromethylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)-oxazole-4-carboxylic acid.

HPLC: $R_t = 1.74$ min.

Mass Spectrum (ES⁺, m/z): 558.16 (M+H)⁺

Example 225

N-(3-benzyloxyphenyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



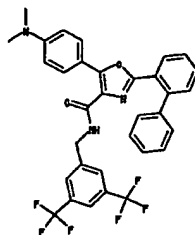
The title compound was prepared in analogous manner to that described in Example 154 above, using 3-benzyloxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.75$ min.

Mass Spectrum (ES⁺, m/z): 566.20 (M+H)⁺

Example 226

N-(3,5-bis-trifluoromethylbenzyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



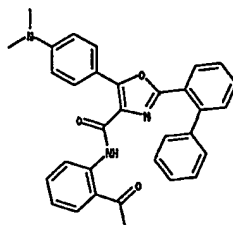
The title compound was prepared in analogous manner to that described in Example 154 above, using 3,5-bis-trifluoromethylbenzylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.72$ min.

Mass Spectrum (ES⁺, m/z): 610.13 (M+H)⁺

Example 227

N-(2-acetylphenyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



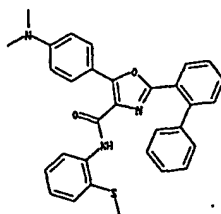
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-acetylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.65$ min.

Mass Spectrum (ES⁺, m/z): 502.19 (M+H)⁺

Example 228

N-(2-methylsulfonylphenyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



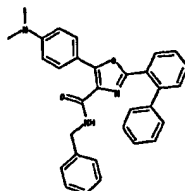
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-methylsulfonylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.75$ min.

Mass Spectrum (ES⁺, m/z): 506.18 (M+H)⁺

Example 229

N-benzyl-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



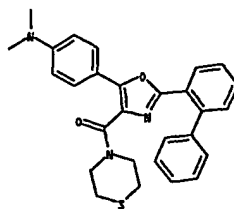
The title compound was prepared in analogous manner to that described in Example 154 above, using benzylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: Rt = 1.56 min.

Mass Spectrum (ES⁺, m/z): 474.20 (M+H)⁺

Example 230

2-(Biphenyl-2-yl)-5-(4-dimethylaminophenyl)-4-(thiomorpholin-4-ylcarbonyl)oxazole



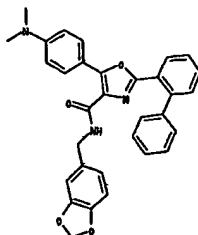
The title compound was prepared in analogous manner to that described in Example 154 above, using thiomorpholine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.47$ min.

Mass Spectrum (ES⁺, m/z): 470.17 (M+H)⁺

Example 231

N-(benzo[1,3]dioxol-5-ylmethyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



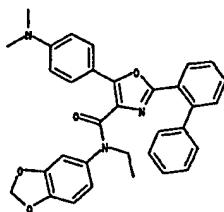
The title compound was prepared in analogous manner to that described in Example 154 above, using benzo[1,3]dioxol-5-ylmethylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.53$ min.

Mass Spectrum (ES⁺, m/z): 518.21 (M+H)⁺

Example 232

N-(benzo[1,3]dioxol-5-yl)-*N*-ethyl-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



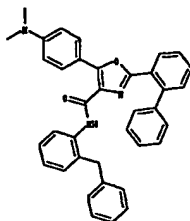
The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-ethylbenzo[1,3]dioxol-5-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylamino-phenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.46$ min.

Mass Spectrum (ES^+ , m/z): 532.20 ($M+H$)⁺

Example 233

N-(2-benzylphenyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



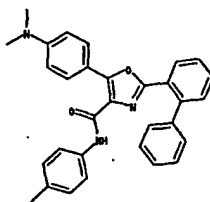
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-benzylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.78$ min.

Mass Spectrum (ES⁺, m/z): 550.22 (M+H)⁺

Example 234

N-(4-methylphenyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



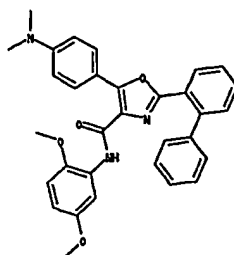
The title compound was prepared in analogous manner to that described in Example 154 above, using 4-methylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.67$ min.

Mass Spectrum (ES⁺, m/z): 474.21 (M+H)⁺

Example 235

N-(2,5-dimethoxyphenyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



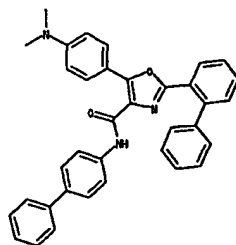
The title compound was prepared in analogous manner to that described in Example 154 above, using 2,5-dimethoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.69$ min.

Mass Spectrum (ES⁺, m/z): 520.22 (M+H)⁺

Example 236

N-(biphenyl-4-yl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



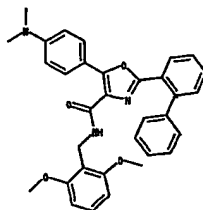
The title compound was prepared in analogous manner to that described in Example 154 above, using biphenyl-4-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.76$ min.

Mass Spectrum (ES⁺, m/z): 536.22 (M+H)⁺

Example 237

N-(2,6-dimethoxybenzyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



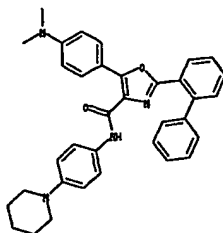
The title compound was prepared in analogous manner to that described in Example 154 above, using 2,6-dimethoxybenzylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.61$ min.

Mass Spectrum (ES⁺, m/z): 534.22 (M+H)⁺

Example 238

N-(4-piperidin-1-ylphenyl)-2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



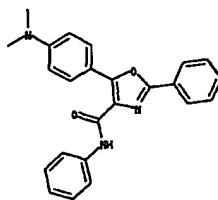
The title compound was prepared in analogous manner to that described in Example 154 above, using 4-(piperidin-1-yl)phenylamine instead of 2-(4-methoxyphenyl)ethylamine and 2-(biphenyl-2-yl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 21 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: Rt = 1.15 min.

Mass Spectrum (ES⁺, m/z): 543.26 (M+H)⁺

Example 239

N-phenyl-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide



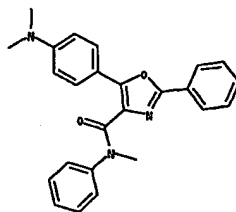
The title compound was prepared in analogous manner to that described in Example 154 above, using phenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.59$ min.

Mass Spectrum (ES+, m/z): 384.11 (M+H)⁺

Example 240

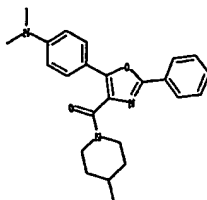
N-methyl-*N*-phenyl-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-methylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.34$ min.

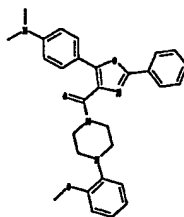
Mass Spectrum (ES+, m/z): 398.10 (M+H)⁺

Example 2415-(4-Dimethylaminophenyl)-4-[(4-methylpiperidin-1-yl)carbonyl]-2-phenyloxazole

The title compound was prepared in analogous manner to that described in Example 154 above, using 4-methylpiperidine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.41$ min.

Mass Spectrum (ES⁺, m/z): 390.15 (M+H)⁺

Example 2425-(4-Dimethylaminophenyl)-4-[[4-(2-methoxyphenyl)piperazin-1-yl]carbonyl]-2-phenyloxazole

The title compound was prepared in analogous manner to that described in Example 154 above, using 4-(2-methoxyphenyl)piperazine instead of 2-(4-methoxyphenyl)ethylamine

243

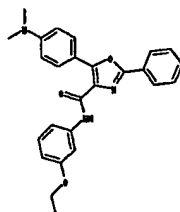
and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.38$ min.

Mass Spectrum (ES⁺, m/z): 483.16 (M+H)⁺

Example 243

N-(3-ethoxyphenyl)-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide



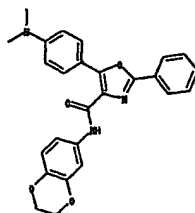
The title compound was prepared in analogous manner to that described in Example 154 above, using 3-ethoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.64$ min.

Mass Spectrum (ES⁺, m/z): 428.11 (M+H)⁺

Example 244

N-(2,3-dihydrobenzo[1,4]dioxin-6-yl)-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide



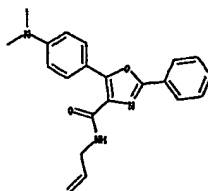
The title compound was prepared in analogous manner to that described in Example 154 above, using 2,3-dihydrobenzo[1,4]dioxin-6-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid:

HPLC: $R_t = 1.52$ min.

Mass Spectrum (ES⁺, m/z): 442.08 (M+H)⁺

Example 245

N-allyl-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using allylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-

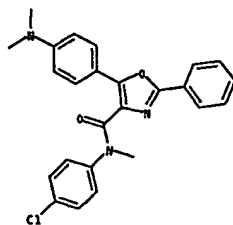
dimethylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.41$ min.

Mass Spectrum (ES+, m/z): 348.15 (M+H)⁺

Example 246

N-(4-chlorophenyl)-*N*-methyl-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide

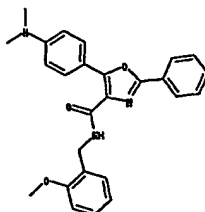


The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-methyl-4-chlorophenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.45$ min.

Mass Spectrum (ES+, m/z): 432.08 (M+H)⁺

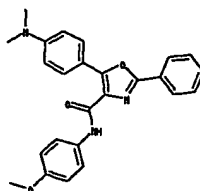
246

Example 247*N*-(2-methoxybenzyl)-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide

The title compound was prepared in analogous manner to that described in Example 154 above, using 2-methoxybenzylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.55$ min.

Mass Spectrum (ES⁺, m/z): 428.12 (M+H)⁺

Example 248*N*-(4-methoxyphenyl)-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide

The title compound was prepared in analogous manner to that described in Example 154 above, using 4-methoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in

247

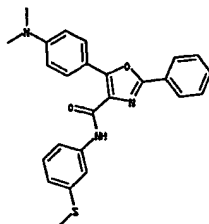
Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: Rt = 1.53 min.

Mass Spectrum (ES+, m/z): 414.11 (M+H)⁺

Example 249

N-(3-methylsulfonylphenyl)-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 3-methylsulfonylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

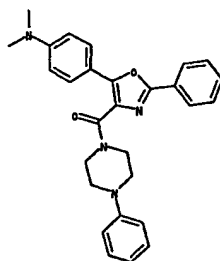
HPLC: Rt = 1.64 min.

Mass Spectrum (ES+, m/z): 430.09 (M+H)⁺

Example 250

5-(4-Dimethylaminophenyl)-2-phenyl-4-[(4-phenylpiperazin-1-yl)carbonyl]oxazole

248



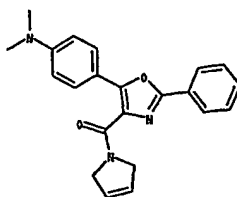
The title compound was prepared in analogous manner to that described in Example 154 above, using 1-phenylpiperazine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.42$ min.

Mass Spectrum (ES^+ , m/z): 453.15 ($M+H$)⁺

Example 251

4-(2,5-Dihydropyrrol-1-ylcarbonyl)-5-(4-dimethylaminophenyl)-2-phenyloxazole



The title compound was prepared in analogous manner to that described in Example 154 above, using 2,5-dihydropyrrole instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example

249

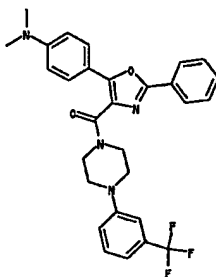
22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.28$ min.

Mass Spectrum (ES⁺, m/z): 360.15 (M+H)⁺

Example 252

5-(4-Dimethylaminophenyl)-2-phenyl-4-[[4-(3-trifluoromethylphenyl)piperazin-1-yl]carbonyl]-oxazole



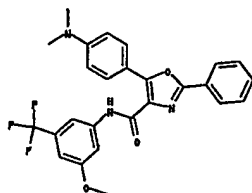
The title compound was prepared in analogous manner to that described in Example 154 above, using 1-(3-trifluoromethylphenyl)piperazine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.55$ min.

Mass Spectrum (ES⁺, m/z): 521.15 (M+H)⁺

Example 253

N-(3-methoxy-5-trifluoromethylphenyl)-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide



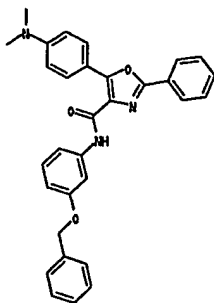
The title compound was prepared in analogous manner to that described in Example 154 above, using 3-methoxy-5-trifluoromethylphenylamine instead of 2-(4-methoxyphenyl)-ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)-oxazole-4-carboxylic acid.

HPLC: $R_t = 1.72$ min.

Mass Spectrum (ES⁺, m/z): 482.10 (M+H)⁺

Example 254

N-(3-benzyloxyphenyl)-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide



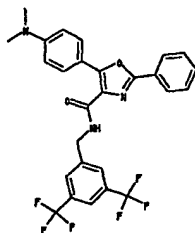
The title compound was prepared in analogous manner to that described in Example 154 above, using 3-benzyloxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.72$ min.

Mass Spectrum (ES⁺, m/z): 490.14 (M+H)⁺

Example 255

N-(3,5-bis-trifluoromethylbenzyl)-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide



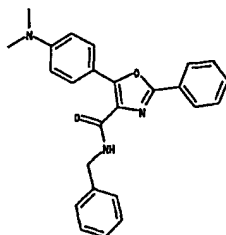
The title compound was prepared in analogous manner to that described in Example 154 above, using 3,5-bis-trifluoromethylbenzylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.68$ min.

Mass Spectrum (ES+, m/z): 534.08 (M+H)⁺

Example 256

N-benzyl-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide



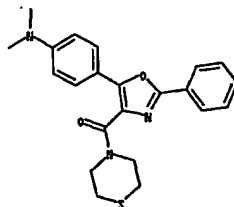
The title compound was prepared in analogous manner to that described in Example 154 above, using benzylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: Rt = 1.52 min.

Mass Spectrum (ES+, m/z): 398.14 (M+H)⁺

Example 257

5-(4-Dimethylaminophenyl)-2-phenyl-4-(thiomorpholin-4-ylcarbonyl)oxazole



253

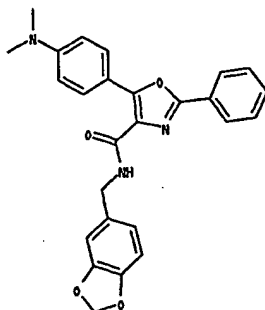
The title compound was prepared in analogous manner to that described in Example 154 above, using thiomorpholine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.30$ min.

Mass Spectrum (ES⁺, m/z): 394.12 (M+H)⁺

Example 258

N-(benzo[1,3]dioxol-5-ylmethyl)-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide

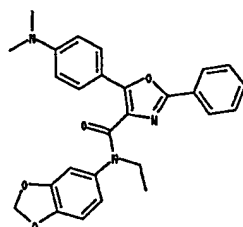


The title compound was prepared in analogous manner to that described in Example 154 above, using benzo[1,3]dioxol-5-ylmethylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

254

HPLC: $R_t = 1.47$ min.Mass Spectrum (ES⁺, m/z): 442.11 (M+H)⁺Example 259

N-(benzo[1,3]dioxol-5-yl)-*N*-ethyl-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide

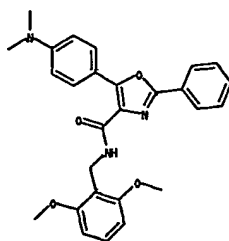


The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-ethylbenzo[1,3]dioxol-5-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.36$ min.Mass Spectrum (ES⁺, m/z): 456.13 (M+H)⁺Example 260

N-(2,6-dimethoxybenzyl)-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide

255



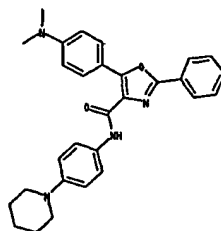
The title compound was prepared in analogous manner to that described in Example 154 above, using 2,6-dimethoxybenzylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.56$ min.

Mass Spectrum (ES⁺, m/z): 458.16 (M+H)⁺

Example 261

N-(4-piperidin-1-ylphenyl)-5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 4-(piperidin-1-yl)phenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-dimethylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in

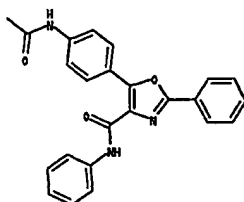
Example 22 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.09$ min.

Mass Spectrum (ES⁺, m/z): 467.18 (M+H)⁺

Example 262

N-phenyl-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using phenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

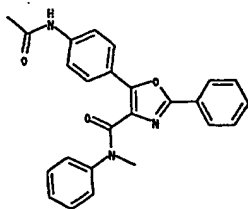
HPLC: $R_t = 1.32$ min.

Mass Spectrum (ES⁺, m/z): 398.11 (M+H)⁺

Example 263

N-methyl-*N*-phenyl-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide

257



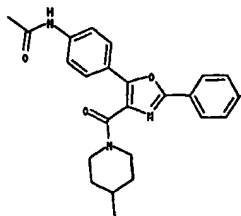
The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-methylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.07$ min.

Mass Spectrum (ES⁺, m/z): 412.13 (M+H)⁺

Example 264

5-(4-Acetylamino-phenyl)-4-[(4-methylpiperidin-1-yl)carbonyl]-2-phenyloxazole



The title compound was prepared in analogous manner to that described in Example 154 above, using 4-methylpiperidine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example

258

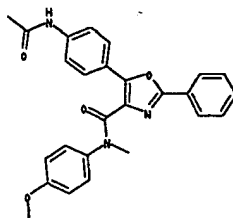
145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.13$ min.

Mass Spectrum (ES⁺, m/z): 404.17 (M+H)⁺

Example 265

N-(4-methoxyphenyl)-*N*-methyl-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-methyl-4-methoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

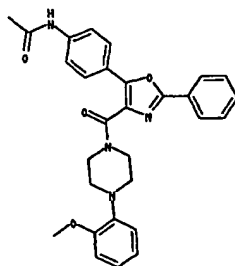
HPLC: $R_t = 1.05$ min.

Mass Spectrum (ES⁺, m/z): 442.14 (M+H)⁺

Example 266

5-(4-Acetylamino-phenyl)-4-[[4-(2-methoxyphenyl)piperazin-1-yl]carbonyl]-2-phenyloxazole

259



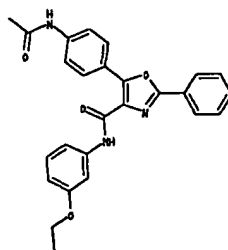
The title compound was prepared in analogous manner to that described in Example 154 above, using 1-(2-methoxyphenyl)piperazine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.11$ min.

Mass Spectrum (ES⁺, m/z): 497.18 (M+H)⁺

Example 267

N-(3-ethoxyphenyl)-5-(4-acetylamino-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 3-ethoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-2-phenyloxazole-4-carboxylic acid, prepared as described in Example

260

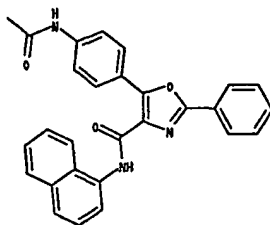
145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.38$ min.

Mass Spectrum (ES⁺, m/z): 442.14 (M+H)⁺

Example 268

N-(naphthalen-1-yl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using naphthalen-1-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

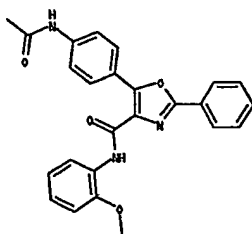
HPLC: $R_t = 1.43$ min.

Mass Spectrum (ES⁺, m/z): 448.12 (M+H)⁺

Example 269

N-(2-methoxyphenyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide

261



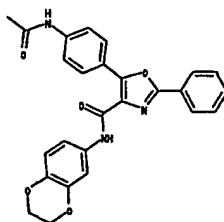
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-methoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.40$ min.

Mass Spectrum (ES⁺, m/z): 428.12 (M+H)⁺

Example 270

N-(2,3-dihydrobenzo[1,4]dioxin-6-yl)-5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 2,3-dihydrobenzo[1,4]dioxin-6-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxylic acid,

262

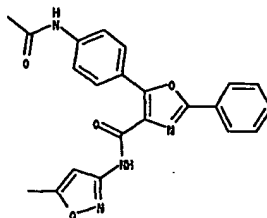
prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.27$ min.

Mass Spectrum (ES⁺, m/z): 456.12 (M+H)⁺

Example 271

N-(5-methylisoxazol-3-yl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 5-methylisoxazol-3-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

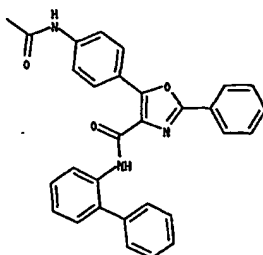
HPLC: $R_t = 1.21$ min.

Mass Spectrum (ES⁺, m/z): 403.11 (M+H)⁺

Example 272

N-(biphenyl-2-yl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide.

263



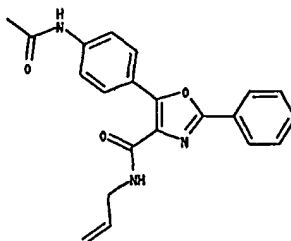
The title compound was prepared in analogous manner to that described in Example 154 above, using biphenyl-2-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-2-phenyloxazol-2-yl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.55$ min.

Mass Spectrum (ES⁺, m/z): 474.15 (M+H)⁺

Example 273

N-allyl-5-(4-acetylamino-2-phenyloxazol-2-yl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using allylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-2-phenyloxazol-2-yl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example

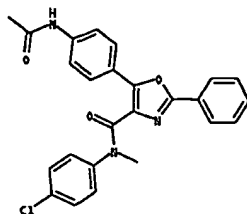
145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.10$ min.

Mass Spectrum (ES⁺, m/z): 362.16 (M+H)⁺

Example 274

N-(4-chlorophenyl)-*N*-methyl-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-methyl-4-chlorophenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

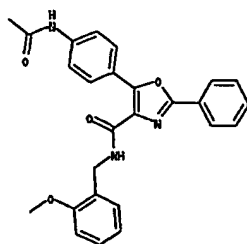
HPLC: $R_t = 1.19$ min.

Mass Spectrum (ES⁺, m/z): 446.10 (M+H)⁺

Example 275

N-(2-methoxybenzyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide

265



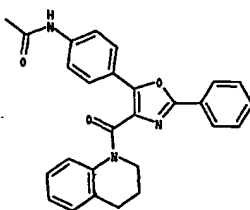
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-methoxybenzylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.29$ min.

Mass Spectrum (ES⁺, m/z): 442.15 (M+H)⁺

Example 276

5-(4-Acetylamino-phenyl)-4-(3,4-dihydro-2H-quinolin-1-ylcarbonyl)-2-phenyloxazole



The title compound was prepared in analogous manner to that described in Example 154 above, using 3,4-dihydro-2H-quinoline instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example

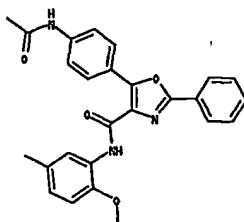
145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.19$ min.

Mass Spectrum (ES+, m/z): 438.16 (M+H)⁺

Example 277

N-(2-methoxy-5-methylphenyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 2-methoxy-5-methylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

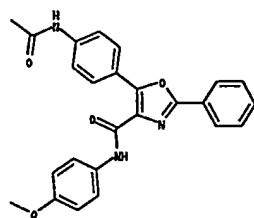
HPLC: $R_t = 1.47$ min.

Mass Spectrum (ES+, m/z): 442.15 (M+H)⁺

Example 278

N-(4-methoxyphenyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide

267



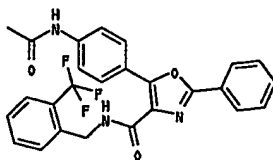
The title compound was prepared in analogous manner to that described in Example 154 above, using 4-methoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.28$ min.

Mass Spectrum (ES⁺, m/z): 428.13 (M+H)⁺

Example 279

N-(2-(2-trifluoromethylbenzyl)-5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxamide



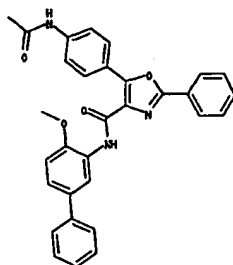
The title compound was prepared in analogous manner to that described in Example 154 above, using 2-trifluoromethylbenzylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.39$ min.

Mass Spectrum (ES⁺, m/z): 480.12 (M+H)⁺

Example 280

N-(4-methoxybiphenyl-3-yl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 4-methoxybiphenyl-3-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

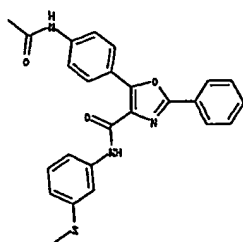
HPLC: $R_t = 1.60$ min.

Mass Spectrum (ES⁺, m/z): 504.16 (M+H)⁺

Example 281

N-(3-methylsulfonylphenyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide

269



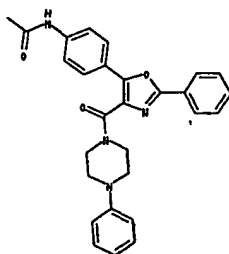
The title compound was prepared in analogous manner to that described in Example 154 above, using 3-methylsulfanylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.40$ min.

Mass Spectrum (ES⁺, m/z): 444.11 (M+H)⁺

Example 282

5-(4-Acetylamino-2-phenyloxazole-2-carbonyl)-2-phenyloxazole-4-carboxylic acid



The title compound was prepared in analogous manner to that described in Example 154 above, using 1-phenylpiperazine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-2-phenyloxazole-4-carboxylic acid, prepared as described in Example

270

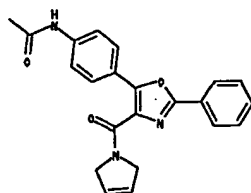
145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.18$ min.

Mass Spectrum (ES⁺, m/z): 467.17 (M+H)⁺

Example 283

5-(4-Acetylamino-phenyl)-4-(2,5-dihydropyrrol-1-ylcarbonyl)-2-phenyloxazole



The title compound was prepared in analogous manner to that described in Example 154 above, using 2,5-dihydropyrrole instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

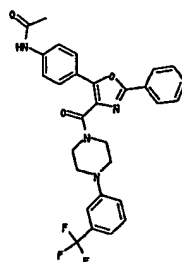
HPLC: $R_t = 0.97$ min.

Mass Spectrum (ES⁺, m/z): 374.15 (M+H)⁺

Example 284

5-(4-Acetylamino-phenyl)-2-phenyl-4-[[4-(3-trifluoromethylphenyl)piperazin-1-yl]carbonyl]-oxazole

271



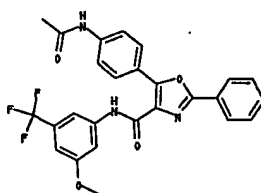
The title compound was prepared in analogous manner to that described in Example 154 above, using 1-(3-trifluoromethylphenyl)piperazine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino)phenyl-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.33$ min.

Mass Spectrum (ES⁺, m/z): 535.15 (M+H)⁺

Example 285

N-(3-methoxy-5-trifluoromethylphenyl)-5-(4-acetylamino)phenyl-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 3-methoxy-5-trifluoromethylphenylamine instead of 2-(4-methoxyphenyl)-ethylamine and 5-(4-acetylamino)phenyl-2-phenyloxazole-4-carboxylic acid, prepared as

272

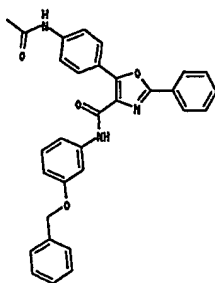
described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylamino-phenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.49$ min.

Mass Spectrum (ES⁺, m/z): 496.12 (M+H)⁺

Example 286

N-(3-benzyloxyphenyl)-5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 3-benzyloxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

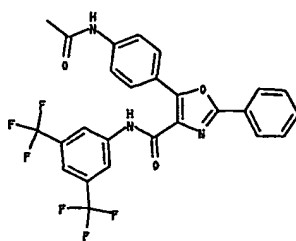
HPLC: $R_t = 1.51$ min.

Mass Spectrum (ES⁺, m/z): 504.16 (M+H)⁺

Example 287

N-(3,5-bis-trifluoromethylphenyl)-5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxamide

273



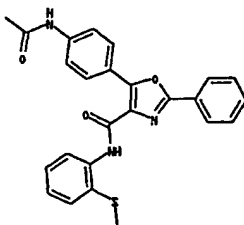
The title compound was prepared in analogous manner to that described in Example 154 above, using 3,5-bis-trifluoromethylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.60$ min.

Mass Spectrum (ES⁺, m/z): 534.10 (M+H)⁺

Example 288

N-(2-methylsulfanylphenyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 2-methylsulfanylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in

274

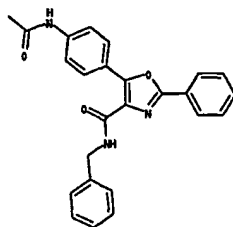
Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.47$ min.

Mass Spectrum (ES⁺, m/z): 444.12 (M+H)⁺

Example 289

N-benzyl-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using benzylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

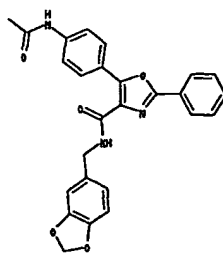
HPLC: $R_t = 1.26$ min.

Mass Spectrum (ES⁺, m/z): 412.15 (M+H)⁺

Example 290

N-(benzo[1,3]dioxol-5-ylmethyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide

275



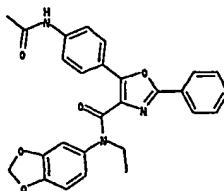
The title compound was prepared in analogous manner to that described in Example 154 above, using benzo[1,3]dioxol-5-ylmethylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.23$ min.

Mass Spectrum (ES⁺, m/z): 456.13 (M+H)⁺

Example 291

N-benzo[1,3]dioxol-5-yl-*N*-ethyl-5-(4-acetylamino-2-phenyloxazole-4-carboxamide



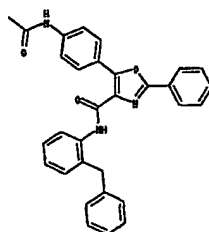
The title compound was prepared in analogous manner to that described in Example 154 above, using *N*-ethylbenzo[1,3]dioxol-5-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.12$ min.

Mass Spectrum (ES⁺, m/z): 470.15 (M+H)⁺

Example 292

N-(2-benzylphenyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 2-benzylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

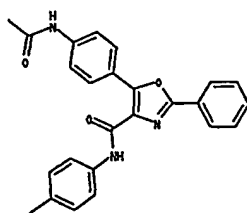
HPLC: $R_t = 1.53$ min.

Mass Spectrum (ES⁺, m/z): 488.18 (M+H)⁺

Example 293

N-(4-methylphenyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide

277



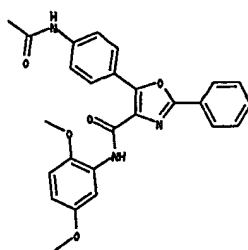
The title compound was prepared in analogous manner to that described in Example 154 above, using 4-methylphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-2-phenyloxazol-2-yl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.37$ min.

Mass Spectrum (ES⁺, m/z): 412.15 (M+H)⁺

Example 294

N-(2,5-dimethoxyphenyl)-5-(4-acetylamino-2-phenyloxazol-2-yl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using 2,5-dimethoxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylamino-2-phenyloxazol-2-yl)-2-phenyloxazole-4-carboxylic acid, prepared as described in

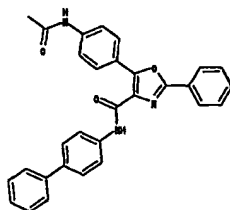
Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 1.40$ min.

Mass Spectrum (ES⁺, m/z): 458.15 (M+H)⁺

Example 295

N-(biphenyl-4-yl)-5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxamide



The title compound was prepared in analogous manner to that described in Example 154 above, using biphenyl-4-ylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

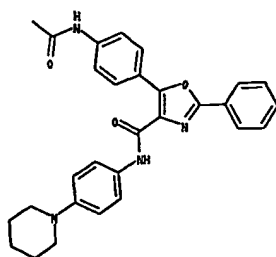
HPLC: $R_t = 1.51$ min.

Mass Spectrum (ES⁺, m/z): 474.16 (M+H)⁺

Example 296

N-(4-piperidin-1-ylphenyl)-5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxamide

279



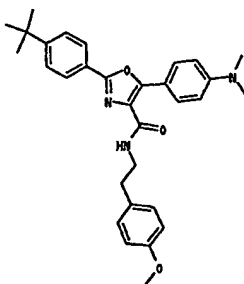
The title compound was prepared in analogous manner to that described in Example 154 above, using 4-(piperidin-1-yl)phenylamine instead of 2-(4-methoxyphenyl)ethylamine and 5-(4-acetylaminophenyl)-2-phenyloxazole-4-carboxylic acid, prepared as described in Example 145 above, instead of 2-(4-*tert*-butylphenyl)-5-(3-dimethylaminophenyl)oxazole-4-carboxylic acid.

HPLC: $R_t = 0.84$ min.

Mass Spectrum (ES⁺, m/z): 481.20 (M+H)⁺

Example 297

N-[2-(4-methoxyphenyl)ethyl]-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



A solution of 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid, prepared as described in Example 19 above, in a 4:1 by volume mixture of acetonitrile and pyridine (800 μ l, 0.125M, 100 μ mol) was added to a 2-dram reaction vial. A solution of

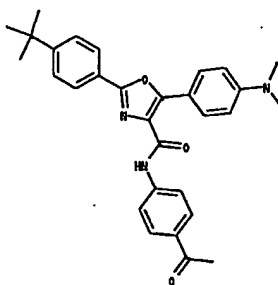
2-chloro-1,3-dimethylimidazolinium chloride (DMC) in a 4:1 by volume mixture of acetonitrile and pyridine (800 μ l, 0.25M, 200 μ mol) was added followed by the addition of a solution of 2-(4-methoxyphenyl)ethylamine in acetonitrile (400 μ l, 0.25M, 100 μ mol). The reaction mixture was then allowed to stand for 4 hours at room temperature. At the end of this time, the solvent was removed *in vacuo* and the crude material submitted for HPLC purification.

HPLC Rt = 1.69 min;

Mass Spectrum (ES⁺, m/z): 498.64 (M+H)⁺

Example 298

N-(4-acetylphenyl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



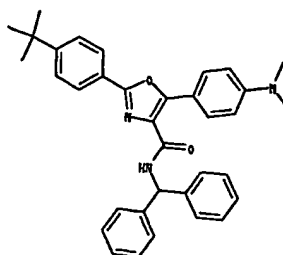
The title compound was prepared in analogous manner to Example 297 above, using 4-acetylphenylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC Rt = 1.73 min;

Mass Spectrum (ES⁺, m/z): 482.56 (M+H)⁺

Example 299

N-benzhydryl-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



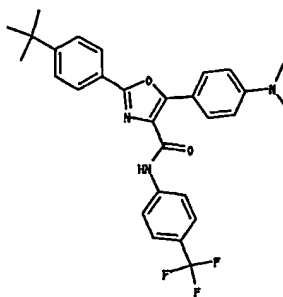
The title compound was prepared in analogous manner to Example 297 above, using benzhydrylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC Rt = 1.84 min;

Mass Spectrum (ES⁺, m/z): 530.49 (M+H)⁺

Example 300

N-(4-trifluoromethylphenyl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



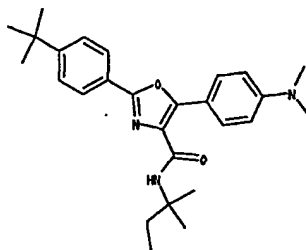
The title compound was prepared in analogous manner to Example 297 above, using 4-trifluoromethylphenylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC Rt = 1.84 min;

Mass Spectrum (ES+, m/z): 508.62 (M+H)⁺

Example 301

N-(1,1-dimethylpropyl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 297 above, using 1,1-dimethylpropylamine instead of 2-(4-methoxyphenyl)ethylamine.

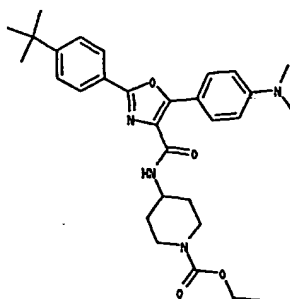
HPLC Rt = 1.79 min;

Mass Spectrum (ES+, m/z): 434.67 (M+H)⁺

Example 302

N-[1-(ethoxycarbonyl)piperidin-4-yl]-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)-oxazole-4-carboxamide

283



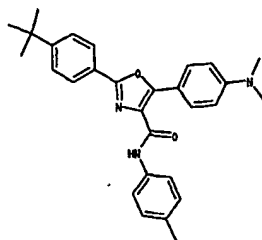
The title compound was prepared in analogous manner to Example 297 above, using 1-ethoxycarbonylpiperidin-4-ylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC Rt = 1.61 min;

Mass Spectrum (ES⁺, m/z): 519.69 (M+H)⁺

Example 303

N-(4-methylphenyl)-2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



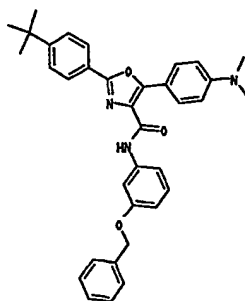
The title compound was prepared in analogous manner to Example 297 above, using 4-methylphenylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC Rt = 1.79 min;

Mass Spectrum (ES⁺, m/z): 454.64 (M+H)⁺

Example 304

N-(3-benzyloxyphenyl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



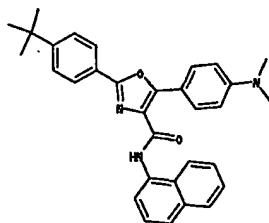
The title compound was prepared in analogous manner to Example 297 above, using 3-benzyloxyphenylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC R_t = 1.91 min;

Mass Spectrum (ES⁺, m/z): 545.72 (M+H)⁺

Example 305

N-(naphthalen-1-yl)-2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



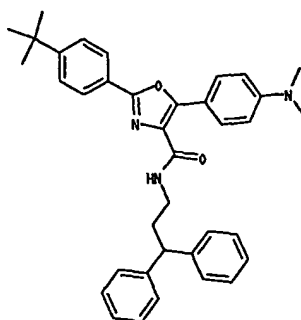
The title compound was prepared in analogous manner to Example 297 above, using naphthalen-1-ylamine instead of 2-(4-methoxyphenyl)ethylamine.

285

HPLC Rt = 1.85 min;

Mass Spectrum (ES+, m/z): 490.60 (M+H)⁺Example 306

N-(3,3-diphenylpropyl)-2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



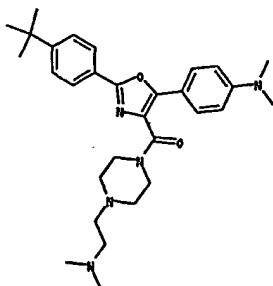
The title compound was prepared in analogous manner to Example 297 above, using 3,3-diphenylpropylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC Rt = 1.83 min;

Mass Spectrum (ES+, m/z): 558.71 (M+H)⁺Example 307

2-(4-tert-Butylphenyl)-4-[[4-(2-dimethylaminoethyl)piperazin-1-yl]carbonyl]-5-(4-dimethylaminophenyl)oxazole

286



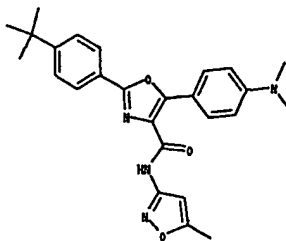
The title compound was prepared in analogous manner to Example 297 above, using 1-(2-dimethylaminoethyl)piperazine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC Rt = 0.97 min;

Mass Spectrum (ES⁺, m/z): 504.69 (M+H)⁺

Example 308

N-(5-methylisoxazol-3-yl)-2-(4-tert-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxamide



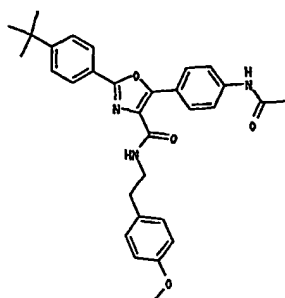
The title compound was prepared in analogous manner to Example 297 above, using 5-methylisoxazol-3-ylamine instead of 2-(4-methoxyphenyl)ethylamine.

HPLC Rt = 1.70 min;

Mass Spectrum (ES⁺, m/z): 445.57 (M+H)⁺

Example 309

N-[2-(4-methoxyphenyl)ethyl]-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide



The title compound was prepared in analogous manner to Example 297 above, using 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 25 above, instead of 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid.

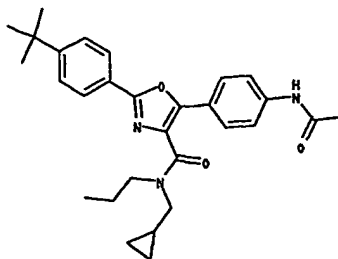
HPLC R_t = 1.55 min;

Mass Spectrum (ES⁺, m/z): 512.72 (M+H)⁺

Example 310

N-cyclopropylmethyl-*N*-propyl-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide

288



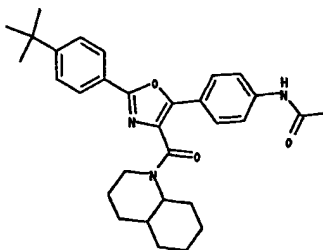
The title compound was prepared in analogous manner to Example 297 above, using 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 25 above, instead of 2-(4-*tert*-butylphenyl)-5-(4-dimethylaminophenyl)oxazole-4-carboxylic acid and *N*-cyclopropylmethyl-*N*-propylamine instead of 2-(4-methoxyphenyl)-ethylamine.

HPLC R_t = 1.51 min;

Mass Spectrum (ES⁺, m/z): 474.78 (M+H)⁺

Example 311

5-(4-Acetylamino-phenyl)-2-(4-*tert*-butylphenyl)-4-(octahydroquinolin-1-ylcarbonyl)oxazole



The title compound was prepared in analogous manner to Example 297 above, using 5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxylic acid, prepared as described in Example 25 above, instead of 2-(4-*tert*-butylphenyl)-5-(4-

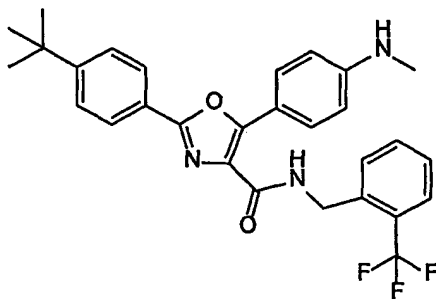
dimethylaminophenyl)oxazole-4-carboxylic acid and octahydroquinoline instead of 2-(4-methoxyphenyl)ethylamine.

HPLC Rt = 1.60 min;

Mass Spectrum (ES+, m/z): 500.67 (M+H)⁺

Example 312

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

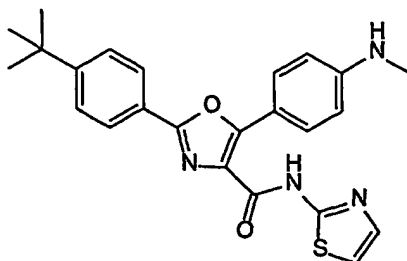


A solution of 2-(4-tert-butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid in CH₃CN:pyridine 4:1 (800 μ L, 0.125M, 100 μ mol) was added to a 2-dram reaction vial. A solution of 2-chloro-1,3-dimethylimidazolinium chloride (DMC) in CH₃CN:pyridine 4:1 (800 μ L, 0.25M, 200 μ mol) was added followed by the addition of a solution of 2-trifluoromethylbenzylamine in CH₃CN (400 μ L, 0.25M, 100 μ mol). The reaction was allowed to stand for 4 hours at room temperature. The solvent was removed in vacuo and the crude material submitted for HPLC purification.

Example 313

2-(4-tert-Butyl-phenyl)-5-(3-dimethylamino-phenyl)-oxazole-4-carboxylic acidthiazol-2-ylamide

290

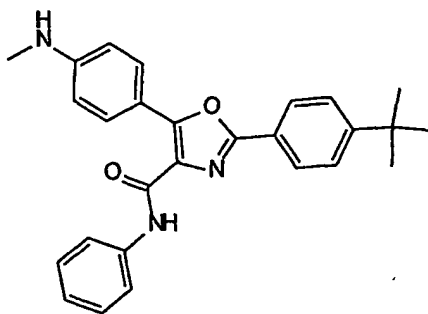


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = min; MS (ES+, m/z) (M+H)⁺

Example 314

2-(4-tert-Butyl-phenyl)-5-(3-dimethylamino-phenyl)-oxazole-4-carboxylic acid phenylamide

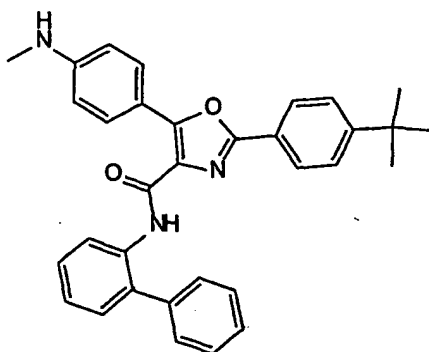


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.66 min; MS (ES+, m/z) 426.14 (M+H)⁺

Example 315

2-(4-Tert-butyl-phenyl)-5-(3-dimethylamino-phenyl)-oxazole-4-carboxylic acid biphenyl-2-ylamide

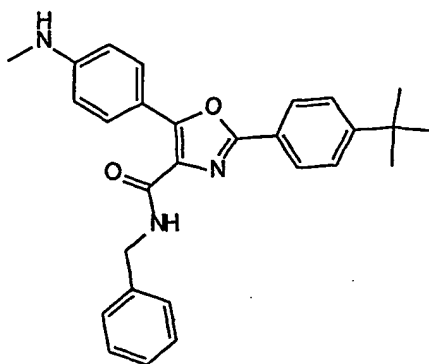


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.80 min; MS (ES⁺, m/z) 502.14 (M+H)⁺

Example 316

2-(4-tert-Butyl-phenyl)-5-(3-dimethylamino-phenyl)-oxazole-4-carboxylic acid benzylamide



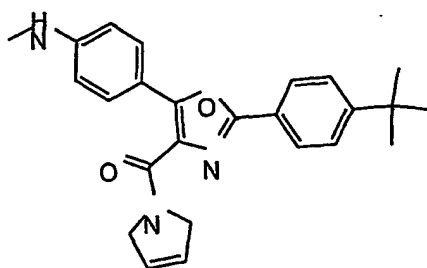
The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.60 min; MS (ES⁺, m/z) 440.15 (M+H)⁺

Example 317

[2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazol-4-yl]-(2,5-dihydro-pyrrol-1-yl)-methanone

292

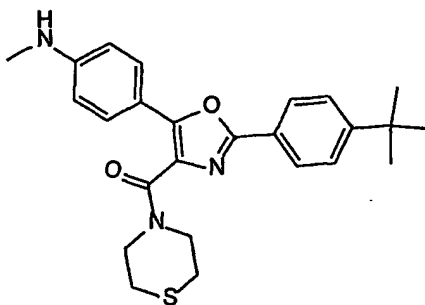


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt=1.42 min; MS (ES⁺, m/z) 402.16 (M+H)⁺

Example 318

[2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazol-4-yl]-thiomorpholin-4-yl-
methanone

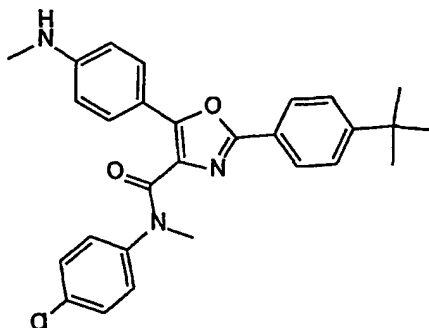


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.41 min; MS (ES+, m/z) 436.14 (M+H)⁺

Example 319

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid (4-chloro-phenyl)-methyl-amide

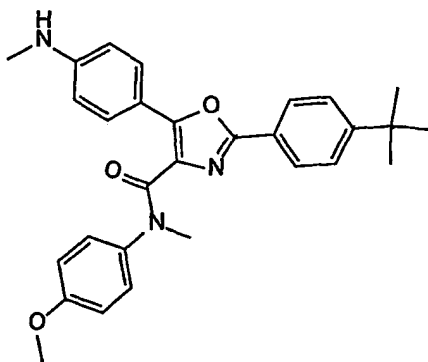


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.52 min; MS (ES+, m/z) 474.11 (M+H)⁺

Example 320

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid (4-methoxy-phenyl)-methyl-amide

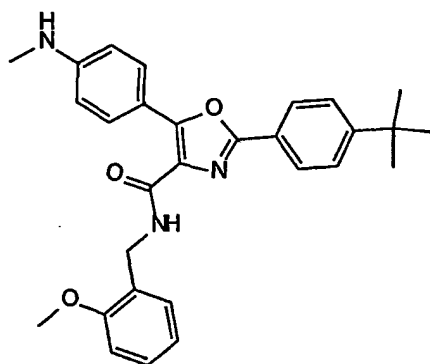


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.42 min; MS (ES⁺, m/z) 470.15 (M+H)⁺

Example 321

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid 2-methoxy-benzylamide

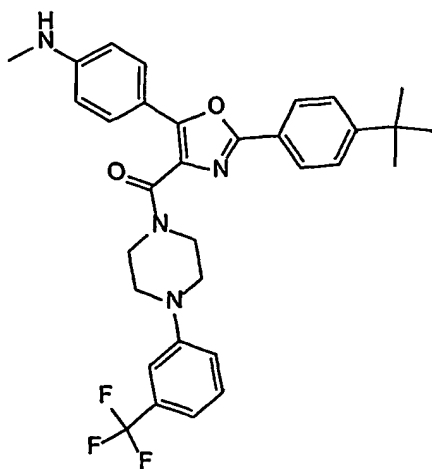


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.61 min; MS (ES⁺, m/z) 470.76 (M+H)⁺

Example 322

[2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazol-4-yl]-[4-(3-trifluoromethyl-phenyl)-piperazin-1-yl]-methanone

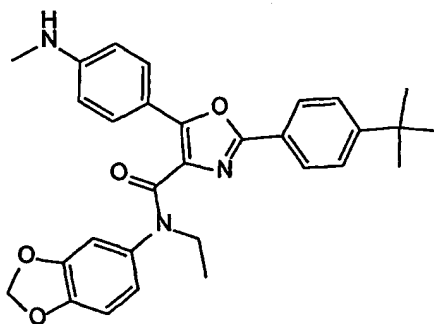


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.60 min; MS (ES+, m/z) 563.16 (M+H)⁺

Example 323

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid
benzo[1,3]dioxol-5-yl-ethyl-amide

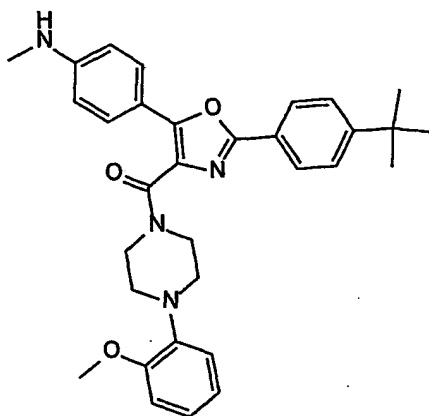


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.45 min; MS (ES+, m/z) 498.15 (M+H)⁺

Example 324

[2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazol-4-yl]-[4-(2-methoxy-phenyl)-piperazin-1-yl]-methanone

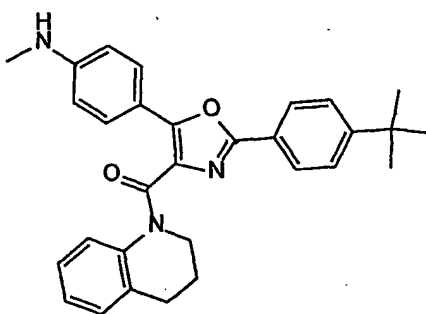


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.46 min; MS (ES⁺, m/z) 525.21 (M+H)⁺

Example 325

[2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazol-4-yl]-(3,4-dihydro-2H-quinolin-1-yl)-methanone

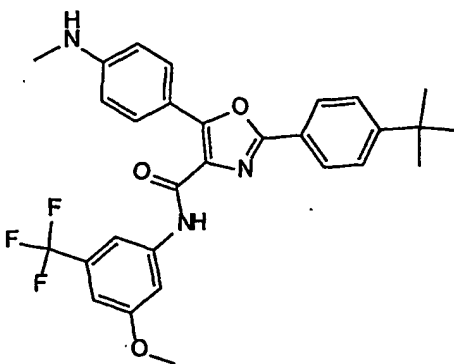


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.53 min; MS (ES⁺, m/z) 466.20 (M+H)⁺

Example 326

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid (3-methoxy-5-trifluoromethyl-phenyl)-amide

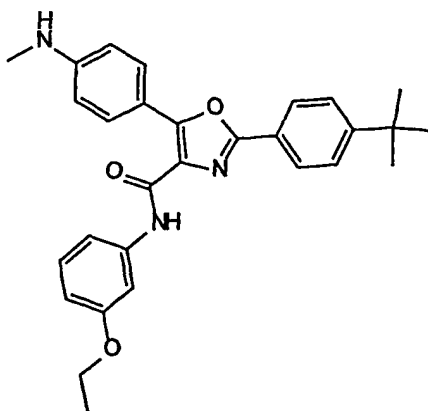


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.79 min; MS (ES⁺, m/z) 523.13 (M+H)⁺

Example 327

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid (3-ethoxy-phenyl)-amide



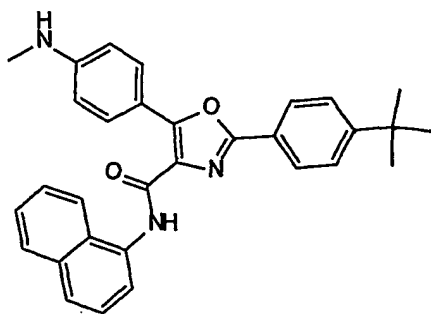
The titled compound was prepared in analogous manner to Example 312.

298

HPLC Rt = 1.71 min; MS (ES+, m/z) 470.15 (M+H)⁺

Example 328

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid naphthalen-1-ylamide

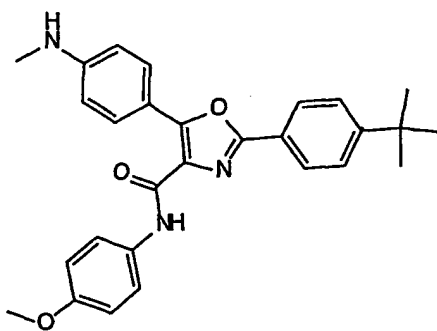


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.74 min; MS (ES+, m/z) 476.17 (M+H)⁺

Example 329

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid (4-methoxy-phenyl)-amide

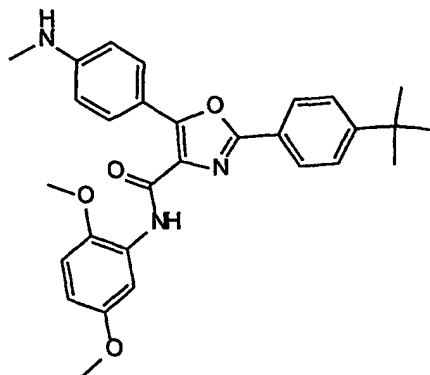


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.62 min; MS (ES⁺, m/z) 456.14 (M+H)⁺

Example 330

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

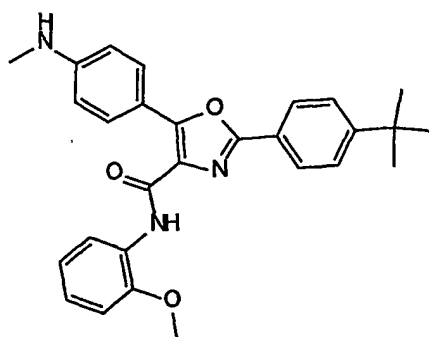


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.71 min; MS (ES⁺, m/z) 486.14 (M+H)⁺

Example 331

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid (2-methoxy-phenyl)-amide

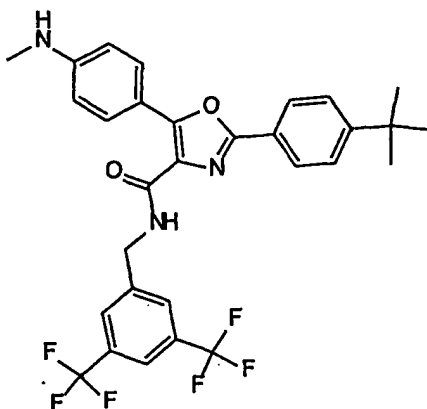


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.72 min; MS (ES+, m/z) 456.15 (M+H)⁺

Example 332

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid 3,5-bis-trifluoromethyl-benzylamide



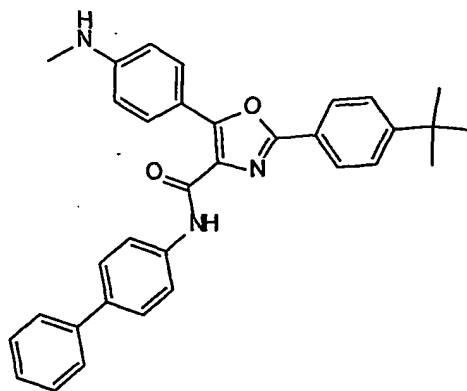
The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.73 min; MS (ES+, m/z) 576.11 (M+H)⁺

Example 333

2-(4-tert-Butyl-phenyl)-5-(3-dimethylamino-phenyl)-oxazole-4-carboxylic acid biphenyl-4-ylamide

301

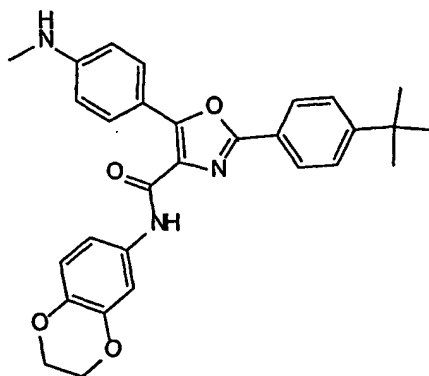


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.79 min; MS (ES⁺, m/z) 502.18 (M+H)⁺

Example 334

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid (2,3-dihydro-benzof[1,4]dioxin-6-yl)-amide

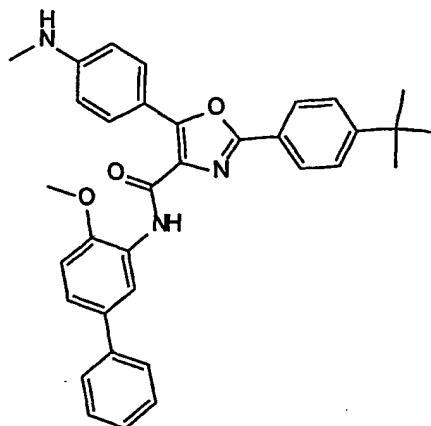


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.60 min; MS (ES⁺, m/z) 484.14 (M+H)⁺

Example 335

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid (4-methoxy-biphenyl-3-yl)-amide

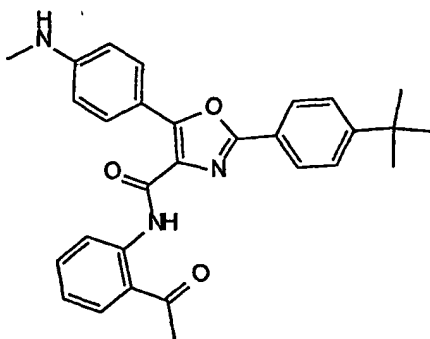


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.85 min; MS (ES+, m/z) 532.19 (M+H)⁺

Example 336

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid (2-acetyl-phenyl)-amide

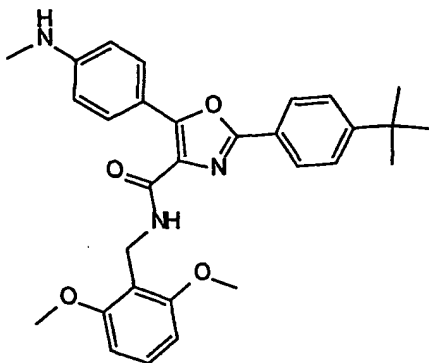


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.72 min; MS (ES+, m/z) 468.16 (M+H)⁺

Example 337

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid 2,6-dimethoxy-
benzylamide

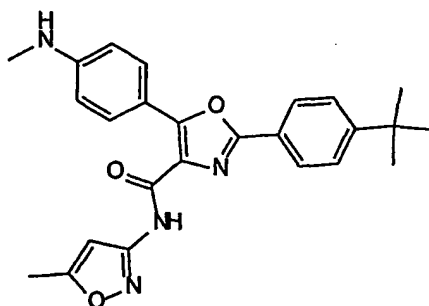


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.62 min; MS (ES+, m/z) 500.18 (M+H)⁺

Example 338

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid (5-methyl-
isoxazol-3-yl)-amide

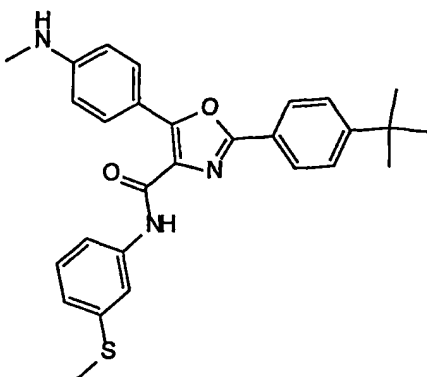


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.60 min; MS (ES+, m/z) 431.13 (M+H)⁺

Example 339

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid (3-methylsulfanyl-phenyl)-amide

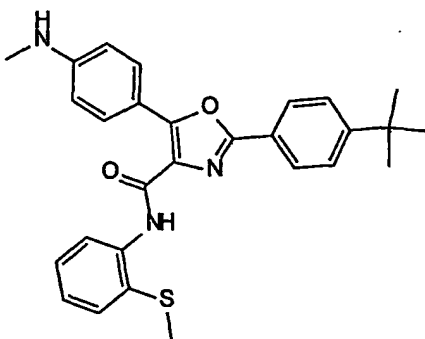


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.73 min; MS (ES+, m/z) 472.12 (M+H)⁺

Example 340

2-(4-tert-Butyl-phenyl)-5-(4-methylamino-phenyl)-oxazole-4-carboxylic acid (2-methylsulfanyl-phenyl)-amide

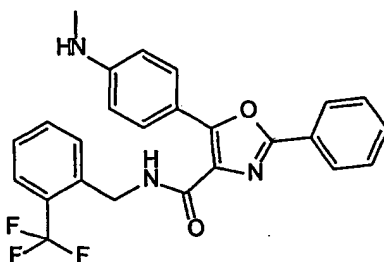


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = 1.77 min; MS (ES⁺, m/z) 472.12 (M+H)⁺

Example 341

5-(4-Methylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

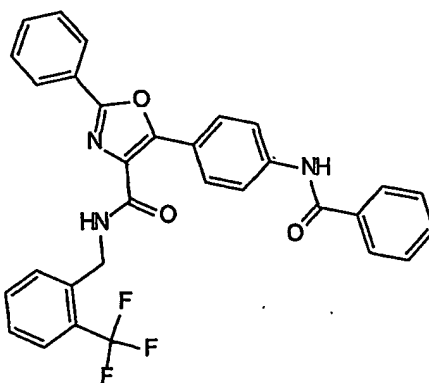


The titled compound was prepared in analogous manner to Example 312.

HPLC Rt = min; MS (ES⁺, m/z) (M+H)⁺

Example 342

5-(4-Benzoylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

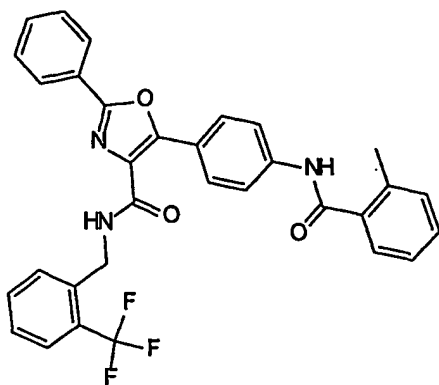


A solution of 5-(4-amino-phenyl)-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide in CHCl_3 :pyridine 1:1 (1 mL, 0.2M, 200 μmol) was added to a 2-dram reaction vial. A solution of benzoyl chloride in CHCl_3 (1 mL, 0.5M, 500 μmol). Solvent was removed and diluted with DMSO (800 μl) and submitted for purification.

HPLC R_t = 1.47 min; MS (ES+, m/z) 542.08 ($M+H$)⁺

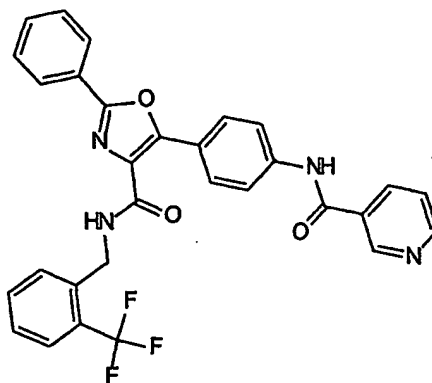
Example 343

5-[4-(2-Methyl-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide



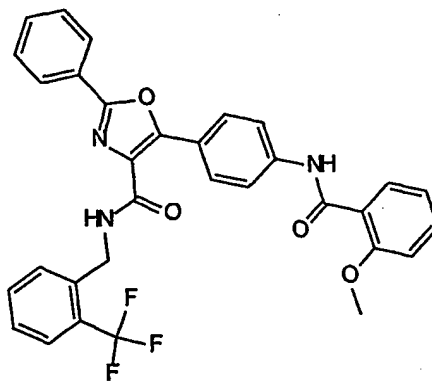
The titled compound was prepared in analogous manner to Example 342.

HPLC R_t = 1.50 min; MS (ES+, m/z) 556.10 ($M+H$)⁺

Example 344N-{4-[2-phenyl-4-(2-trifluoromethyl-benzylcarbamoyl)-oxazol-5-yl]-phenyl}-nicotinamide

The titled compound was prepared in analogous manner to Example 342.

HPLC R_t = 1.38 min; MS (ES⁺, m/z) 543.09 (M+H)⁺

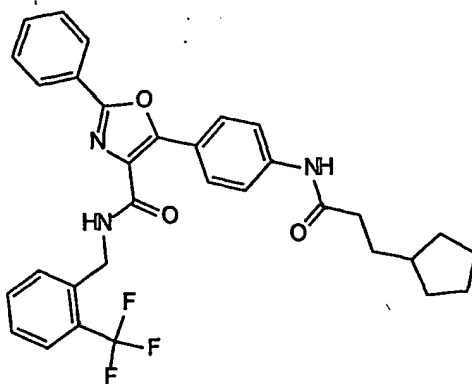
Example 3455-[4-(2-Methoxy-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

The titled compound was prepared in analogous manner to Example 342.

HPLC R_t = 1.56 min; MS (ES⁺, m/z) 572.09 (M+H)⁺

Example 346

5-[4-(3-Cyclopentyl-propionylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

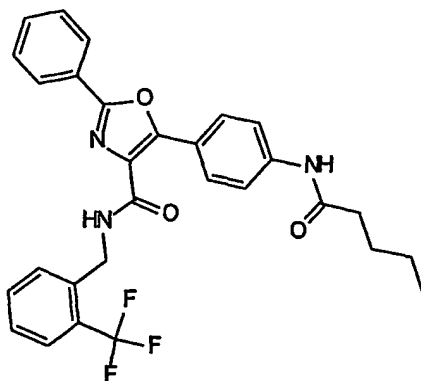


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.62 min; MS (ES⁺, m/z) 562.15 (M+H)⁺

Example 347

5-(4-Pentanoylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

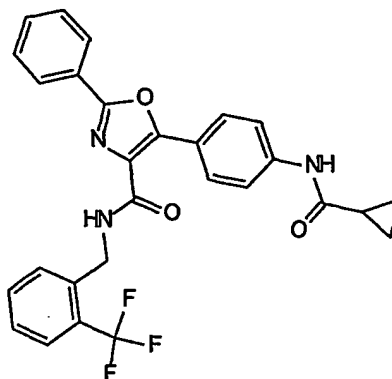


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.47 min; MS (ES+, m/z) 522.14 (M+H)⁺

Example 348

5-[4-(Cyclopropanecarbonyl-amino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

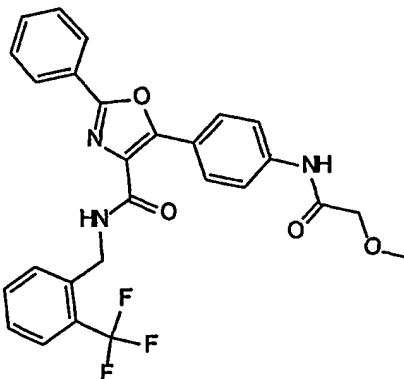


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.38 min; MS (ES+, m/z) 506.11 (M+H)⁺

Example 349

5-[4-(2-Phenoxy-acetylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

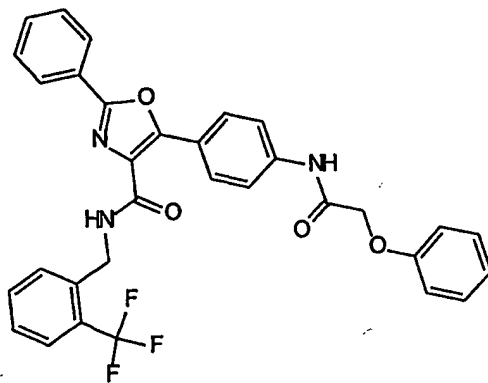


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.34 min; MS (ES+, m/z) 510.11 (M+H)⁺

Example 350

5-[4-(2-Phenoxy-acetylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

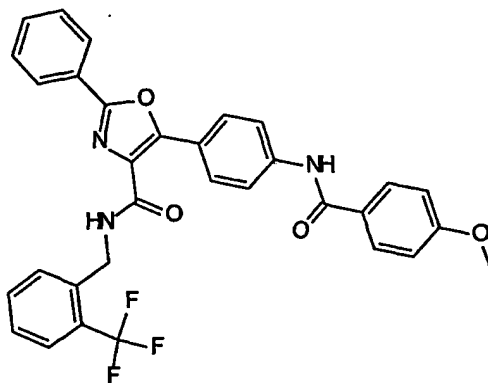


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.50 min; MS (ES+, m/z) 572.10 (M+H)⁺

Example 351

5-[4-(4-Methoxy-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide



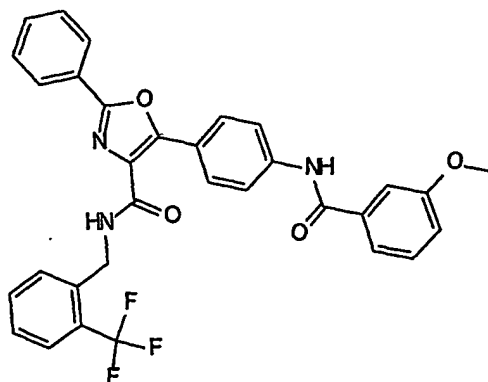
The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.48 min; MS (ES+, m/z) 572.09 (M+H)⁺

Example 352

5-[4-(3-Methoxy-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

312

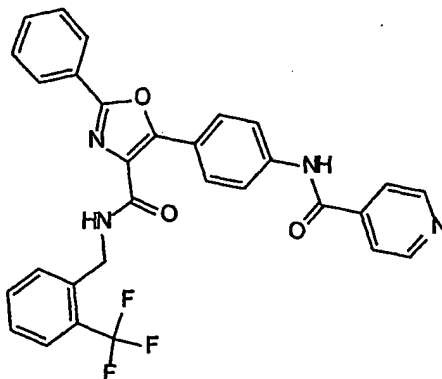


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.49 min; MS (ES+, m/z) 572.08 (M+H)⁺

Example 353

N-{4-[2-Phenyl-4-(2-trifluoromethyl-benzylcarbamoyl)-oxazol-5-yl]-phenyl}-isonicotinamide

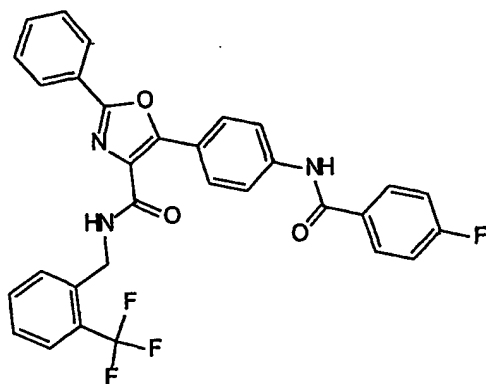


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.38 min; MS (ES+, m/z) 543.09 (M+H)⁺

Example 354

5-[4-(4-Fluoro-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

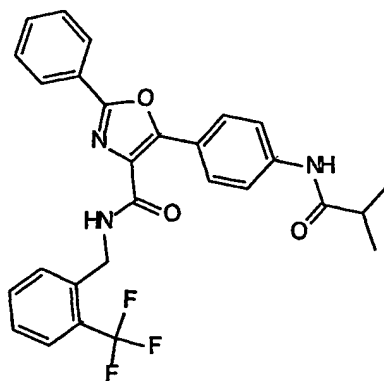


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.50 min; MS (ES⁺, m/z) 560.07 (M+H)⁺

Example 355

5-(4-Isobutyrylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

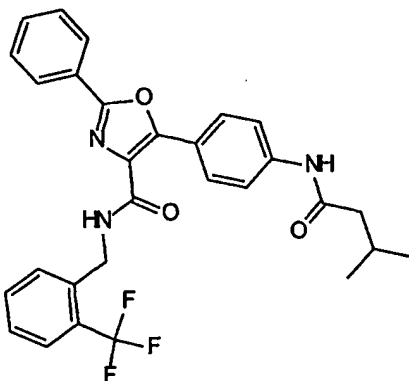


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.40 min; MS (ES⁺, m/z) 508.13 (M+H)⁺

Example 356

5-[4-(3-Methyl-butyrylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide



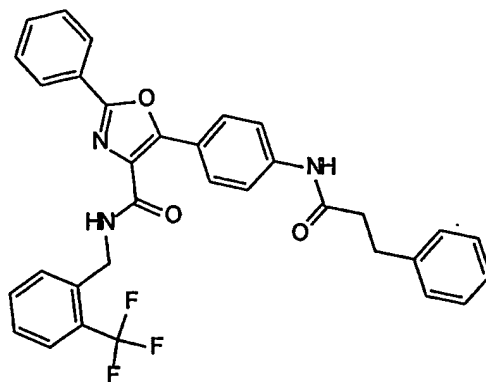
The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.47 min; MS (ES⁺, m/z) 522.13 (M+H)⁺

Example 357

2-Phenyl-5-[4-(3-phenyl-propionylamino)-phenyl]-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

315

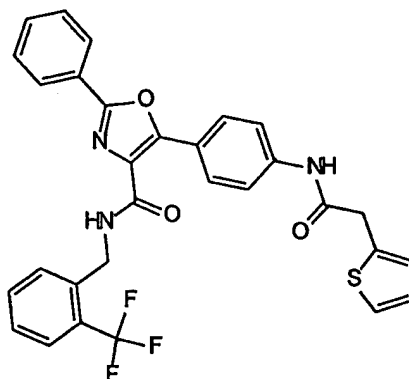


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.51 min; MS (ES+, m/z) 570.08 (M+H)⁺

Example 358

2-Phenyl-5-[4-(2-thiophen-2-yl-acetylamino)-phenyl]-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

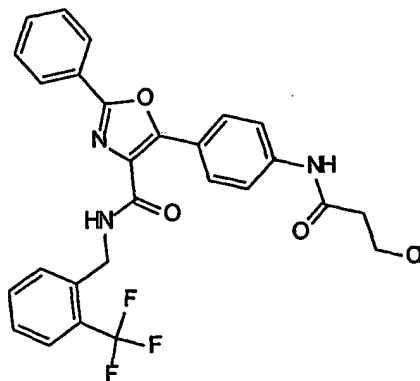


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.49 min; MS (ES+, m/z) 572.08 (M+H)⁺

Example 359

5-[4-(3-Chloro-propionylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide



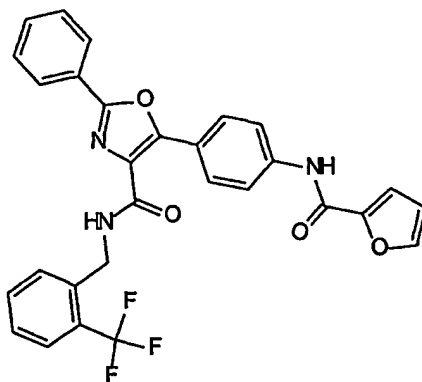
The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.38 min; MS (ES⁺, m/z) 528.06 (M+H)⁺

Example 360

5-{4-[(Furan-2-carbonyl)-amino]-phenyl}-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

317

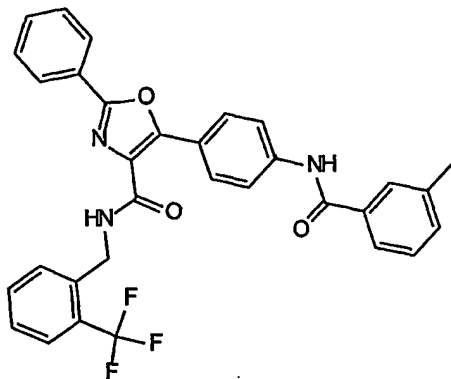


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.39 min; MS (ES⁺, m/z) 532.06 (M+H)⁺

Example 361

5-[4-(3-Methyl-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

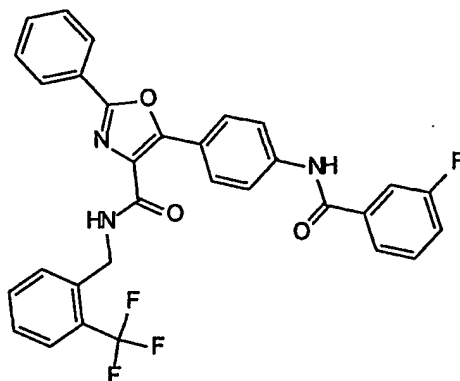


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.55 min; MS (ES⁺, m/z) 556.09 (M+H)⁺

Example 362

5-[4-(3-Fluoro-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

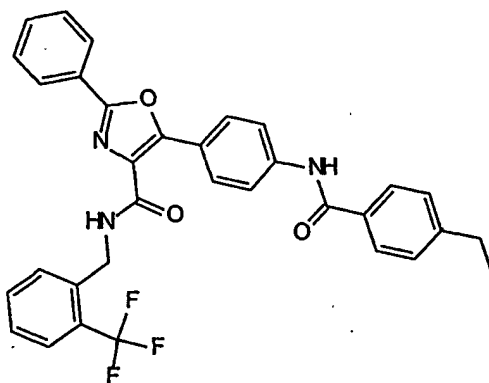


The titled compound was prepared in analogous manner to Example 342.

HPLC R_t = 1.51 min; MS (ES⁺, m/z) 560.07 (M+H)⁺

Example 363

5-[4-(4-Ethyl-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

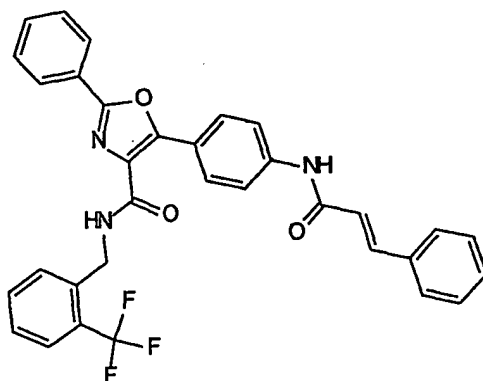


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.58 min; MS (ES⁺, m/z) 570.10 (M+H)⁺

Example 364

2-Phenyl-5-[4-(3-phenyl-acryloylamino)-phenyl]-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

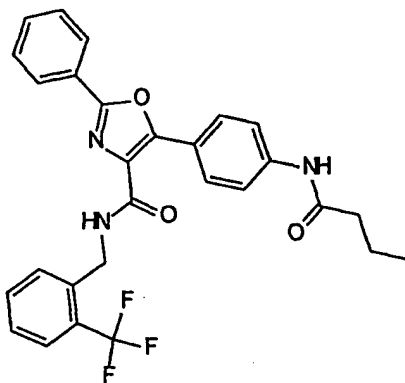


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.54 min; MS (ES⁺, m/z) 568.07 (M+H)⁺

Example 365

5-(4-Butyrylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

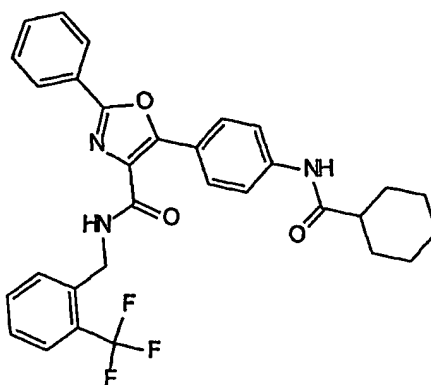


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.41 min; MS (ES+, m/z) 508.13 (M+H)⁺

Example 366

5-[4-(Cyclohexanecarbonyl-amino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

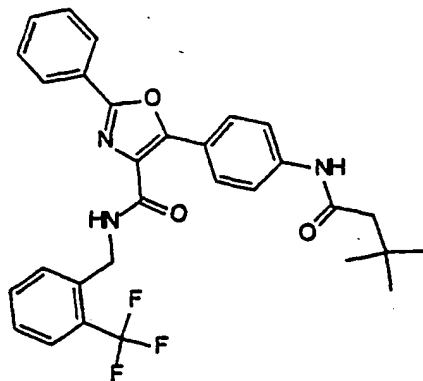


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.54 min; MS (ES+, m/z) 548.14 (M+H)⁺

Example 367

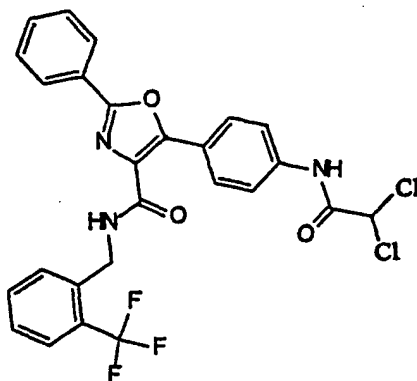
5-[4-(3,3-Dimethyl-butyrylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide



The titled compound was prepared in analogous manner to Example 342.
HPLC Rt = 1.53 min; MS (ES+, m/z) 536.15 (M+H)⁺

Example 368

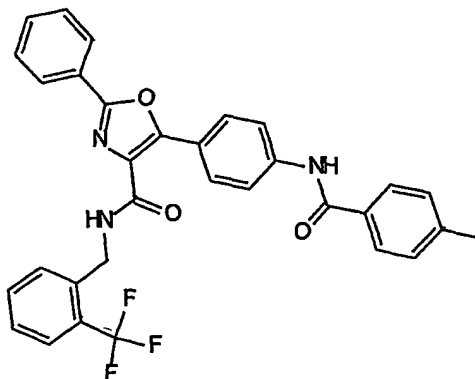
5-[4-(2,2-Dichloro-acetylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide



The titled compound was prepared in analogous manner to Example 342.
HPLC Rt = 1.44 min; MS (ES+, m/z) 549.98 (M+H)⁺

Example 369

5-[4-(4-Methyl-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

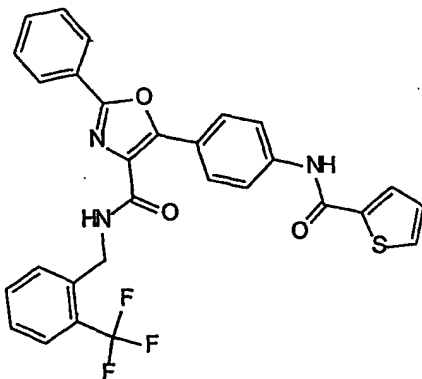


The titled compound was prepared in analogous manner to Example 342.

HPLC $R_t = 1.53$ min; MS (ES⁺, m/z) 556.11 ($M+H$)⁺

Example 370

2-Phenyl-5-{4-[(thiophene-2-carbonyl)-amino]-phenyl}-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

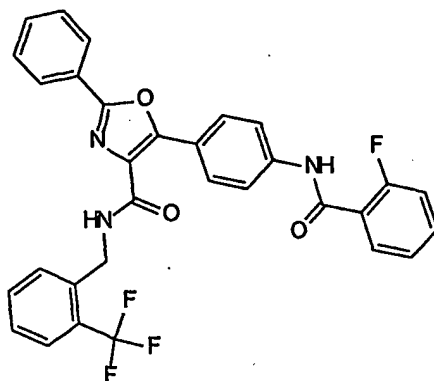


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.47 min; MS (ES+, m/z) 548.04 (M+H)⁺

Example 371

5-[4-(2-Fluoro-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide



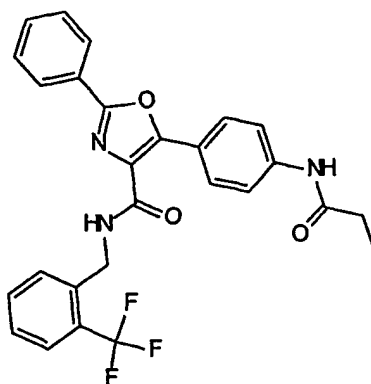
The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.48 min; MS (ES+, m/z) 560.06 (M+H)⁺

Example 372

2-Phenyl-5-(4-propionylamino-phenyl)-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

324

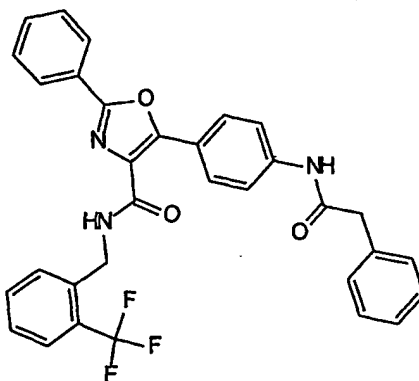


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.36 min; MS (ES⁺, m/z) 494.11 (M+H)⁺

Example 373

2-Phenyl-5-(4-phenylacetamino-phenyl)-oxazole-4-carboxylic acid 2-trifluoromethyl-
benzylamide



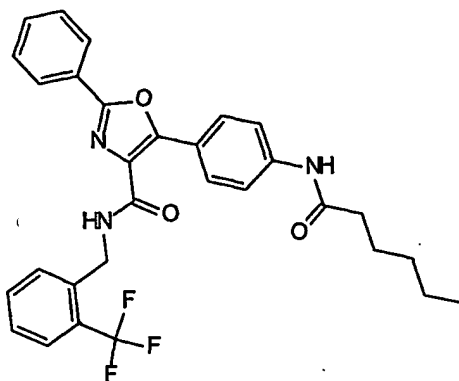
The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.47 min; MS (ES⁺, m/z) 556.10 (M+H)⁺

325

Example 374

5-(4-Hexanoylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

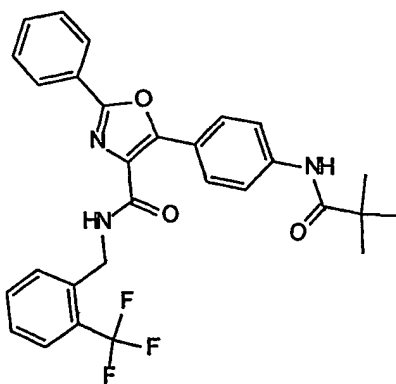


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.53 min; MS (ES⁺, m/z) 536.15 (M+H)⁺

Example 375

5-[4-(2,2-Dimethyl-propionylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

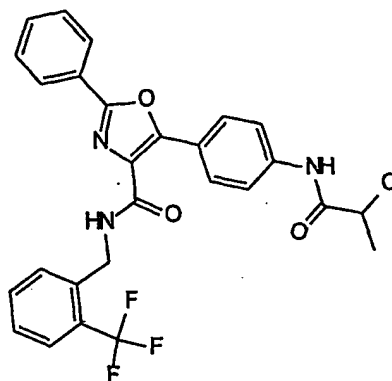


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.50 min; MS (ES⁺, m/z) 522.14 (M+H)⁺

Example 376

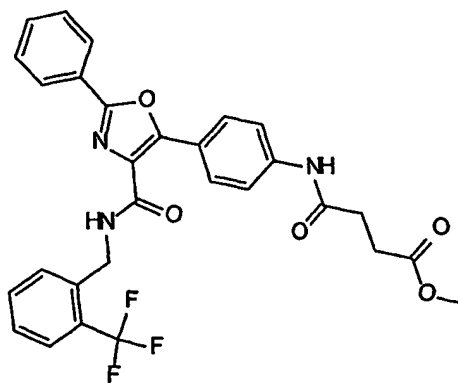
5-[4-(2-Chloro-propionylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide



The titled compound was prepared in analogous manner to Example 342.
HPLC Rt = 1.43 min; MS (ES⁺, m/z) 528.05 (M+H)⁺

Example 377

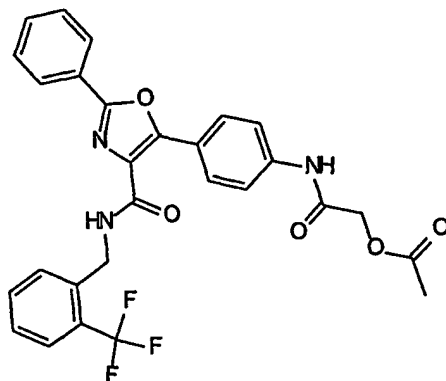
N-{4-[2-Phenyl-4-(2-trifluoromethyl-benzylcarbamoyl)-oxazol-5-yl]-phenyl}-succinamic acid methyl ester



The titled compound was prepared in analogous manner to Example 342.
HPLC Rt = 1.32 min; MS (ES⁺, m/z) 552.07 (M+H)⁺

Example 378

Acetic acid {4-[2-phenyl-4-(2-trifluoromethyl-benzylcarbamoyl)-oxazol-5-yl]-phenylcarbamoyl}-methyl ester

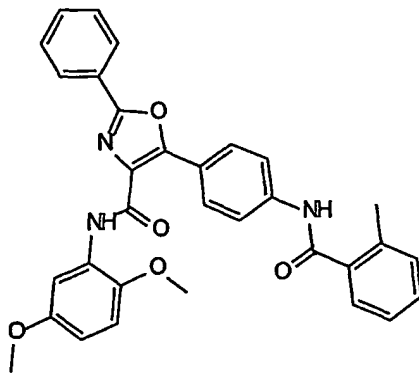


The titled compound was prepared in analogous manner to Example 342.

HPLC Rt = 1.30 min; MS (ES⁺, m/z) 538.08 (M+H)⁺

Example 379

5-[4-(2-Methyl-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

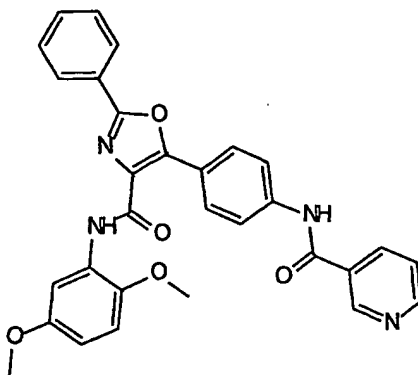


A solution of 5-(4-amino-phenyl)-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide in CHCl₃:pyridine 1:1 (1 mL, 0.2M, 200 μmol) was added to a 2-dram

reaction vial. A solution of benzoyl chloride in CHCl_3 (1 mL, 0.5M, 500 μmol). Solvent was removed and diluted with DMSO (800 μl) and submitted for purification. HPLC R_t = 1.53 min; MS (ES^+ , m/z) 534.14 ($\text{M}+\text{H}^+$)

Example 380

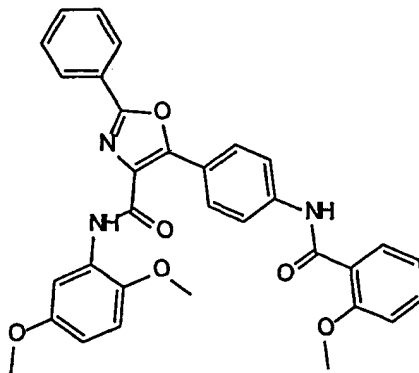
N-{4-[4-(2,5-dimethoxy-phenylcarbamoyl)-2-phenyl-oxazol-5-yl]-phenyl}-nicotinamide



The titled compound was prepared in analogous manner to Example 379.
HPLC R_t = 1.43 min; MS (ES^+ , m/z) 521.12 ($\text{M}+\text{H}^+$)

Example 381

5-[4-(2-Methoxy-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide



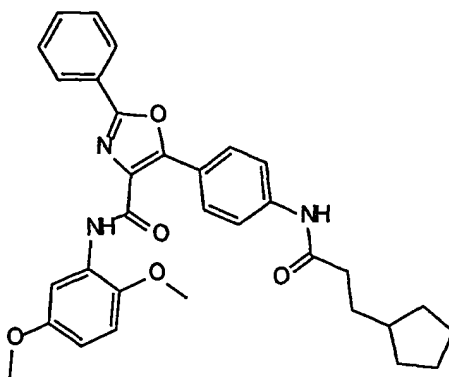
329

The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.57 min; MS (ES+, m/z) 550.11 (M+H)⁺

Example 382

5-[4-(3-Cyclopentyl-propionylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

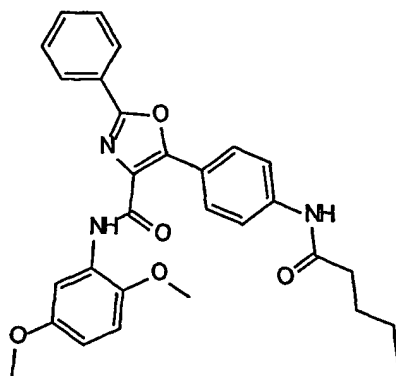


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.63 min; MS (ES+, m/z) 540.16 (M+H)⁺

Example 383

5-(4-Pentanoylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

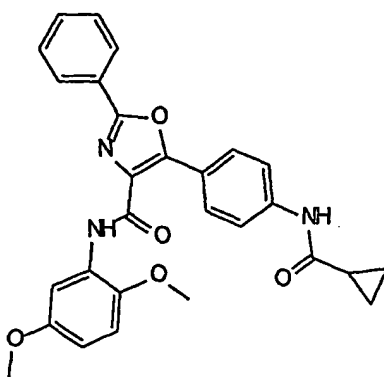


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.50 min; MS (ES+, m/z) 500.16 (M+H)⁺

Example 384

5-[4-(Cyclopropanecarbonyl-amino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

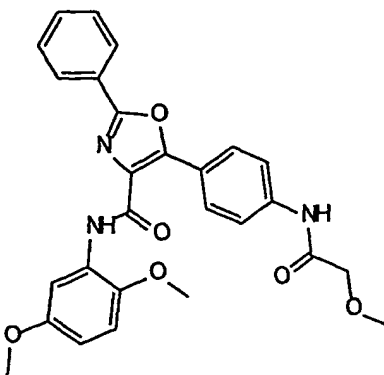


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.40 min; MS (ES+, m/z) 484.13 (M+H)⁺

Example 385

5-[4-(2-Methoxy-acetyl-amino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

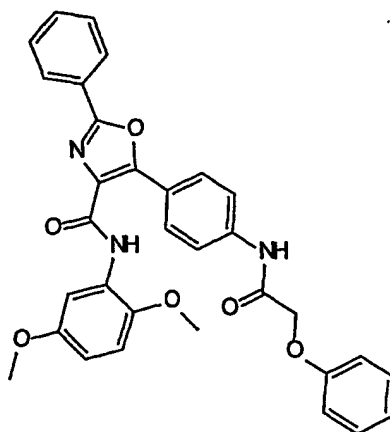


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.37 min; MS (ES⁺, m/z) 488.11 (M+H)⁺

Example 386

5-[4-(2-Phenoxy-acetyl-amino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

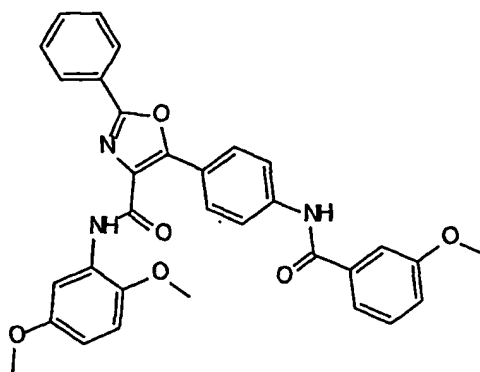


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.52 min; MS (ES⁺, m/z) 550.10 (M+H)⁺

Example 387

5-[4-(3-Methoxy-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

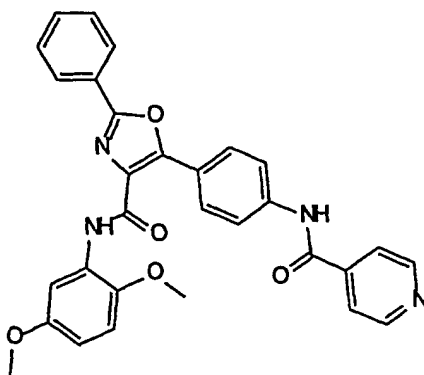


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.51 min; MS (ES+, m/z) 550.11 (M+H)⁺

Example 388

N-{4-[4-(2,5-Dimethoxy-phenylcarbamoyl)-2-phenyl-oxazol-5-yl]-phenyl}-isonicotinamide

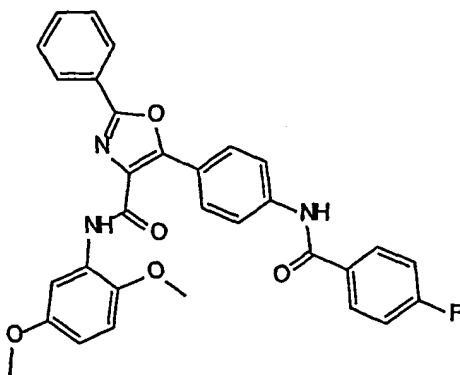


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.40 min; MS (ES+, m/z) 521.12 (M+H)⁺

Example 389

5-[4-(4-Fluoro-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

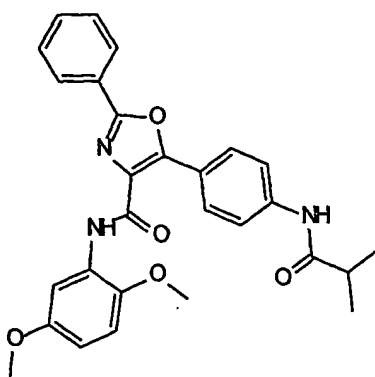


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.52 min; MS (ES+, m/z) 538.11 (M+H)⁺

Example 390

5-(4-Isobutyrylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide



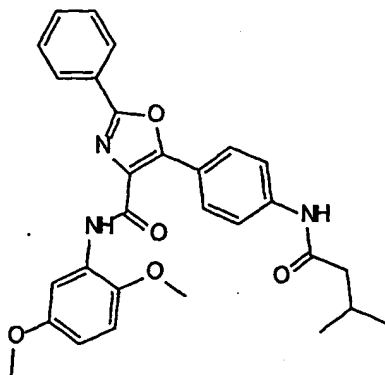
The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.43 min; MS (ES+, m/z) 486.15 (M+H)⁺

Example 391

5-[4-(3-Methyl-butyrylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

334

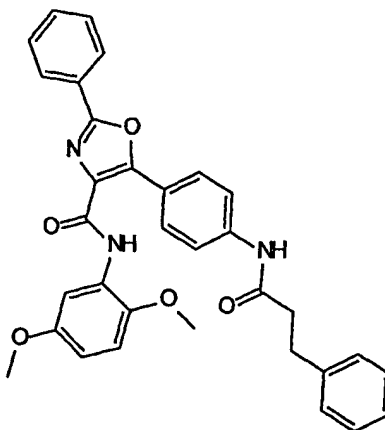


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.48 min; MS (ES+, m/z) 500.16 (M+H)⁺

Example 392

2-phenyl-5-[4-(3-phenyl-propionylamino)-phenyl]-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

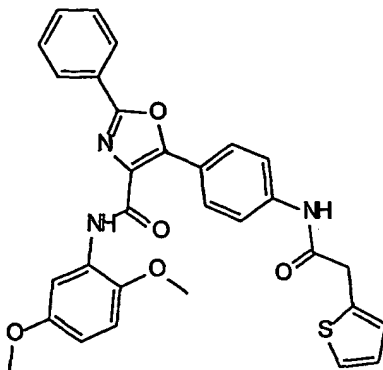


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.52 min; MS (ES+, m/z) 548.14 (M+H)⁺

Example 393

2-Phenyl-5-[4-(2-thiophen-2-yl-acetyl-amino)-phenyl]-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

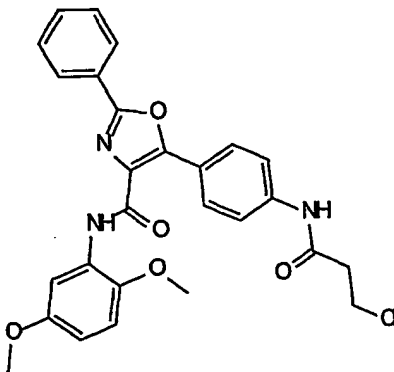


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.47 min; MS (ES+, m/z) 540.09 (M+H)⁺

Example 394

5-[4-(3-Chloro-propionyl-amino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

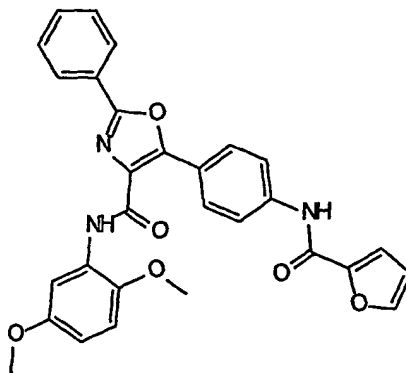


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.39 min; MS (ES+, m/z) 506.10 (M+H)⁺

Example 395

5-{4-[(Furan-2-carbonyl)-amino]-phenyl}-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

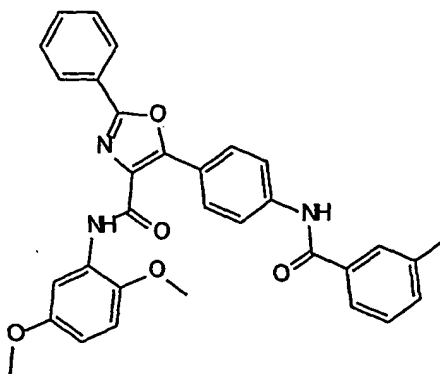


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.42 min; MS (ES+, m/z) 510.11 (M+H)⁺

Example 396

5-[4-(3-Methyl-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

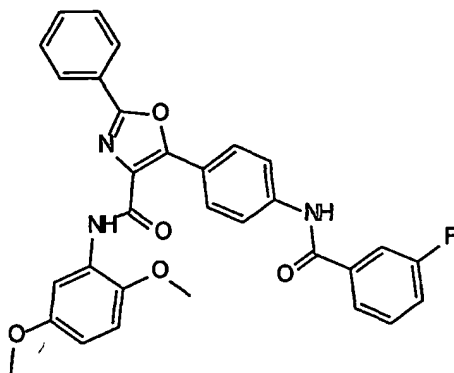


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.58 min; MS (ES+, m/z) 534.14 (M+H)⁺

Example 397

5-[4-(3-Fluoro-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

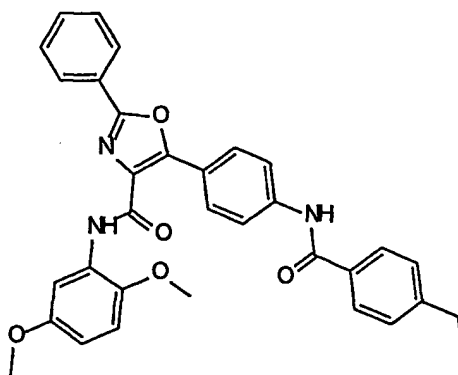


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.53 min; MS (ES⁺, m/z) 538.11 (M+H)⁺

Example 398

5-[4-(4-Ethyl-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide



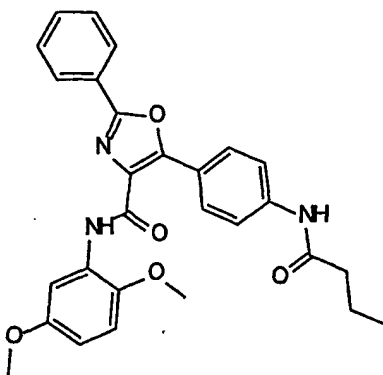
The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.61 min; MS (ES⁺, m/z) 548.13 (M+H)⁺

338

Example 399

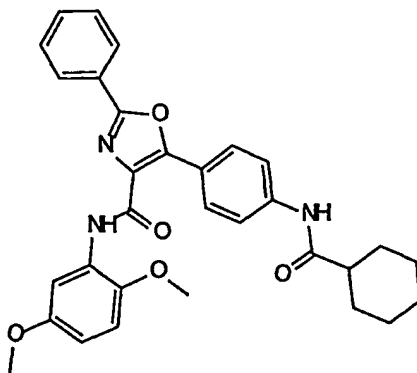
5-(4-Butyrylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide



The titled compound was prepared in analogous manner to Example 379.
HPLC Rt = 1.42 min; MS (ES+, m/z) 486.14 (M+H)⁺

Example 400

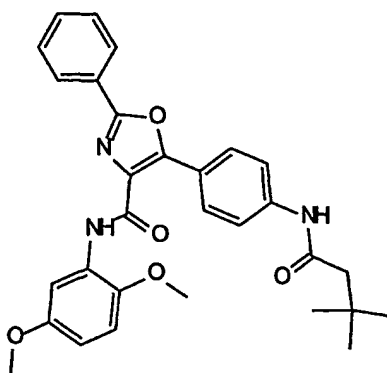
5-[4-(Cyclohexanecarbonyl-amino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide



The titled compound was prepared in analogous manner to Example 379.
HPLC Rt = 1.58 min; MS (ES+, m/z) 526.17 (M+H)⁺

Example 401

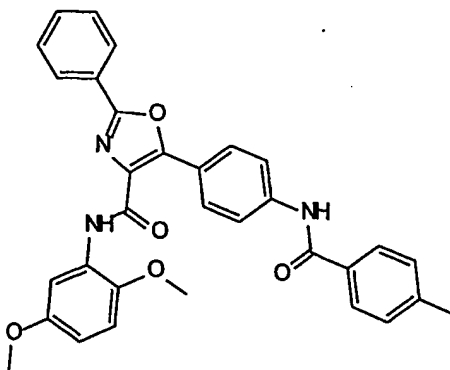
5-[4-(3,3-Dimethyl-butyrylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide



The titled compound was prepared in analogous manner to Example 379.
HPLC Rt = 1.55 min; MS (ES+, m/z) 514.17 (M+H)⁺

Example 402

5-[4-(4-Methyl-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

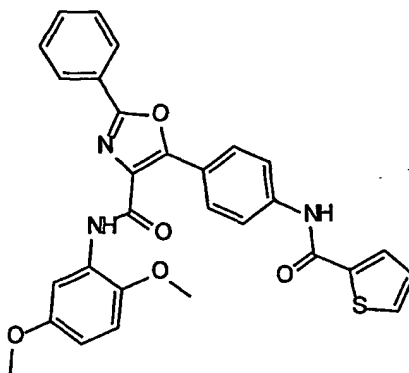


The titled compound was prepared in analogous manner to Example 379.
HPLC Rt = 1.56 min; MS (ES+, m/z) 534.15 (M+H)⁺

340

Example 403

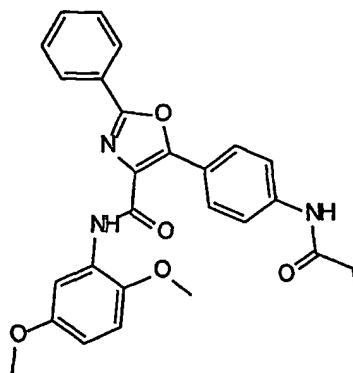
2-Phenyl-5-{4-[(thiophene-2-carbonyl)-amino]-phenyl}-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide



The titled compound was prepared in analogous manner to Example 379.
HPLC Rt = 1.48 min; MS (ES⁺, m/z) 526.07 (M+H)⁺

Example 404

2-Phenyl-5-(4-propionylamino-phenyl)-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide



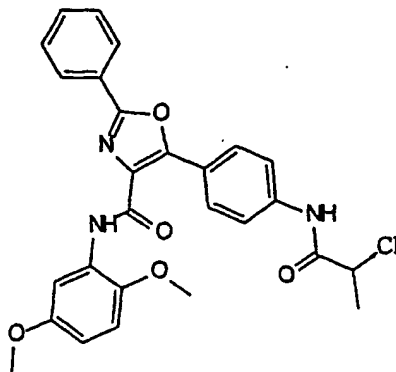
The titled compound was prepared in analogous manner to Example 379.

341

HPLC Rt = 1.39 min; MS (ES⁺, m/z) 472.14 (M+H)⁺

Example 405

5-[4-(2-Chloro-propionylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide

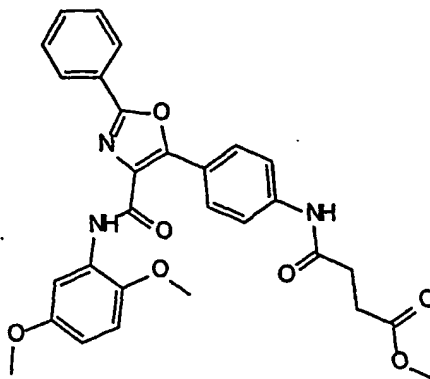


The titled compound was prepared in analogous manner to Example 379.

HPLC Rt = 1.44 min; MS (ES⁺, m/z) 506.09 (M+H)⁺

Example 406

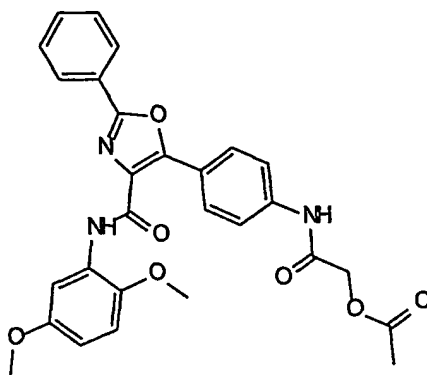
N-{4-[4-(2,5-dimethoxy-phenylcarbamoyl)-2-phenyl-oxazol-5-yl]-phenyl}-succinamic acid methyl ester



The titled compound was prepared in analogous manner to Example 379.
HPLC Rt = 1.34 min; MS (ES⁺, m/z) 530.12 (M+H)⁺

Example 407

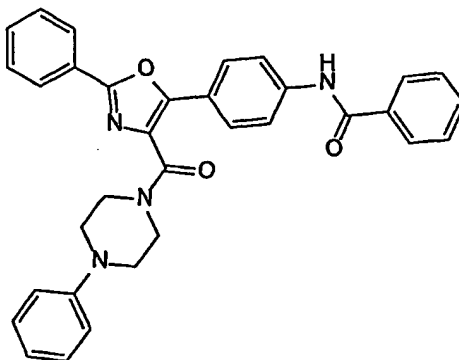
Acetic acid {4-[4-(2,5-dimethoxy-phenylcarbamoyl)-2-phenyl-oxazol-5-yl]-phenylcarbamoyl}-methyl ester



The titled compound was prepared in analogous manner to Example 379.
HPLC Rt = 1.35 min; MS (ES⁺, m/z) 516.12 (M+H)⁺

Example 408

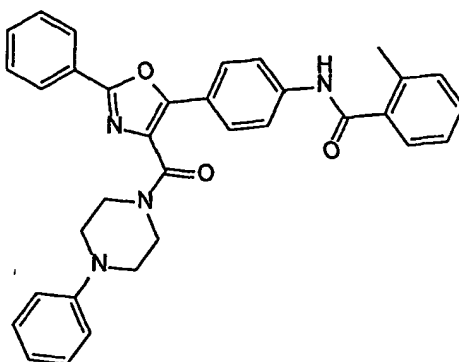
N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-benzamide



A solution of [5-(4-amino-phenyl)-2-phenyl-oxazol-4-yl]-(4-phenyl-piperazin-1-yl)-methanone in CHCl_3 :pyridine 1:1 (1 mL, 0.2M, 200 μmol) was added to a 2-dram reaction vial. A solution of benzoyl chloride in CHCl_3 (1 mL, 0.5M, 500 μmol). Solvent was removed and diluted with DMSO (800 μl) and submitted for purification. HPLC $R_t = 1.31$ min; MS (ES^+ , m/z) 529.16 ($\text{M}+\text{H}^+$)

Example 409

2-Methyl-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-benzamide

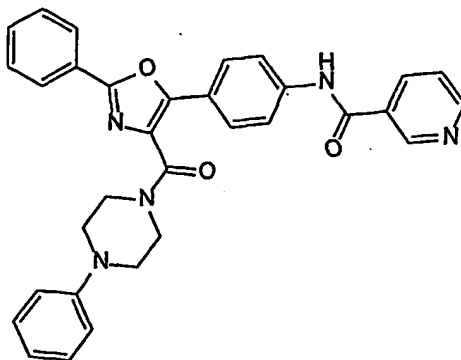


The titled compound was prepared in analogous manner to Example 408.

HPLC $R_t = 1.35$ min; MS (ES^+ , m/z) 543.17 ($\text{M}+\text{H}^+$)

Example 410

N-{4-[2-Phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-nicotinamide

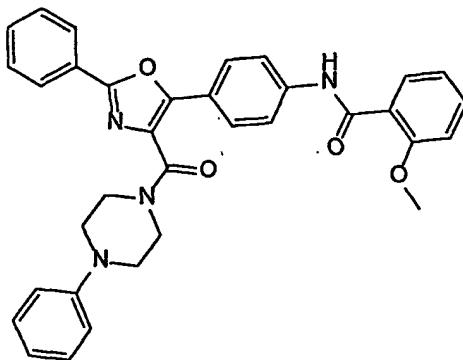


The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.19 min; MS (ES⁺, m/z) 530.13 (M+H)⁺

Example 411

2-Methoxy-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-benzamide

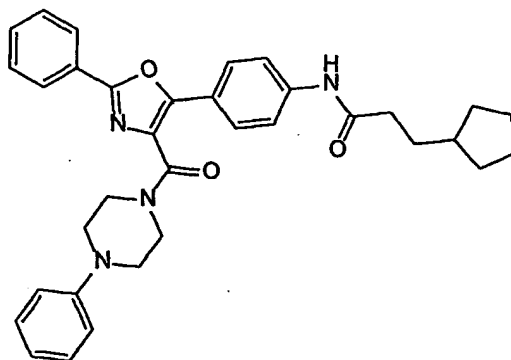


The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.39 min; MS (ES⁺, m/z) 559.15 (M+H)⁺

Example 412

3-Cyclopentyl-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-propionamide

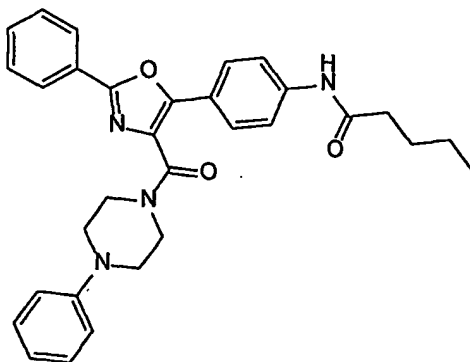


The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.44 min; MS (ES+, m/z) 549.20 (M+H)⁺

Example 413

Pentanoic acid {4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-amide



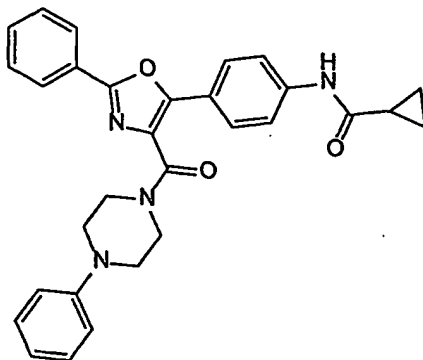
The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.28 min; MS (ES+, m/z) 509.19 (M+H)⁺

Example 414

Cyclopropanecarboxylic acid {4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-amide

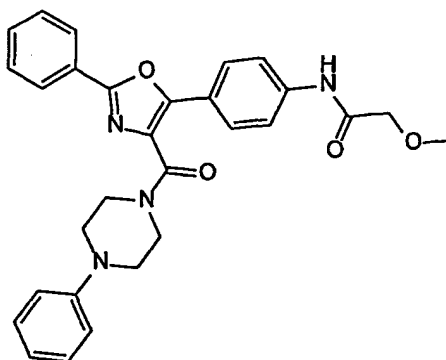
346



The titled compound was prepared in analogous manner to Example 408.
HPLC Rt = 1.18 min; MS (ES⁺, m/z) 493.17 (M+H)⁺

Example 415

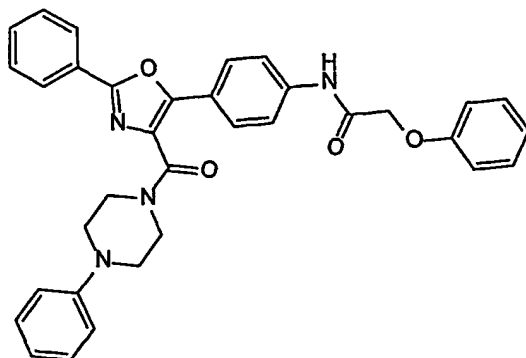
2-Methoxy-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-
acetamide



The titled compound was prepared in analogous manner to Example 408.
HPLC Rt = 1.13 min; MS (ES⁺, m/z) 497.18 (M+H)⁺

Example 416

2-Phenoxy-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-
acetamide

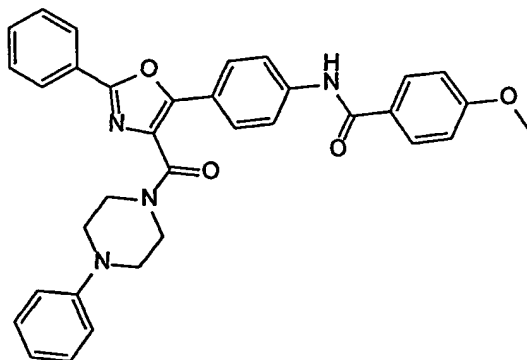


The titled compound was prepared in analogous manner to Example 408.
HPLC Rt = 1.34 min; MS (ES+, m/z) 559.15 (M+H)⁺

Example 417

4-Methoxy-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-
benzamide

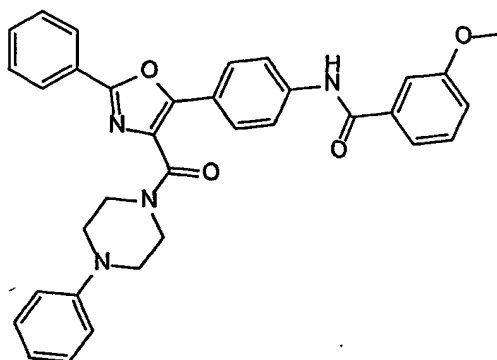
348



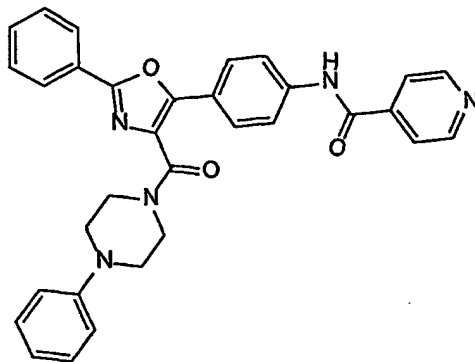
The titled compound was prepared in analogous manner to Example 408.
HPLC Rt = 1.31 min; MS (ES⁺, m/z) 559.15 (M+H)⁺

Example 418

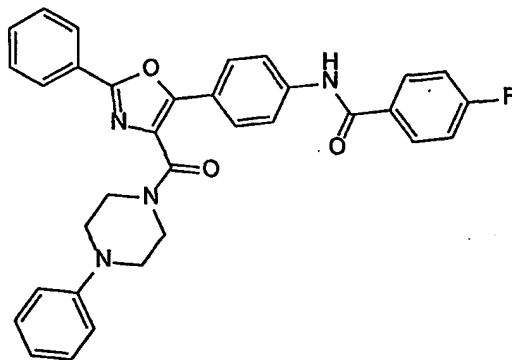
3-Methoxy-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-
benzamide



The titled compound was prepared in analogous manner to Example 408.
HPLC Rt = 1.30 min; MS (ES⁺, m/z) 559.14 (M+H)⁺

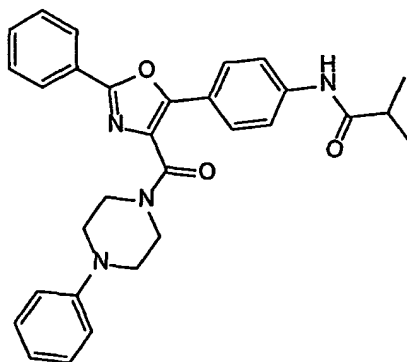
Example 419N-{4-[2-Phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-isonicotinamide

The titled compound was prepared in analogous manner to Example 408.
HPLC Rt = 1.12 min; MS (ES⁺, m/z) 530.14 (M+H)⁺

Example 4204-Fluoro-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-benzamide

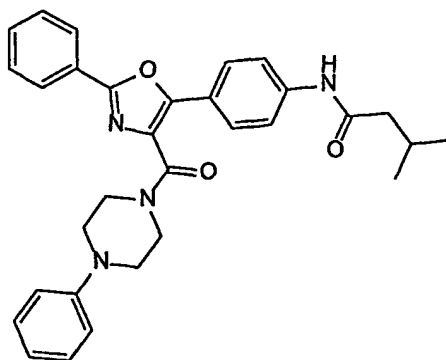
The titled compound was prepared in analogous manner to Example 408.
HPLC Rt = 1.31 min; MS (ES⁺, m/z) 547.13 (M+H)⁺

350

Example 421N-{4-[2-Phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-isobutyramide

The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.21 min; MS (ES⁺, m/z) 495.19 (M+H)⁺

Example 4223-Methyl-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-butyramide

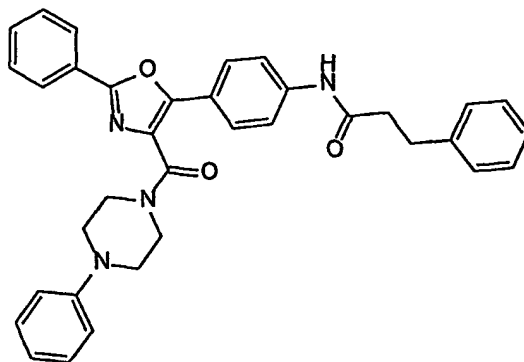
The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.28 min; MS (ES⁺, m/z) 509.20 (M+H)⁺

351

Example 423

3-Phenyl-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-propionamide

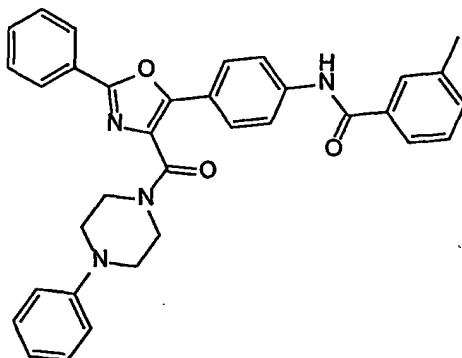


The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.35 min; MS (ES⁺, m/z) 557.18 (M+H)⁺

Example 424

3-Methyl-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-benzamide

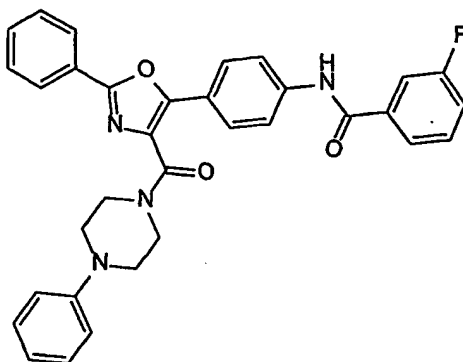


The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.35 min; MS (ES⁺, m/z) 543.16 (M+H)⁺

Example 425

3-Fluoro-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-benzamide

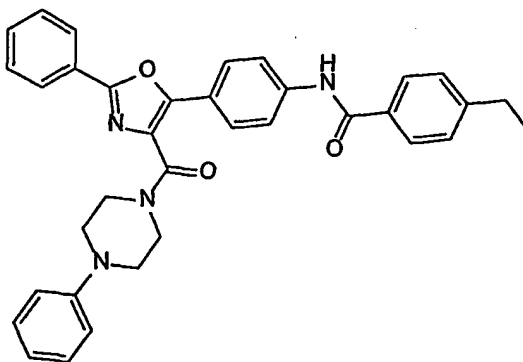


The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.34 min; MS (ES⁺, m/z) 547.14 (M+H)⁺

Example 426

4-Ethyl-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-benzamide

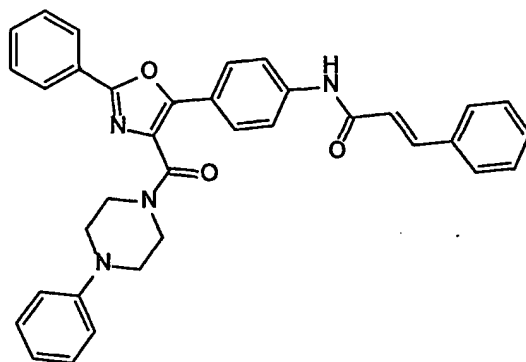


The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.42 min; MS (ES⁺, m/z) 557.17 (M+H)⁺

Example 427

3-Phenyl-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-acrylamide

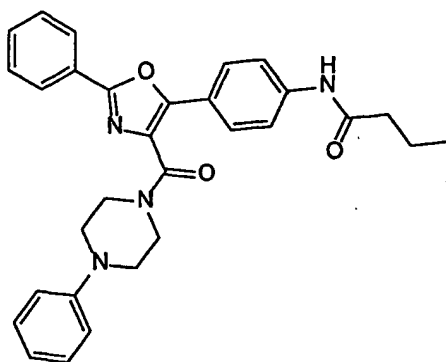


The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.35 min; MS (ES+, m/z) 555.16 (M+H)⁺

Example 428

N-{4-[2-Phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-butyramide

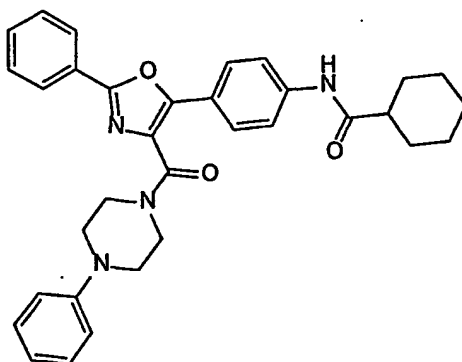


The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.20 min; MS (ES+, m/z) 495.19 (M+H)⁺

Example 429

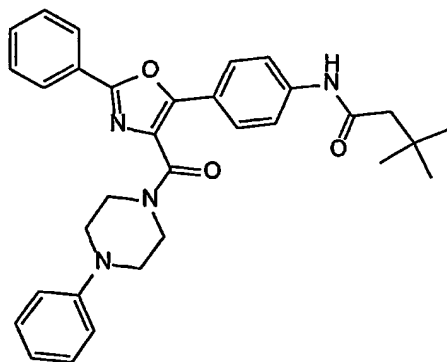
Cyclohexanecarboxylic acid {4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-amide



The titled compound was prepared in analogous manner to Example 408.
HPLC Rt = 1.37 min; MS (ES⁺, m/z) 535.22 (M+H)⁺

Example 430

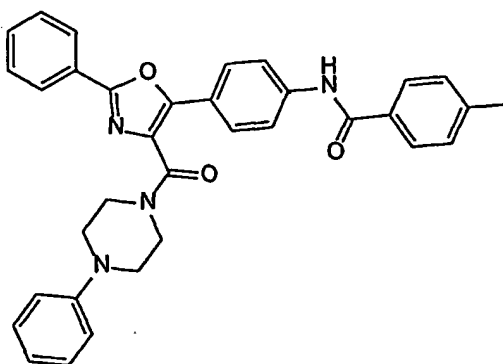
3,3-Dimethyl-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-butyramide



The titled compound was prepared in analogous manner to Example 408.
HPLC Rt = 1.34 min; MS (ES⁺, m/z) 523.20 (M+H)⁺

Example 431

4-Methyl-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-benzamide

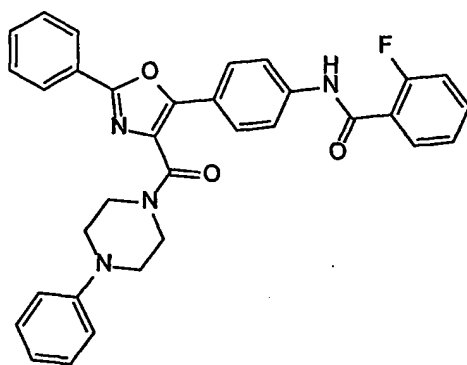


The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.35 min; MS (ES⁺, m/z) 543.17 (M+H)⁺

Example 432

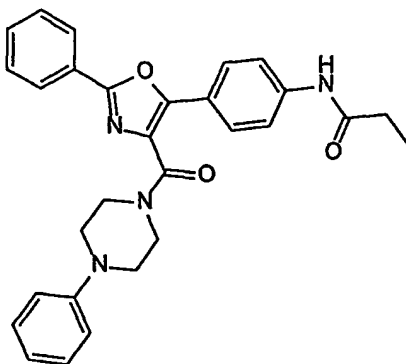
2-Fluoro-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-benzamide



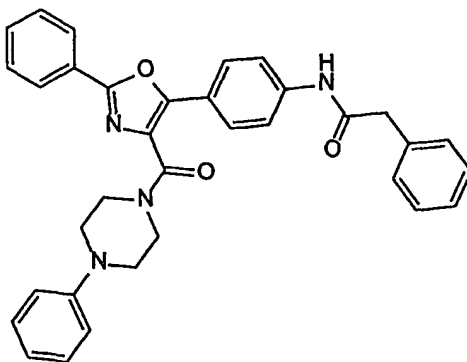
The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.29 min; MS (ES⁺, m/z) 547.14 (M+H)⁺

356

Example 433N-{4-[2-Phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-propionamide

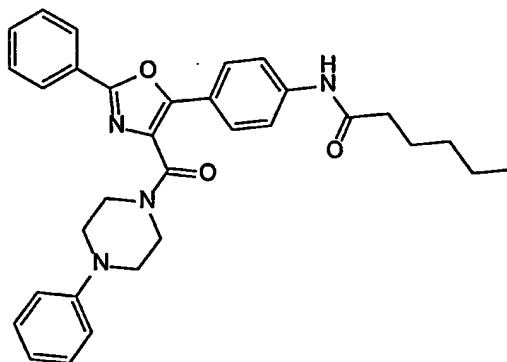
The titled compound was prepared in analogous manner to Example 408.
HPLC Rt = 1.15 min; MS (ES⁺, m/z) 481.18 (M+H)⁺

Example 4342-Phenyl-N-{4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-acetamide

The titled compound was prepared in analogous manner to Example 408.
HPLC Rt = 1.28 min; MS (ES⁺, m/z) 543.17 (M+H)⁺

Example 435

Hexanoic acid {4-[2-phenyl-4-(4-phenyl-piperazine-1-carbonyl)-oxazol-5-yl]-phenyl}-amide

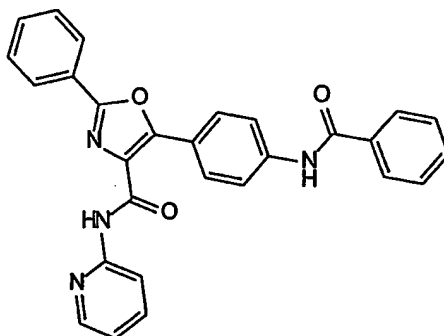


The titled compound was prepared in analogous manner to Example 408.

HPLC Rt = 1.36 min; MS (ES⁺, m/z) 523.20 (M+H)⁺

Example 436

5-(4-Benzoylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

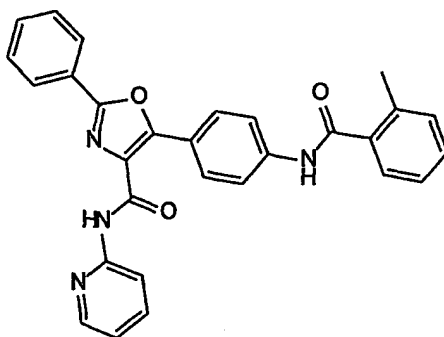


A solution of 5-(4-amino-phenyl)-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide in CHCl₃:pyridine 1:1 (1 mL, 0.2M, 200 μ mol) was added to a 2-dram reaction vial. A solution of benzoyl chloride in CHCl₃ (1 mL, 0.5M, 500 μ mol). Solvent was removed and

diluted with DMSO (800 μ l) and submitted for purification. HPLC Rt = 1.31 min; MS (ES+, m/z) 529.16 (M+H)⁺

Example 437

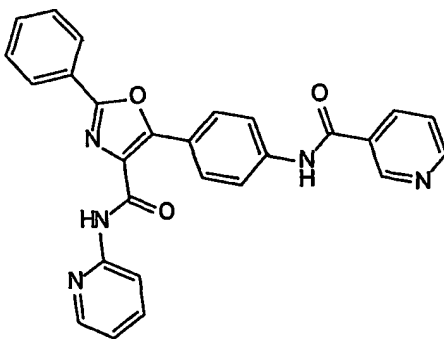
5-[4-(2-methyl-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide



The titled compound was prepared in analogous manner to Example 436.
HPLC Rt = 1.37 min; MS (ES+, m/z) 475.12 (M+H)⁺

Example 438

N-{4-[2-Phenyl-4-(pyridin-2-ylcarbamoyl)-oxazol-5-yl]-phenyl}-nicotinamide

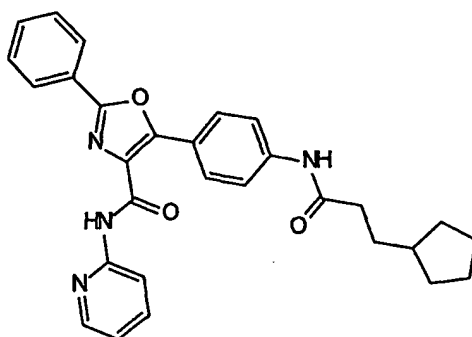


The titled compound was prepared in analogous manner to Example 436.

HPLC Rt = 1.21 min; MS (ES+, m/z) 462.11 (M+H)⁺

Example 439

5-[4-(3-Cyclopentyl-propionylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

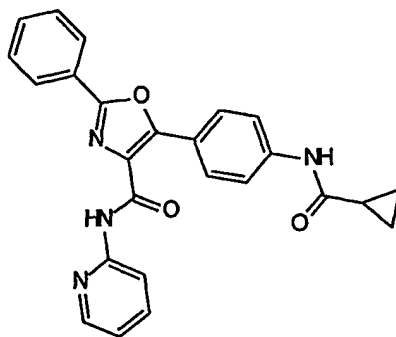


The titled compound was prepared in analogous manner to Example 436.

HPLC Rt = 1.45 min; MS (ES+, m/z) 491.12 (M+H)⁺

Example 440

5-[4-(Cyclopropanecarbonyl-amino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide



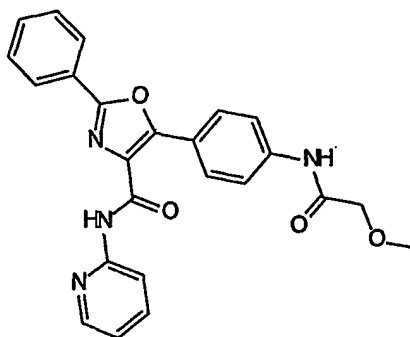
360

The titled compound was prepared in analogous manner to Example 436.

HPLC Rt = 1.21 min; MS (ES⁺, m/z) 425.15 (M+H)⁺

Example 441

5-[4-(2-Methoxy-acetylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

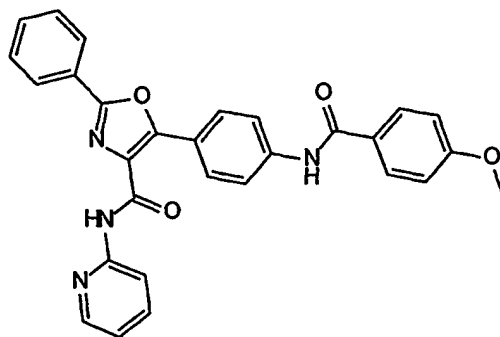


The titled compound was prepared in analogous manner to Example 436.

HPLC Rt = 1.17 min; MS (ES⁺, m/z) 429.13 (M+H)⁺

Example 442

5-[4-(4-Methoxy-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

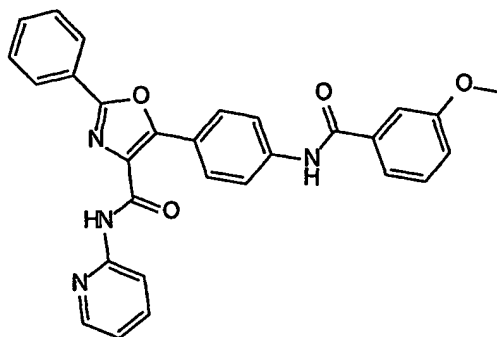


The titled compound was prepared in analogous manner to Example 436.

HPLC Rt = 1.37 min; MS (ES+, m/z) 491.12 (M+H)⁺

Example 443

5-[4-(3-Methoxy-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

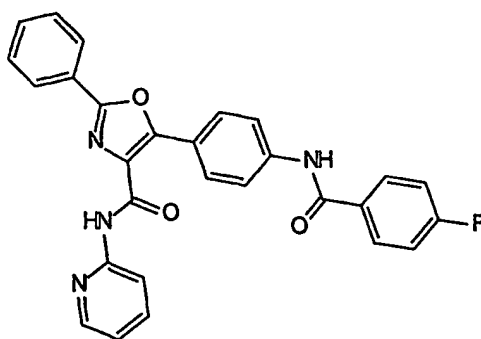


The titled compound was prepared in analogous manner to Example 436.

HPLC Rt = 1.34 min; MS (ES+, m/z) 491.11 (M+H)⁺

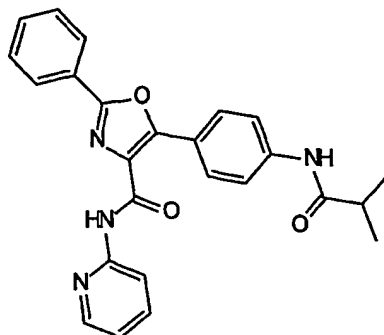
Example 444

5-[4-(4-Fluoro-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

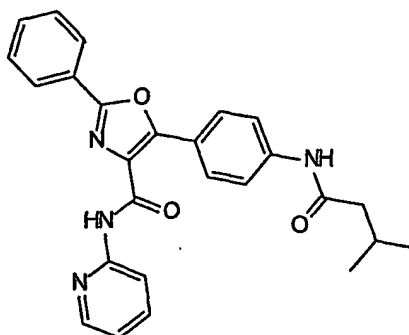


The titled compound was prepared in analogous manner to Example 436.

HPLC Rt = 1.37 min; MS (ES+, m/z) 479.11 (M+H)⁺

Example 4455-(4-Isobutyrylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

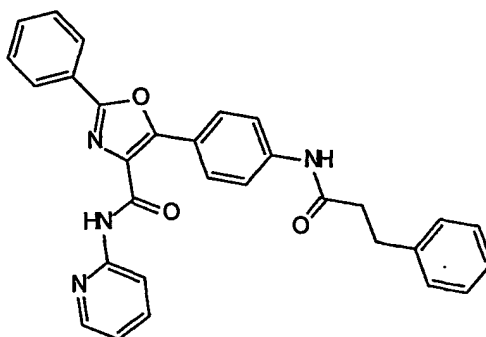
The titled compound was prepared in analogous manner to Example 436.
HPLC $R_t \approx 1.25$ min; MS (ES+, m/z) 427.13 (M+H)⁺

Example 4465-[4-(3-Methyl-butylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

The titled compound was prepared in analogous manner to Example 436.
HPLC $R_t = 1.33$ min; MS (ES+, m/z) 441.16 (M+H)⁺

Example 447

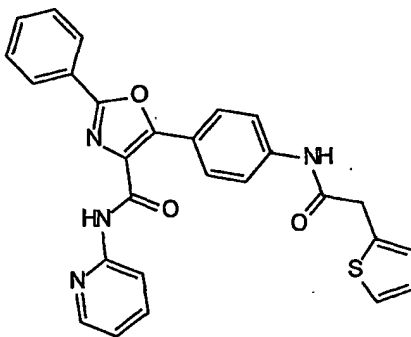
2-Phenyl-5-[4-(3-phenyl-propionylamino)-phenyl]-oxazole-4-carboxylic acid pyridin-2-ylamide



The titled compound was prepared in analogous manner to Example 436.
HPLC Rt = 1.39 min; MS (ES⁺, m/z) 489.14 (M+H)⁺

Example 448

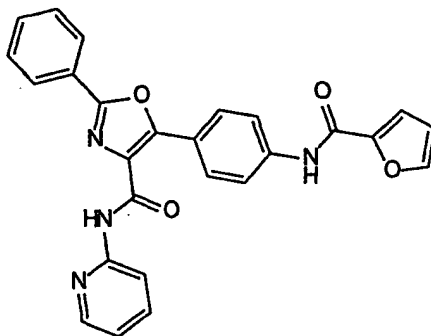
2-Phenyl-5-[4-(2-thiophen-2-yl-acetyl-amino)-phenyl]-oxazole-4-carboxylic acid pyridin-2-ylamide



The titled compound was prepared in analogous manner to Example 436.
HPLC Rt = 1.32 min; MS (ES⁺, m/z) 481.07 (M+H)⁺

Example 449

5-[4-[(Furan-2-carbonyl)-amino]-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

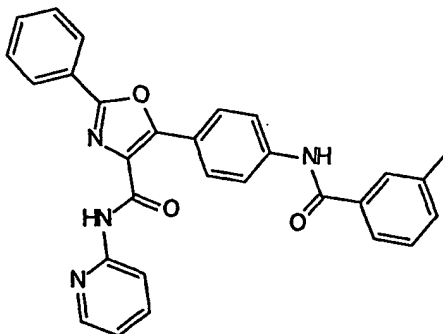


The titled compound was prepared in analogous manner to Example 436.

HPLC Rt = 1.25 min; MS (ES⁺, m/z) 451.09 (M+H)⁺

Example 450

5-[4-(3-Methyl-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

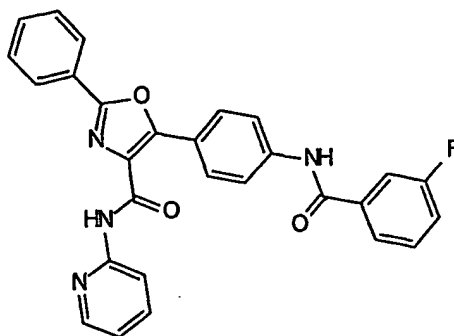


The titled compound was prepared in analogous manner to Example 436.

HPLC Rt = 1.42 min; MS (ES⁺, m/z) 475.12 (M+H)⁺

Example 451

5-[4-(3-Fluoro-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

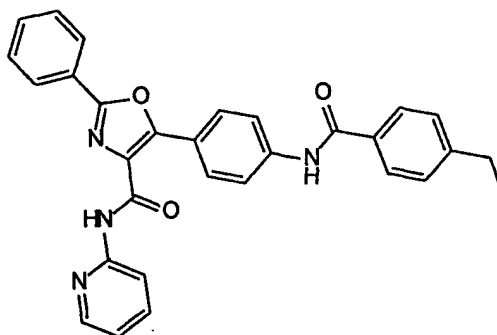


The titled compound was prepared in analogous manner to Example 436.

HPLC Rt = 1.38 min; MS (ES⁺, m/z) 479.10 (M+H)⁺

Example 452

5-[4-(4-Ethyl-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

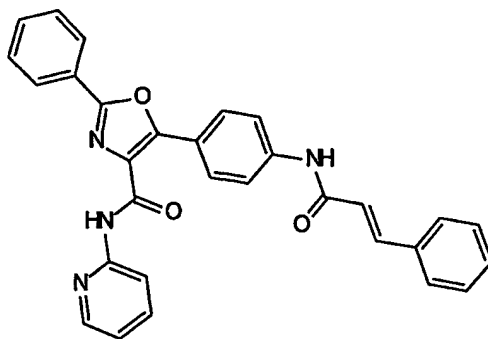


The titled compound was prepared in analogous manner to Example 436.

HPLC Rt = 1.49 min; MS (ES⁺, m/z) 489.14 (M+H)⁺

Example 453

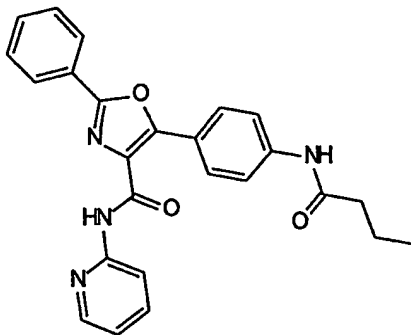
2-Phenyl-5-[4-(3-phenyl-acryloylamino)-phenyl]-oxazole-4-carboxylic acid pyridin-2-ylamide



The titled compound was prepared in analogous manner to Example 436.
HPLC Rt = 1.43 min; MS (ES⁺, m/z) 487.12 (M+H)⁺

Example 454

5-(4-Butyrylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

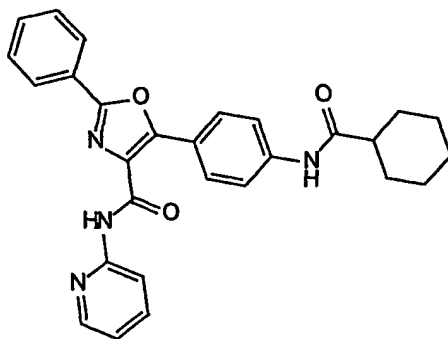


The titled compound was prepared in analogous manner to Example 436.
HPLC Rt = 1.25 min; MS (ES⁺, m/z) 427.13 (M+H)⁺

367

Example 455

5-[4-(Cyclohexanecarbonyl-amino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

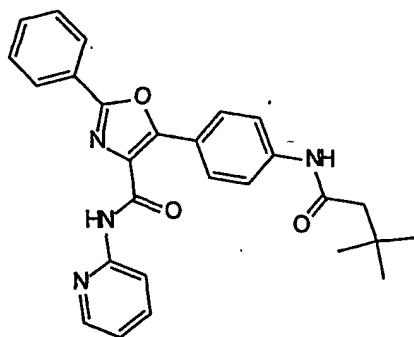


The titled compound was prepared in analogous manner to Example 436.

HPLC Rt = 1.43 min; MS (ES⁺, m/z) 467.16 (M+H)⁺

Example 456

5-[4-(3,3-Dimethyl-butyrylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide

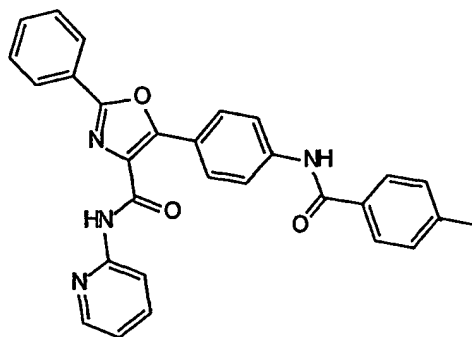


The titled compound was prepared in analogous manner to Example 436.

HPLC Rt = 1.39 min; MS (ES⁺, m/z) 455.15 (M+H)⁺

Example 457

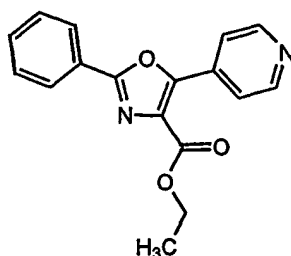
5-[4-(4-Methyl-benzoylamino)-phenyl]-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide



The titled compound was prepared in analogous manner to Example 436.
HPLC Rt = 1.41 min; MS (ES+, m/z) 475.12 (M+H)⁺

Example 458

2-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid ethyl ester



Isonicotinyl chloride (80.7 mmol, 14 g) and triethylamine (161.4 mmol, 22.4 mL) were added to a solution of {[methylsulfanyl-(phenyl)-methylene]-amino}-acetic acid ethyl ester 6 (26.9 mmol, 6 g) in CH₂Cl₂ (120 mL) and the reaction was stirred for 24 hours at room temperature. The organic layer was washed 1M HCl (100 mL) and brine (100 mL), dried over Na₂SO₄ and the solvent removed *in vacuo*. The titled compound was *recrystallized* from EtOH (2.28 g) as a yellow solid in 26 % yield.

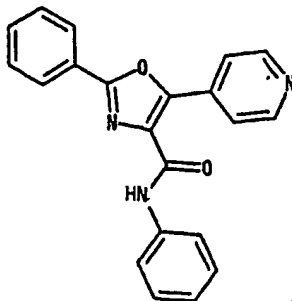
Example 459 (1)2-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid

Aqueous 1M KOH (12 ml) was added to a suspension of 2-phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid ethyl ester in EtOH and heated to 50 °C. Suspension was filtered after 12 h and solid washed with EtOH providing the titled compound in quantitative yield.

¹H NMR (300 MHz, CDCl₃) δ 8.33 (s, 4H), 4.42 (q, J = 7.2 Hz, 2H), 2.6 (s, 3H), 1.42 (t, J = 7.2 Hz, 3H);

HPLC Retention time = 1.08 min;

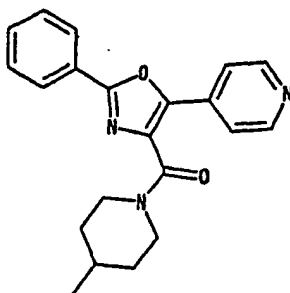
Mass Spectrum (ES⁺, m/z) 277.31 (M+H)⁺

Example 459 (2)2-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid phenylamide

A solution of 2-phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid in CH₃CN:pyridine 4:1 (800 μL, 0.125M, 100 μmol) was added to a 2-dram reaction vial. A solution of 2-chloro-1,3-dimethylimidazolium chloride (DMC) in CH₃CN:pyridine 4:1 (800 μL, 0.25M, 200 μmol) was added followed by the addition of a solution of aniline in CH₃CN (400 μL, 0.25M, 100 μmol). Solvent was removed and diluted with DMSO (800 μl) and submitted for purification.

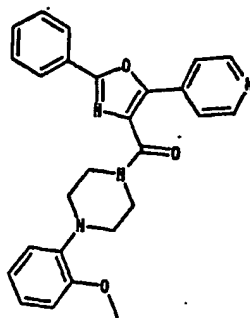
370

HPLC Retention time = 1.33 min;

Mass Spectrum (ES+, m/z) 342.23 (M+H)⁺Example 460(4-Methyl-piperidin-1-yl)-(2-phenyl-5-pyridin-4-yl-oxazol-4-yl)-methanone

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.10 min;

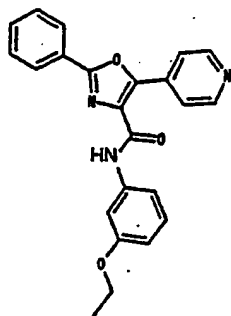
Mass Spectrum (ES+, m/z) 348.27 (M+H)⁺Example 461[4-(2-Methoxy-phenyl)-piperazin-1-yl]-(2-phenyl-5-pyridin-4-yl-oxazol-4-yl)-methanone

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.12 min;

Mass Spectrum (ES+, m/z) 441.24 (M+H)⁺

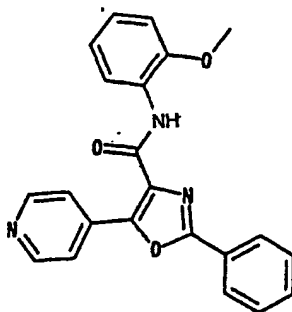
371

Example 4622-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid (3-ethoxy-phenyl)-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.44 min;

Mass Spectrum (ES⁺, m/z) 386.24 (M+H)⁺

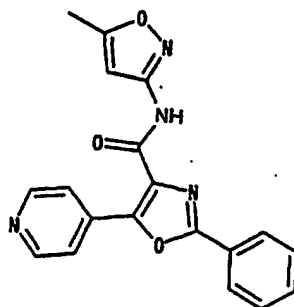
Example 4632-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid (2-methoxy-phenyl)-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.51 min;

Mass Spectrum (ES⁺, m/z) 372.22 (M+H)⁺

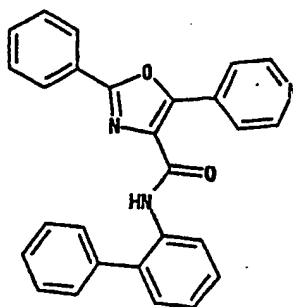
372

Example 4642-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid (5-methyl-isoxazol-3-yl)-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.16 min;

Mass Spectrum (ES⁺, m/z) 347.21 (M+H)⁺

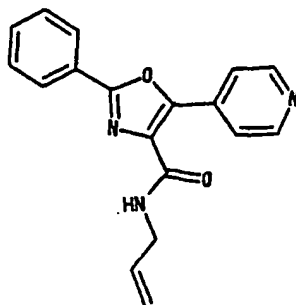
Example 4652-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid biphenyl-2-ylamide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.71 min;

Mass Spectrum (ES⁺, m/z) 418.20 (M+H)⁺

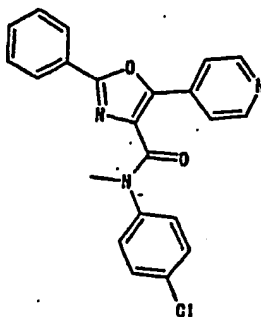
373

Example 4662-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid allylamide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.03 min;

Mass Spectrum (ES+, m/z) 306.25 (M+H)⁺

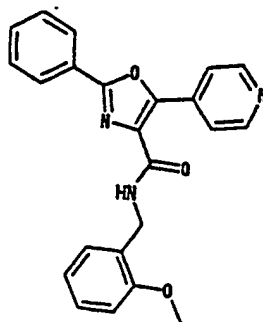
Example 4672-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid (4-chloro-phenyl)-methyl-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.22 min;

Mass Spectrum (ES+, m/z) 390.16 (M+H)⁺

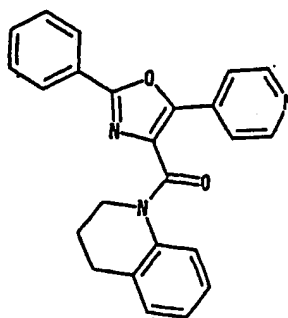
374

Example 4682-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid 2-methoxy-benzylamide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.34 min;

Mass Spectrum (ES⁺, m/z) 386.21 (M+H)⁺

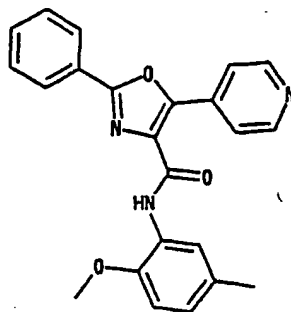
Example 469(3,4-Dihydro-2H-quinolin-1-yl)-(2-phenyl-5-pyridin-4-yl-oxazol-4-yl)-methanone

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.19 min;

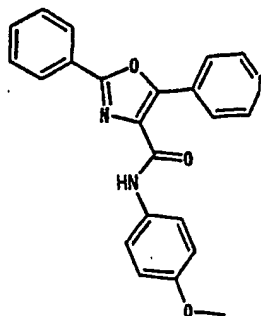
Mass Spectrum (ES⁺, m/z) 382.23 (M+H)⁺

375

Example 4702-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid (2-methoxy-5-methyl-phenyl)-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.59 min; Mass Spectrum (ES⁺, m/z) 386.22 (M+H)⁺

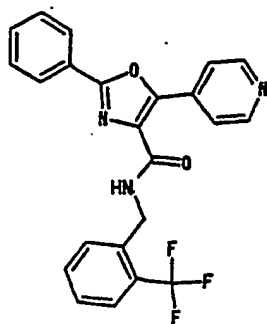
Example 4712-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid (4-methoxy-phenyl)-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.30 min;

Mass Spectrum (ES⁺, m/z) 372.21 (M+H)⁺

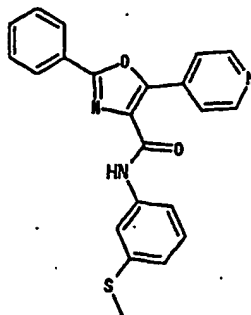
376

Example 4722-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.47 min;

Mass Spectrum (ES⁺, m/z) 424.19 (M+H)⁺

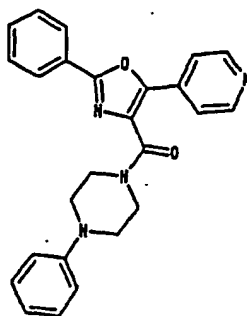
Example 4732-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid (3-methylsulfonyl-phenyl)-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.46 min;

Mass Spectrum (ES⁺, m/z) 388.17 (M+H)⁺

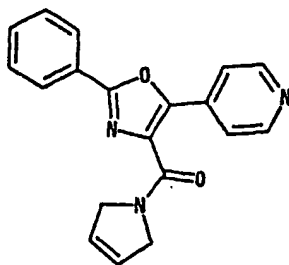
377

Example 474(4-Phenyl-piperazin-1-yl)-(2-phenyl-5-pyridin-4-yl-oxazol-4-yl)-methanone

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.18 min;

Mass Spectrum (ES+, m/z) 411.23 (M+H)⁺

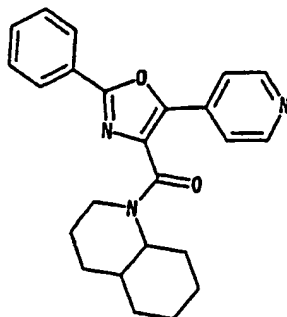
Example 475(2,5-Dihydro-pyrrol-1-yl)-(2-phenyl-5-pyridin-4-yl-oxazol-4-yl)-methanone

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 0.89 min;

Mass Spectrum (ES+, m/z) 318.24 (M+H)⁺

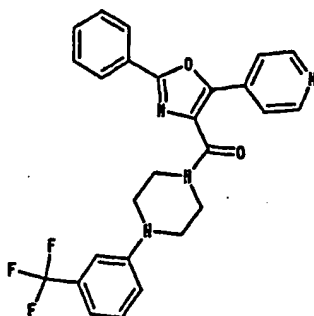
378

Example 476(Octahydro-quinolin-1-yl)-(2-phenyl-5-pyridin-4-yl-oxazol-4-yl)-methanone.

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.32 min;

Mass Spectrum (ES⁺, m/z) 388.27 (M+H)⁺

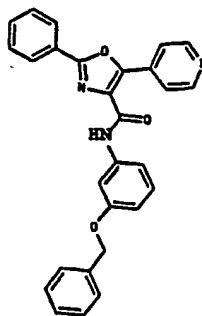
Example 477(2-Phenyl-5-pyridin-4-yl-oxazol-4-yl)-[4-(3-trifluoromethyl-phenyl)-piperazin-1-yl]-methanone

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.38 min;

Mass Spectrum (ES⁺, m/z) 479.21 (M+H)⁺

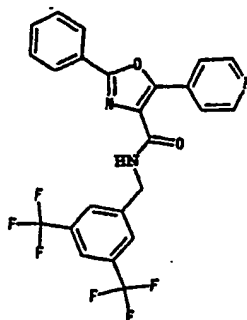
379

Example 4782-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid (3-benzyloxy-phenyl)-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.61 min;

Mass Spectrum (ES⁺, m/z) 448.22 (M+H)⁺

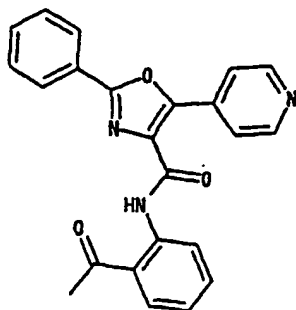
Example 4792-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid 3,5-bis-trifluoromethyl-benzyl-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.57 min;

Mass Spectrum (ES⁺, m/z) 492.14 (M+H)⁺

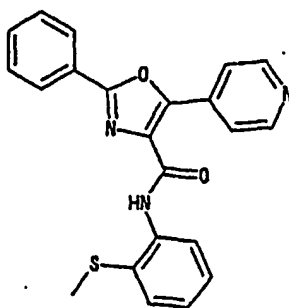
380

Example 4802-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid (2-acetyl-phenyl)-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.50 min;

Mass Spectrum (ES⁺, m/z) 384.20 (M+H)⁺

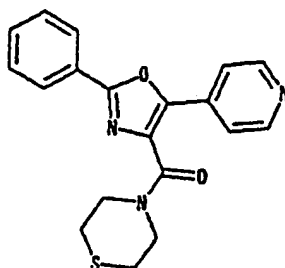
Example 4812-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid (2-methylsulfanyl-phenyl)-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.60 min;

Mass Spectrum (ES⁺, m/z) 388.16 (M+H)⁺

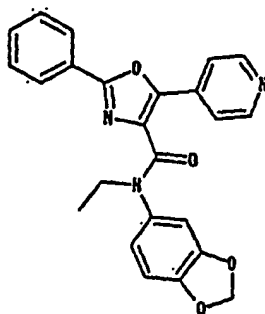
381

Example 482(2-Phenyl-5-pyridin-4-yl-oxazol-4-yl)-thiomorpholin-4-yl-methanone

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 0.93 min;

Mass Spectrum (ES⁺, m/z) 352.20 (M+H)⁺

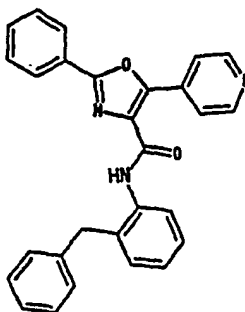
Example 4832-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid benzo[1,3]dioxol-5-yl-ethyl-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.10 min;

Mass Spectrum (ES⁺, m/z) 414.21 (M+H)⁺

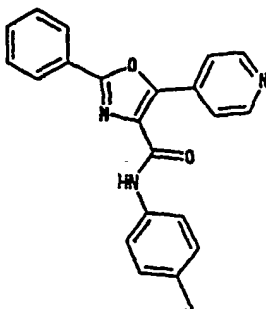
382

Example 4842-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid (2-benzyl-phenyl)-amide.

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.65 min;

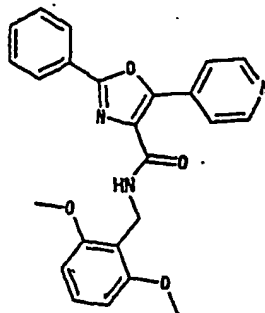
Mass Spectrum (ES⁺, m/z) 432.23 (M+H)⁺

Example 4852-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid (4-methylphenyl)-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.43 min;

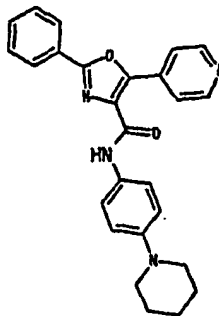
Mass Spectrum (ES⁺, m/z) 356.24 (M+H)⁺

Example 4862-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid 2,6-dimethoxybenzylamide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 1.39 min;

Mass Spectrum (ES+, m/z) 416.21 (M+H)⁺

Example 4872-Phenyl-5-pyridin-4-yl-oxazole-4-carboxylic acid (4-piperidin-1-yl-phenyl)-amide

The titled compound was prepared in analogous manner to Example 459.

HPLC Retention time = 0.76 min;

Mass Spectrum (ES+, m/z) 425.24 (M+H)⁺

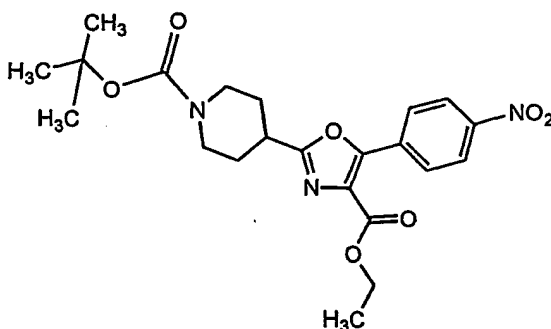
Example 488 (1)4-[1-Ethoxycarbonyl-2-(4-nitro-phenyl)-2-oxo-ethylcarbamoyl]-piperidine-1-carboxylic acid
tert-butyl ester

2-Diazo-3-oxo-3-(4-nitro-phenyl)-propionic acid ethyl ester (10 mmol, 2.63 g) in CHCl_3 (20 ml) was added over 1h to 4-carbamoyl-piperidine-1-carboxylic acid *tert*-butyl ester (10 mmol, 2.28 g) and rhodium acetate (0.5 mmol, 221 mg) in CHCl_3 (100 mL) at reflux. Heating was continued for ½h after complete addition. The solvent was removed and crude oil was purified by flash chromatography (40% EtOAc/hexanes) providing the titled compound (1.2g) as a light purple solid (contaminated with small amount of catalyst) in 26% yield.

^1H NMR (300 MHz, CDCl_3) δ 8.35 (d, $J = 7.8$ Hz, 2H), 8.25 (d, $J = 7.5$ Hz, 2H), 6.83 (d, $J = 7.5$ Hz, 1H), 6.15 (d, $J = 7.2$ Hz, 1H), 4.18 (q, $J = 7.2$ Hz, 2H), 2.78 (bt, $J = 7.2$ Hz, 2H), 2.40 (m, 1H), 1.82 (bt, $J = 6.9$ Hz, 2H), 1.62 (m, 2H), 1.42 (s, 9), 1.15 (t, $J = 7.2$ Hz, 3H);

HPLC Retention time = 1.06 min;

Mass Spectrum (ES^+ , m/z) 408 (M-tBu) $^+$.

Example 488 (2)4-[4-ethoxycarbonyl-5-(4-nitro-phenyl)-oxazol-2-yl]-piperidine-1-carboxylic acid *tert*-butyl
ester

Triethyl amine (8.6 mmol, 1.2 mL) followed by 4-[1-Ethoxycarbonyl-2-(4-nitro-phenyl)-2-oxo-ethylcarbamoyl]-piperidine-1-carboxylic acid *tert*-butyl ester (2.16 mmol, 1 g) in CH_2Cl_2 (5 mL) were added to a solution of iodine (4.3 mmol, 1.1 g) and triphenylphosphine (4.3 mmol, 1.13 g) in CH_2Cl_2 (15 mL). The solvent was removed after 10 min and crude material

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purified by flash chromatography (40 % EtOAc/hexanes) providing the titled compound (440 mg) as a light brown foam in 46 % yield.

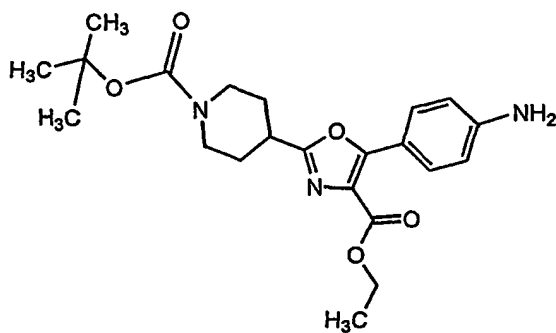
^1H NMR (300 MHz, CDCl_3) δ 8.28 (dd, $J = 12, 7.5$ Hz, 4H), 4.21 (q, $J = 7.2$ Hz, 2H), 4.18 (b, 2H), 3.10 (m, 1H), 2.90 (bt, $J = 7.2$ Hz, 2H), 2.08 (b, $J = 6.9$ Hz, 2H), 1.82 (m, 2H), 1.42 (s, 9), 1.38 (t, $J = 7.2$ Hz, 3H);

HPLC Retention time = 1.36 min;

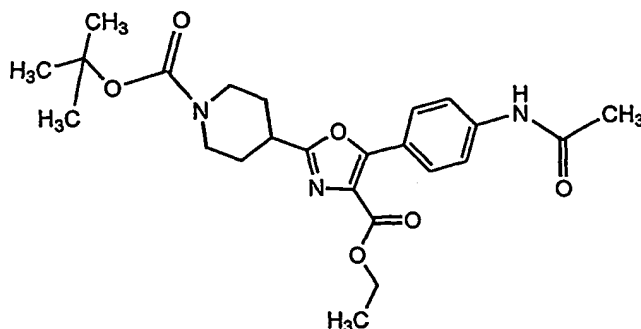
Mass Spectrum (ES^+ , m/z) 408 (M-tBu) $^+$.

Example 489

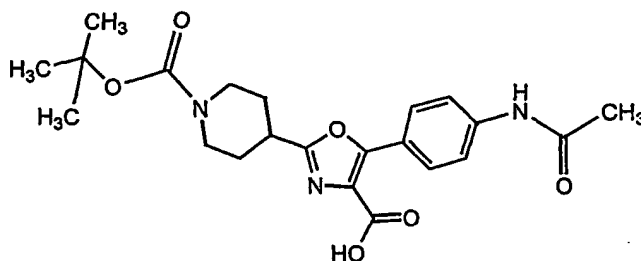
4-[5-(4-Amino-phenyl)-4-ethoxycarbonyl-oxazol-2-yl]-piperidine-1-carboxylic acid *tert*-butyl ester



4-[4-ethoxycarbonyl-5-(4-nitro-phenyl)-oxazol-2-yl]-piperidine-1-carboxylic acid *tert*-butyl ester (7.3 mmol, 3.28 g) and 10% palladium on carbon (0.4 mmol, 390 mg) were placed in a Parr flask with EtOAc (25 mL) and shaken for 8h and reaction filtered through a pad of Celite. The filtrate was concentrated to provide the titled compound (2.95 g) as a light yellow solid in 97 % yield.

Example 4904-[5-(4-N-acetyl-amino-phenyl)-4-ethoxycarbonyl-oxazol-2-yl]-piperidine-1-carboxylic acid
tert-butyl ester

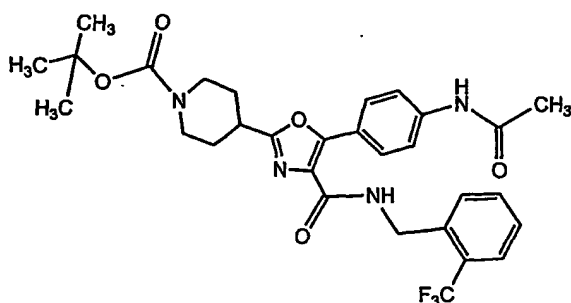
Acetyl chloride (7.81 mmol, 550 μ L) in CH_2Cl_2 (5 mL) was added to a solution 4-[4-ethoxycarbonyl-5-(4-amino-phenyl)-oxazol-2-yl]-piperidine-1-carboxylic acid *tert*-butyl ester (7.1 mmol, 2.95 g) and pyridine (14.2 mmol, 1.15 mL) in CH_2Cl_2 (60 mL) and stirred for 1h. The solvent was removed and partitioned between EtOAc (100 mL) and 1M HCl (50 mL). The organic layer was washed with brine (50 mL) and dried over Na_2SO_4 and solvent removed to provide the titled compound (3.17 g) as bright yellow solid in 97 % yield.

Example 4914-[5-(4-acetyl-amino-phenyl)-4-carboxy-oxazol-2-yl]-piperidine-1-carboxylic acid
tert-butyl ester

10% NaOH (10 mL) was added to 5-4-[5-(4-N-acetylamino-phenyl)-4-ethoxycarbonyl-oxazol-2-yl]-piperidine-1-carboxylic acid *tert*-butyl ester (40 mg, 0.08 mmol) in a mixture of MeOH (20 mL) and THF (10 mL). After 3h the hydrolysis of the ester was complete and the solvent was removed to afford the titled compound (25 mg, 66%).

Example 492

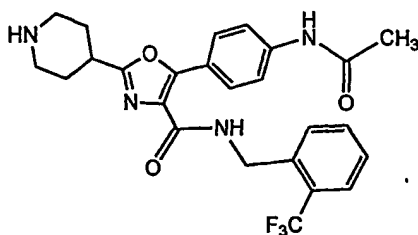
4-[5-(4-Acetylamino-phenyl)-4-(2-trifluoromethyl-benzylcarbamoyl)-oxazol-2-yl]-piperidine-1-carboxylic acid *tert*-butyl ester



Trifluoromethyl benzyl amine was added to 4-[5-(4-acetylamino-phenyl)-4-carboxy-oxazol-2-yl]-piperidine-1-carboxylic acid *tert*-butyl ester (0.77mmol, 20 mg) and DMC (0.15 mmol, 26 mg) and stirred for 4h.

Example 493

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid 2-trifluoromethyl-benzylamide

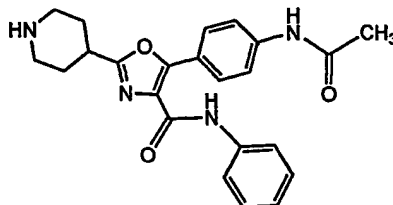


Trifluoroacetic acid (400 μ L) was added to 4-[5-(4-acetylamino-phenyl)-4-(2-trifluoromethyl-benzylcarbamoyl)-oxazol-2-yl]-piperidine-1-carboxylic acid *tert*-butyl ester and stirred at room temperature for 2h. The solvent was removed and crude product purified

by flash chromatography (50 % EtOAc/hexanes) to provide titled compound (15 mg) as an off white solid in 47 % yield..

Example 494

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid phenylamide



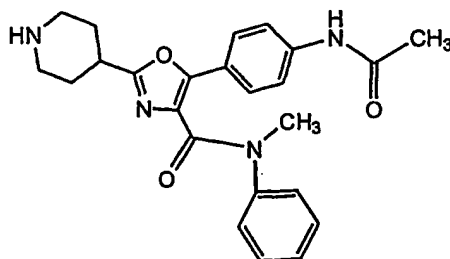
A solution of 4-[5-(4-acetylamino-phenyl)-4-carboxy-oxazol-2-yl]-piperidine-1-carboxylic acid *tert*-butyl ester in CH₃CN:pyridine 4:1 (800 μ L, 0.125M, 100 μ mol) was added to a 2-dram reaction vial. A solution of 2-chloro-1,3-dimethylimidazolinium chloride (DMC) in CH₃CN:pyridine 4:1 (800 μ L, 0.25M, 200 μ mol) was added followed by the addition of a solution of aniline in CH₃CN (400 μ L, 0.25M, 100 μ mol). The reaction was allowed to stand for 4 hours at room temperature. Solvent was removed. Methylene chloride (0.8 mL) was added and TFA (200 μ L) and allowed to stand for 4h. Solvent was removed and diluted with DMSO (800 μ L) and submitted for purification.

HPLC Retention time = 0.55 min;

Mass Spectrum (ES⁺, m/z) 405.35 (M+H)⁺

Example 495

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid methyl-phenylamide



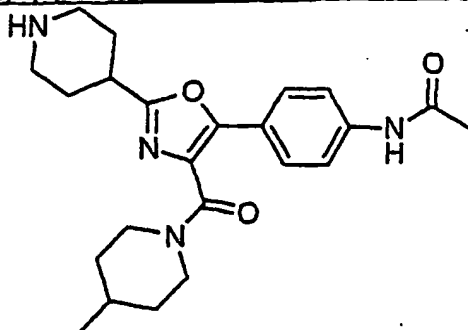
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.43 min;

Mass Spectrum (ES⁺, m/z) 419.36 (M+H)⁺

Example 496

N-{4-[4-(4-Methyl-piperidine-1-carbonyl)-2-piperidin-4-yl-oxazol-5-yl]-phenyl}-acetamide



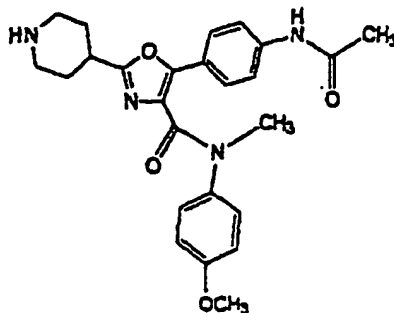
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.45 min;

Mass Spectrum (ES⁺, m/z) 411.41 (M+H)⁺

Example 497

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid methyl-(4-methoxyphenyl)-amide

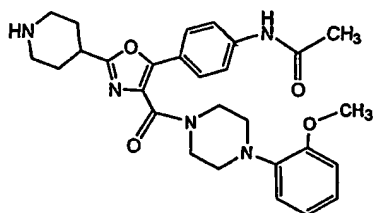


The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.46 min; Mass Spectrum (ES⁺, m/z) 449.39 (M+H)⁺

Example 498

N-(4-{4-[4-(2-Methoxy-phenyl)-piperazine-1-carbonyl]-2-piperidin-4-yl-oxazol-5-yl}-phenyl)-acetamide



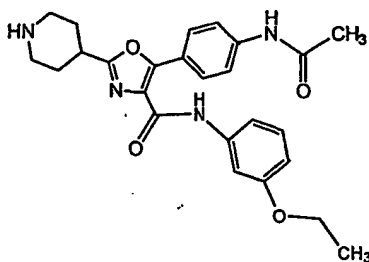
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.49 min;

Mass Spectrum (ES⁺, m/z) 504.42 (M+H)⁺

Example 499

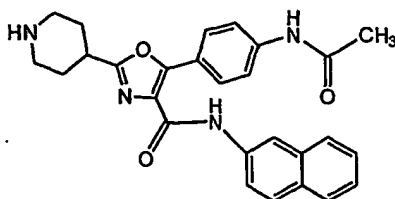
5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (3-ethoxy-phenyl)-amide



The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.53 min;

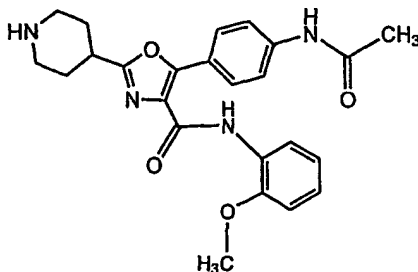
Mass Spectrum (ES⁺, m/z) 449.39 (M+H)⁺

Example 5005-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid 1-naphthyl amide

The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.64 min;

Mass Spectrum (ES⁺, m/z) 455.37 (M+H)⁺

Example 5015-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (2-methoxy-phenyl)-amide

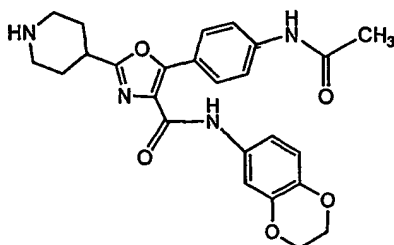
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.63 min;

Mass Spectrum (ES⁺, m/z) 435.37 (M+H)⁺

Example 502

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (2,3-dihydro-benzo[1,4]dioxin-6-yl)-amide



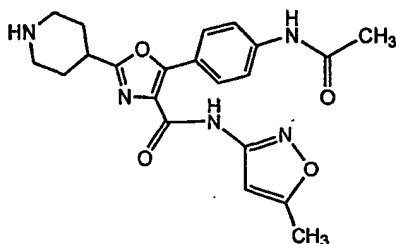
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.55 min;

Mass Spectrum (ES⁺, m/z) 463.37 (M+H)⁺

Example 503

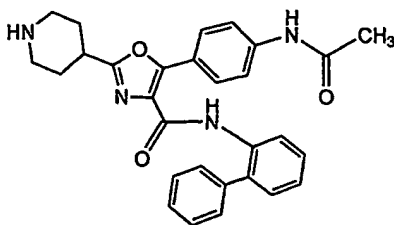
5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (5-methyl-isoxazol-3-yl)-amide



The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.49 min;

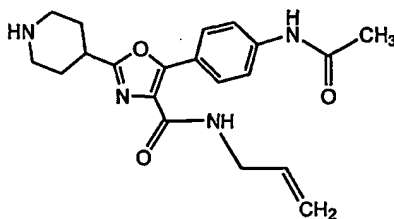
Mass Spectrum (ES⁺, m/z) 410.35 (M+H)⁺

Example 5045-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid biphenyl-2-ylamide

The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.73 min;

Mass Spectrum (ES⁺, m/z) 481.39 (M+H)⁺

Example 5055-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid allylamide

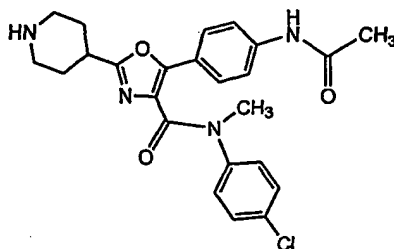
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.38 min;

Mass Spectrum (ES⁺, m/z) 369.34 (M+H)⁺

Example 506

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid methyl-(4-chloro-phenyl)-amide



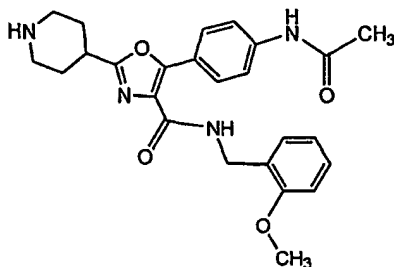
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.52 min;

Mass Spectrum (ES⁺, m/z) 453.34 (M+H)⁺

Example 507

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid 2-methoxy-benzylamide



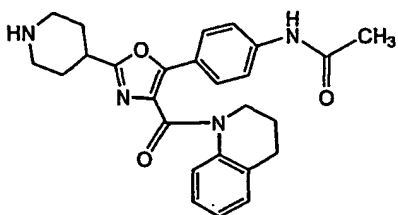
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.56 min;

Mass Spectrum (ES⁺, m/z) 449.40 (M+H)⁺

Example 508

N-{4-[4-(3,4-Dihydro-2H-quinoline-1-carbonyl)-2-piperidin-4-yl-oxazol-5-yl]-phenyl}-acetamide



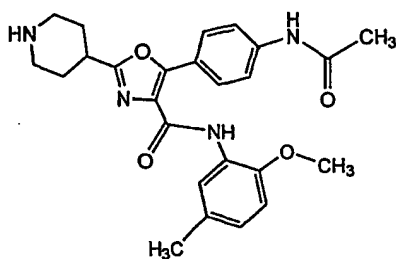
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.50 min;

Mass Spectrum (ES⁺, m/z) 445.38 (M+H)⁺

Example 509

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (2-methoxy-5-methyl-phenyl)-amide



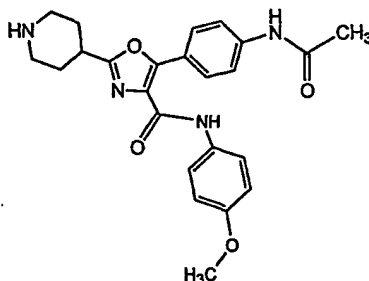
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.68 min;

Mass Spectrum (ES⁺, m/z) 449.38 (M+H)⁺

Example 510

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (4-methoxy-phenyl)-
amide



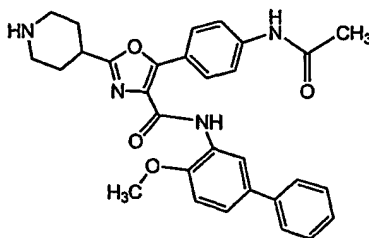
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.55 min;

Mass Spectrum (ES⁺, m/z) 435.37 (M+H)⁺

Example 511

5-(4-acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (4-methoxy-biphenyl-
3-yl)-amide



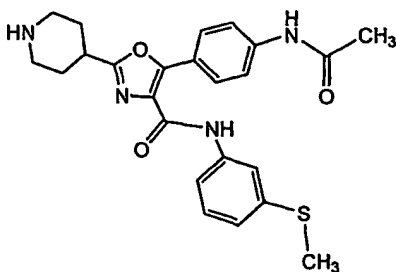
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.79 min;

Mass Spectrum (ES⁺, m/z) 511.51 (M+H)⁺

Example 512

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (3-ethylsulfanyl-phenyl)-amide



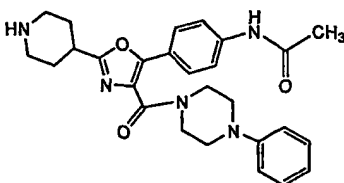
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.65 min;

Mass Spectrum (ES⁺, m/z) 451.35 (M+H)⁺

Example 513

N-(4-{4-[4-Phenylpiperazine-1-carbonyl]-2-piperidin-4-yl-oxazol-5-yl}-phenyl)-acetamide



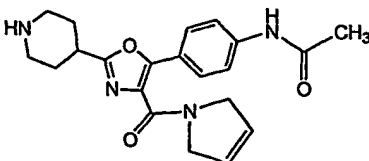
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.52 min;

Mass Spectrum (ES⁺, m/z) 474.42 (M+H)⁺

Example 514

N-{4-[4-(2,5-dihydro-pyrrole-1-carbonyl)-2-piperidin-4-yl-oxazol-5-yl]-phenyl}-acetamide



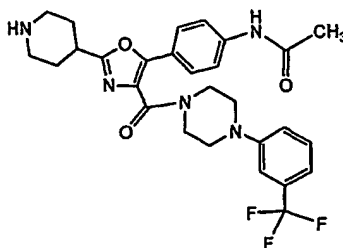
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.33 min;

Mass Spectrum (ES⁺, m/z) 381.34 (M+H)⁺

Example 515

N-(4-{2-Piperidin-4-yl-4-[4-(3-trifluoromethyl-phenyl)-piperazine-1-carbonyl]-oxazol-5-yl}-phenyl)-acetamide



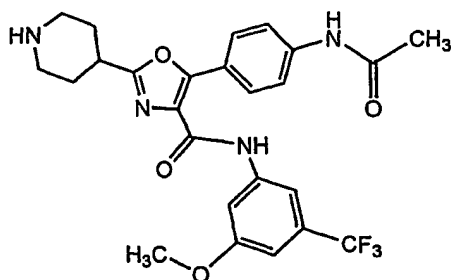
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.68 min;

Mass Spectrum (ES⁺, m/z) 542.42 (M+H)⁺

Example 516

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (3-methoxy-5-trifluoromethyl-phenyl)-amide



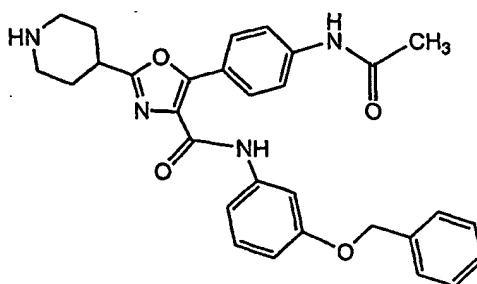
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.73 min;

Mass Spectrum (ES⁺, m/z) 503.50 (M+H)⁺

Example 517

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (3-benzyloxy-phenyl)-amide



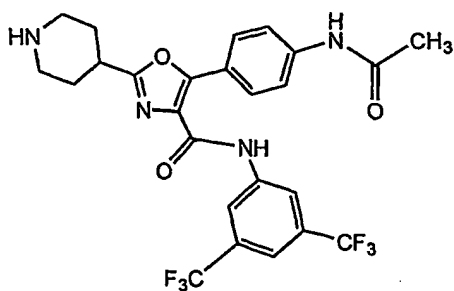
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.76 min;

Mass Spectrum (ES⁺, m/z) 511.56 (M+H)⁺

Example 518

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (3,5-bis-trifluoromethyl-phenyl)-amide



The titled compound was prepared in analogous manner to Example 494.

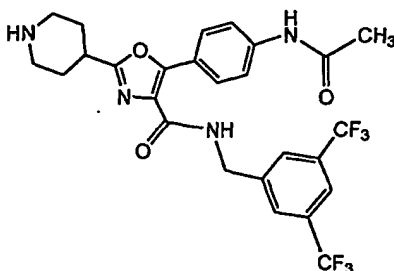
HPLC Retention time = 0.83 min;

400

Mass Spectrum (ES⁺, m/z) 541.46 (M+H)⁺

Example 519

5-(4-acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid 3,5-bis-trifluoromethyl-benzylamide



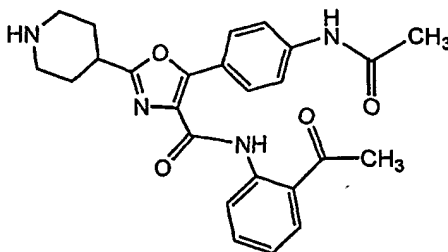
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.75 min;

Mass Spectrum (ES⁺, m/z) 555.53 (M+H)⁺

Example 520

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (2-acetyl-phenyl)-amide



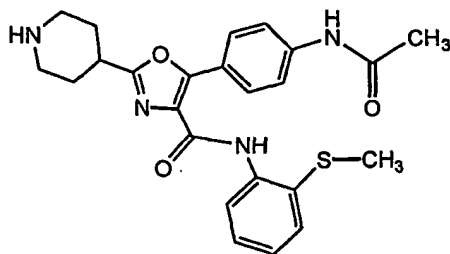
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.61 min;

Mass Spectrum (ES⁺, m/z) 447.37 (M+H)⁺

Example 521

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (2-ethylsulfanyl-phenyl)-amide



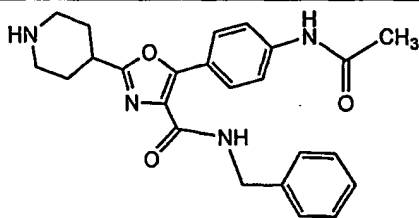
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.65 min;

Mass Spectrum (ES⁺, m/z) 450.35 (M+H)⁺

Example 522

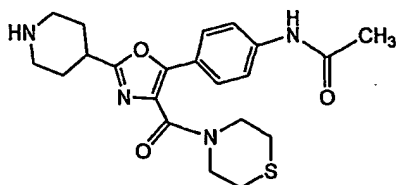
5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid benzyl amide



The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.54 min;

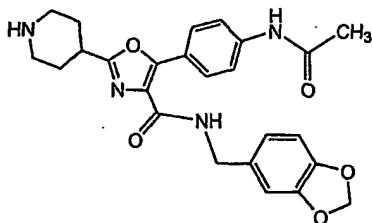
Mass Spectrum (ES⁺, m/z) 419.36 (M+H)⁺

Example 523N-{4-[2-Piperidin-4-yl-4-(thiomorpholine-4-carbonyl)-oxazol-5-yl]-phenyl}-acetamide

The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.37 min;

Mass Spectrum (ES⁺, m/z) 415.34 (M+H)⁺

Example 5245-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (1,3-dihydro-isobenzofuran-5-ylmethyl)-amide

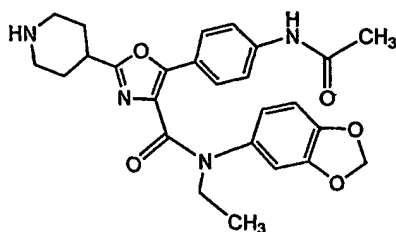
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.54 min;

Mass Spectrum (ES⁺, m/z) 463.37 (M+H)⁺

Example 525

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid benzo[1,3]dioxol-5-yl-ethyl-amide



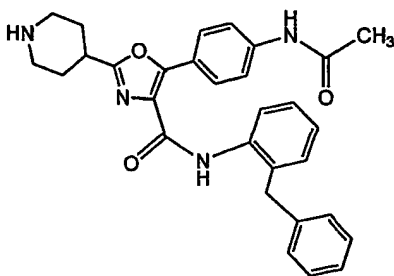
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.50 min;

Mass Spectrum (ES⁺, m/z) 477.38 (M+H)⁺

Example 526

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (2-benzyl-phenyl)-amide



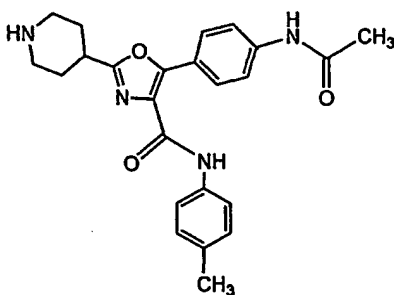
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.73 min;

Mass Spectrum (ES⁺, m/z) 495.57 (M+H)⁺

Example 527

5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (4-methyl-phenyl)-amide



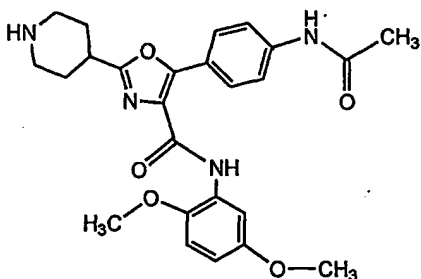
The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.62 min;

Mass Spectrum (ES⁺, m/z) 419.38 (M+H)⁺

Example 528

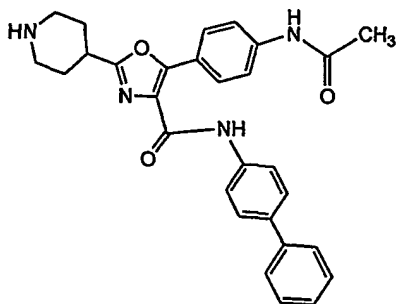
5-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (2,5-dimethoxy-phenyl)-amide



The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.63 min;

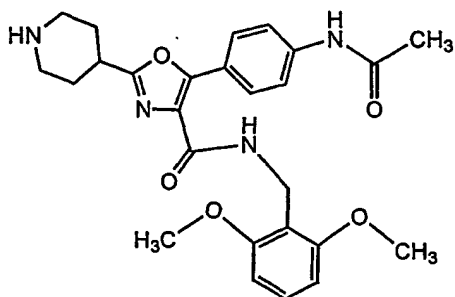
Mass Spectrum (ES⁺, m/z) 465.39 (M+H)⁺

Example 5295-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid biphenyl-4-ylamide

The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.75 min;

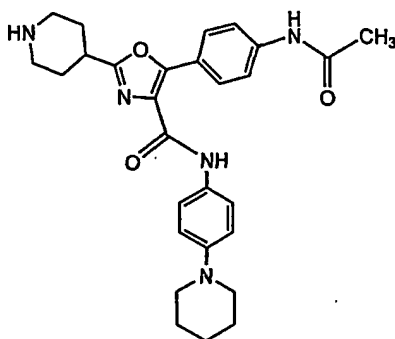
Mass Spectrum (ES⁺, m/z) 481.41 (M+H)⁺

Example 5305-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid 2,6-dimethoxy-benzylamide

The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.59 min;

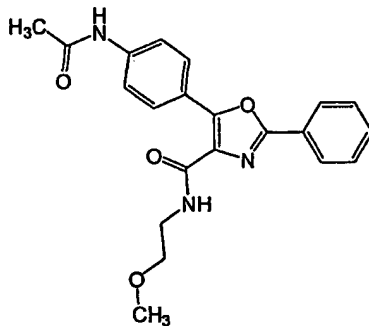
Mass Spectrum (ES⁺, m/z) 479.39 (M+H)⁺

Example 5315-(4-Acetylamino-phenyl)-2-piperidin-4-yl-oxazole-4-carboxylic acid (4-piperidin-1-yl-phenyl)-amide

The titled compound was prepared in analogous manner to Example 494.

HPLC Retention time = 0.33 min;

Mass Spectrum (ES⁺, m/z) 488.41 (M+H)⁺

Example 5325-(4-Acetylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid (2-methoxy-ethyl)-amide

A solution of 5-(4-acetylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid in CH₃CN:pyridine 4:1 (800 μ L, 0.125M, 100 μ mol) was added to a 2-dram reaction vial. A solution of 2-chloro-1,3-dimethylimidazolinium chloride (DMC) in CH₃CN:pyridine 4:1 (800

407

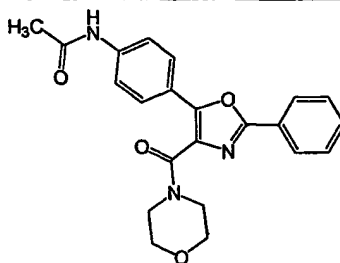
μL , 0.25M, 200 μmol) was added followed by the addition of a solution of 2-(4-methoxy-phenyl)-ethyl amine in CH_3CN (400 μL , 0.25M, 100 μmol). The reaction was allowed to stand for 4 hours at room temperature. The solvent was removed *in vacuo* and the crude material submitted for HPLC purification.

HPLC R_t = 0.99 min.

Mass Spectrum (ES+, m/z) : 380.22 ($M+H$)⁺

Example 533

N-{4-[4-(Morpholine-4-carbonyl)-2-phenyl-oxazol-5-yl]-phenyl}-acetamide



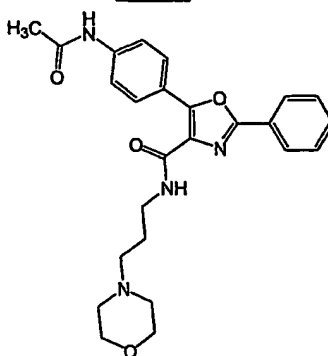
The titled compound was prepared in analogous manner to Example 532.

HPLC R_t = 0.85 min.

Mass Spectrum (ES+, m/z) : 392.21 ($M+H$)⁺

Example 534

5-(4-Acetylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid (3-morpholin-4-yl-propyl)-amide.



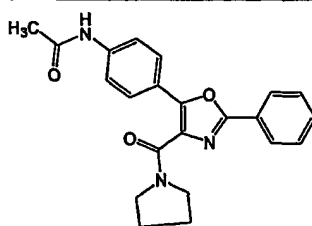
The titled compound was prepared in analogous manner to Example 532.

HPLC Rt = 0.62 min.

Mass Spectrum (ES+, m/z) : 449.27 (M+H)⁺

Example 535

N-{4-[2-Phenyl-4-(pyrrolidine-1-carbonyl)-oxazol-5-yl]-phenyl}-acetamide.



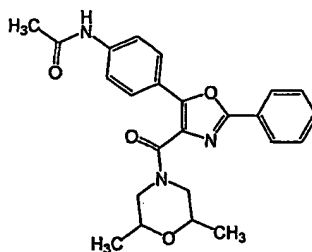
The titled compound was prepared in analogous manner to Example 532.

HPLC Rt = 0.94 min.

Mass Spectrum (ES+, m/z) : 376.23 (M+H)⁺

Example 536

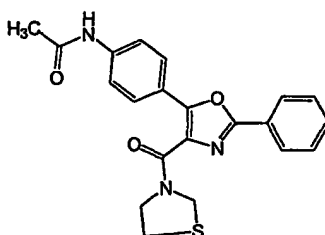
N-{4-[4-(2,6-Dimethyl-morpholine-4-carbonyl)-2-phenyl-oxazol-5-yl]-phenyl}-acetamide



The titled compound was prepared in analogous manner to Example 532.

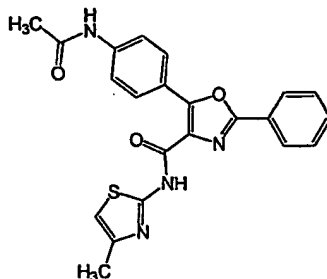
409

HPLC Rt = 0.98 min

Mass Spectrum (ES⁺, m/z) : 420.23 (M+H)⁺Example 537N-{4-[2-Phenyl-4-(thiazolidine-3-carbonyl)-oxazol-5-yl]-phenyl}-acetamide.

The titled compound was prepared in analogous manner to Example 532.

HPLC Rt = 1.02 min.

Mass Spectrum (ES⁺, m/z) : 394.47 (M+H)⁺Example 5385-(4-Acetylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid (4-methyl-thiazol-2-yl)-amide.

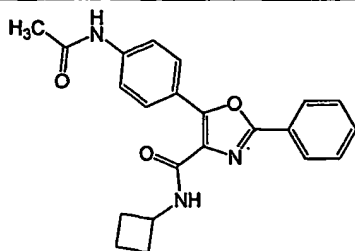
The titled compound was prepared in analogous manner to Example 532.

HPLC Rt = 1.25 min.

Mass Spectrum (ES⁺, m/z) : 419.18 (M+H)⁺

Example 539

5-(4-Acetylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid cyclobutylamide



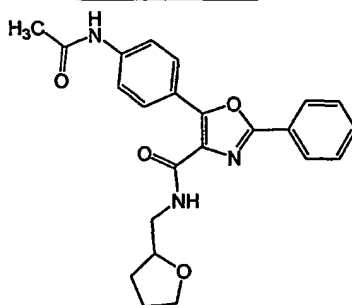
The titled compound was prepared in analogous manner to Example 532.

HPLC Rt = 1.17 min.

Mass Spectrum (ES⁺, m/z) : 376.24 (M+H)⁺

Example 540

5-(4-Acetylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid (tetrahydro-furan-2-ylmethyl)-amide



411

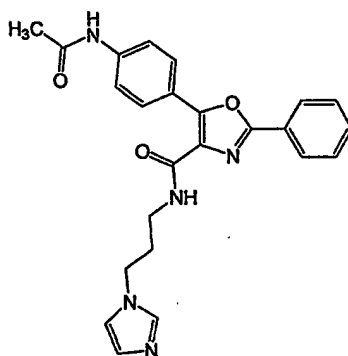
The titled compound was prepared in analogous manner to Example 532.

HPLC Rt = 1.06 min.

Mass Spectrum (ES+, m/z) : 406.23 (M+H)⁺

Example 541

5-(4-Acetylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid (3-imidazol-1-yl-propyl)-
amide



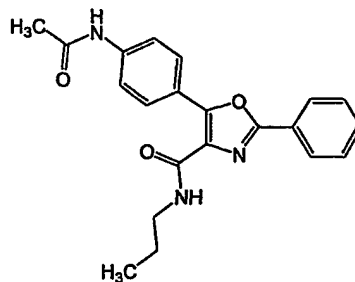
The titled compound was prepared in analogous manner to Example 532.

HPLC Rt = 0.63 min.

Mass Spectrum (ES+, m/z) : 430.20 (M+H)⁺

Example 542

5-(4-Acetylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid propylamide



412

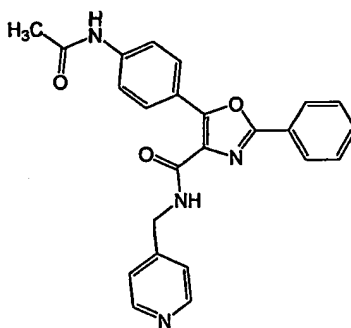
The titled compound was prepared in analogous manner to Example 532.

HPLC Rt = 1.13 min

Mass Spectrum (ES⁺, m/z) : 364.24 (M+H)⁺

Example 543

5-(4-Acetylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid (pyridin-4-ylmethyl)-amide



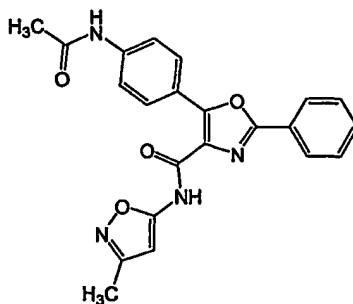
The titled compound was prepared in analogous manner to Example 532.

HPLC Rt = 0.66 min.

Mass Spectrum (ES⁺, m/z) : 413.20 (M+H)⁺

Example 544

5-(4-Acetylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid (3-methyl-isoxazol-5-yl)-amide



413

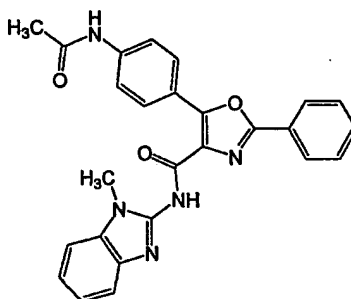
The titled compound was prepared in analogous manner to Example 532.

HPLC Rt = 1.18 min.

Mass Spectrum (ES+, m/z) : 403.22 (M+H)⁺

Example 545

5-(4-Acetylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid (1-methyl-1H-benzimidazol-2-yl)-amide



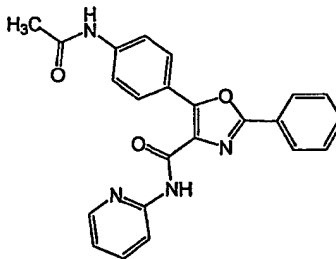
The titled compound was prepared in analogous manner to Example 532.

HPLC Rt = 0.97 min.

Mass Spectrum (ES+, m/z) : 452.22 (M+H)⁺

Example 546

5-(4-Acetylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid pyridin-2-ylamide



The titled compound was prepared in analogous manner to Example 532.

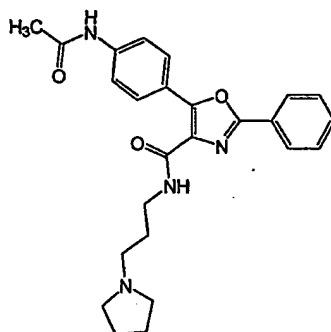
HPLC Rt = 1.19 min.

414

Mass Spectrum (ES⁺, m/z) : 399.21 (M+H)⁺

Example 547

5-(4-Acetylamino-phenyl)-2-phenyl-oxazole-4-carboxylic acid (3-pyrrolidin-1-yl-propyl)-amide



The titled compound was prepared in analogous manner to Example 532.

HPLC Rt = 0.65 min.

Mass Spectrum (ES⁺, m/z) : 433.27 (M+H)⁺

Reference Examples

Reference Example 1

Ethyl [(4-*tert*-butylbenzoyl)amino]acetate

Triethylamine (7.4 ml, 5.4 g, 53 mmol) was added to a suspension of glycine ethyl ester hydrochloride (3.3 g, 23.6 mmol) in dichloromethane (50 ml). The reaction mixture was then cooled to 0°C. A solution of 4-*tert*-butylbenzoyl chloride (4.64 g, 23.9 mmol) in dichloromethane (5 ml) was added dropwise to the cooled mixture and the solution was

stirred for 2 hours at 0°C. At the end of this time, the reaction mixture was poured into dichloromethane (100 ml), extracted with water and brine and dried over magnesium sulfate. Removal of the solvent *in vacuo* yielded a crude residue that was purified via flash chromatography (2:1 hexanes:ethyl acetate) to afford the title compound as a yellow oil (5.91 g, 95%).

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

7.75 (doublet, J = 10 Hz, 2H);

7.45 (doublet, J = 10 Hz, 2H);

6.68 (singlet, 1H);

4.26 (quartet, 2H);

4.24 (doublet, 2H);

1.32 (singlet, 9H);

1.30 (triplet, 3H).

HPLC: Retention time = 1.07 min.

Mass Spectrum (ES+, m/z): 264 (M+H)⁺.

Reference Example 2

Ethyl [(biphenyl-2-carbonyl)amino]acetate

The title compound was prepared from biphenyl-2-carbonyl chloride in a manner analogous to Reference Example 1 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

7.72 (doublet of doublets, J₁ = 8 Hz, J₂ = 2 Hz, 1H);

7.50 (multiplet, 1H);

7.41 (multiplet, 7H);

5.85 (singlet, 1H);

4.12 (quartet, 2H);

3.95 (doublet, 2H);

1.23 (triplet, 3H).

HPLC: Retention time = 0.93 min.

Mass Spectrum (ES⁺, m/z): 284 (M+H)⁺.

Reference Example 3

Ethyl [(4-*tert*-butylthiobenzoyl)amino]acetate

Ethyl [(4-*tert*-butylbenzoyl)amino]acetate (5.85 g, 22.2 mmol), prepared as described in Reference Example 1 above, was dissolved in tetrahydrofuran (80 ml). Lawesson's reagent (5.39 g, 13.3 mmol) was added to the reaction mixture and the resulting mixture was heated to reflux for 1 hour. At the end of this time, the reaction mixture was cooled to room temperature, concentrated *in vacuo* and applied to a flash column. Elution with a mixture of 3:1 hexanes:ethyl acetate afforded the title compound as a yellow oil (5.70 g, 92%).

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.11 (singlet, 1H);

7.77 (doublet, $J = 10$ Hz, 2H);

7.42 (doublet, $J = 10$ Hz, 2H);

4.57 (doublet, 2H);

4.30 (quartet, 2H);

1.32 (singlet, 9H);

1.32 (triplet, 3H).

HPLC: Retention time = 1.31 min.

Mass Spectrum (ES⁺, m/z): 280 (M+H)⁺.

Reference Example 4

Ethyl [(biphenyl-2-carbothioyl)amino]acetate

The title compound was prepared from ethyl [(biphenyl-2-carbonyl)amino]acetate, prepared as described in Reference Example 2 above, in a manner analogous to Reference Example 3 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

7.80 (doublet of doublets, $J_1 = 8$ Hz, $J_2 = 2$ Hz, 1H);

7.46 (multiplet, 3H);

7.35 (multiplet, 7H);

4.17 (doublet, $J = 6$ Hz, 1H);

4.12 (quartet, 2H);

418

1.22 (triplet, 3H).

HPLC: Retention time = 1.18 min.

Mass Spectrum (ES+, m/z): 300 (M+H)⁺.

Reference Example 5

Ethyl (thiobenzoylamino)acetate

The title compound was prepared from ethyl (benzoylamino)acetate (purchased from Research Plus, Inc) in a manner analogous to Reference Example 3 above.

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

8.15 (singlet, 1H);

7.83 (doublet of doublets, J₁ = 6 Hz, J₂ = 2 Hz, 2H);

7.49 (multiplet, 1H);

7.41 (multiplet, 2H);

4.56 (doublet, J = 4 Hz, 2H);

4.33 (quartet, 2H);

1.34 (triplet, 3H).

HPLC: Retention time = 0.98 min.

Mass Spectrum (ES+, m/z): 224 (M+H)⁺.

Reference Example 6

Ethyl [[[1-(4-*tert*-butylphenyl)-1-methylsulfonyl]methylidene]amino]acetate

Ethyl [(4-*tert*-butylthiobenzoyl)amino]acetate (5.69 g, 20.4 mmol), prepared as described in Reference Example 3 above, was dissolved in dichloromethane (75 ml) and the reaction was cooled to -78°C. Trimethyloxonium tetrafluoroborate (3.20 g, 21.6 mmol) was added in one portion and the reaction mixture was allowed to warm to 0°C. Stirring was continued for an additional 3 hours. At the end of this time, the reaction mixture was poured into dichloromethane (75 ml) and extracted with sodium hydrogencarbonate (x2) and brine and then dried over magnesium sulfate. Removal of the solvent *in vacuo* afforded the title compound as a yellow oil (5.75 g, 96%) as a 2:1 mixture of isomers.

Major isomer:

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

7.42 (doublet, J = 10Hz, 2H);

7.22 (doublet, J = 10 Hz, 2H);

4.20 (quartet, 2H);

4.18 (singlet, 2H);

2.48 (singlet, 3H);

1.33 (singlet, 9H);

1.27 (triplet, 3H).

HPLC: Retention time = 0.89 min.

Minor isomer:

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

7.48 (doublet, $J = 10\text{Hz}$, 2H);

7.25 (doublet, $J = 10\text{ Hz}$, 2H);

4.45 (singlet, 2H);

4.26 (quartet, 2H);

2.18 (singlet, 3H);

1.32 (triplet, 3H);

1.32 (singlet, 9H).

HPLC: Retention time = 0.83 min.

Mass Spectrum (ES⁺, m/z): 294 ($M+H$)⁺.

Reference Example 7

Ethyl [[[1-(biphenyl-2-yl)-1-methylsulfanyl]methylidene]amino]acetate

The title compound was prepared as a 3:1 mixture of isomers from ethyl [(biphenyl-2-carbothioyl)amino]acetate, prepared as described in Reference Example 4 above, in a manner analogous to Reference Example 6 above.

Major isomer:

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

7.40 (multiplet, 9H);

4.05 (quartet of doublets, 2H);

3.78 (doublet, $J = 17\text{ Hz}$, 1H);

3.55 (doublet, $J = 17\text{ Hz}$, 1H);

421

2.42 (singlet, 3H);

1.19 (triplet, 3H).

HPLC: Retention time = 0.93 min.

Minor isomer:

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

7.40 (multiplet, 9H);

4.26 (quartet, 2H);

4.25 (singlet, 2H);

1.58 (singlet, 3H);

1.34 (triplet, 3H).

HPLC: Retention time = 0.81 min.

Mass Spectrum (ES⁺, m/z): 314 (M+H)⁺.

Reference Example 8

Ethyl [[[1-methylsulfonyl-1-phenyl)methylidene]amino]acetate

The title compound was prepared as a 3:2 mixture of isomers from ethyl (thiobenzoylamino)acetate, prepared as described in Reference Example 5 above, in a manner analogous to Reference Example 6 above.

Major isomer:

¹H-Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl₃) δ ppm:

422

7.54 (multiplet, 1H);

7.40 (multiplet, 3H);

7.28 (multiplet, 1H);

4.18 (quartet, 4H);

4.14 (singlet, 2H);

2.48 (singlet, 3H);

1.25 (triplet, 3H).

HPLC: Retention time = 0.55 min.

Minor isomer:

^1H -Nuclear Magnetic Resonance Spectrum (300 MHz, CDCl_3) δ ppm:

7.54 (multiplet, 1H);

7.40 (multiplet, 3H);

7.28 (multiplet, 1H);

4.45 (singlet, 2H);

4.25 (quartet, 2H);

2.13 (singlet, 3H);

1.30 (triplet, 3H).

HPLC: Retention time = 0.43 min.

Mass Spectrum (ES^+ , m/z): 238 ($\text{M}+\text{H}$) $^+$.

Formulation Examples

A pharmaceutical preparation containing a compound of the present invention having the above formula (I), or a pharmacologically acceptable salt thereof as its active ingredient can be produced according to, for example, the following methods.

Formulation Example 1

Powder

5 g of the compound of Example 26, 895 g of lactose and 100 g of corn starch were mixed in a blender to provide the desired powder.

Formulation Example 2

Granules

5 g of the compound of Example 95, 865 g of lactose and 100 g of low- substituted hydroxypropylcellulose were mixed, 300 g of a 10% aqueous hydroxypropyl cellulose solution were added to the resulting mixture, and this was then kneaded. The product thus obtained was then granulated using an extrusion granulating machine and dried to provide the desired granules.

Preparation Example 3

Capsules

5 g of the compound of Example 114, 115 g of lactose, 58 g of corn starch and 2 g of magnesium stearate were mixed using a V-shaped mixer, no. 3 capsules were chosen and then each of said no. 3 capsules was filled with 180 mg of the resulting mixture to provide the desired capsules.

Preparation Example 4Tablets

5 g of the compound of Example 192, 90 g of lactose, 34 g of corn starch, 20 g of crystalline cellulose and 1 g of magnesium stearate were mixed in a blender, and the resulting mixture was then formed into tablets with a tablet machine to provide the desired tablets.

Test Examples

The biological activity of the compounds of the present invention is illustrated by the following Test Examples.

Test Example 1Measurement of Inhibition of IL-4 Production *in vitro* and of Cellular Viability1. Reagents

Anti-mouse CD3 antibodies were purchased from Pharmingen. Mouse IL-4 (Interleukin-4) ELISA (enzyme linked immunosorbent assay) kit was purchased from Genzyme Techne Inc. RPMI-1640 medium, penicillin, and streptomycin were purchased from Life Technologies, Inc.

2. Cell Lines

Mouse Th2 clone D10.G4.1 cells [obtainable from the American Type Culture Collection (ATCC No. TIB-224)] were kindly provided by Dr. Nariuchi (Institute of Medical

Science, University of Tokyo). The cells were maintained in RPMI-1640 medium supplemented with 10% fetal bovine serum (Cansera International Inc., Canada), 100 units/ml of penicillin, and 100 g/ml of streptomycin, in a humidified atmosphere of 5% CO₂ at 37°C.

3. Cytokine Production

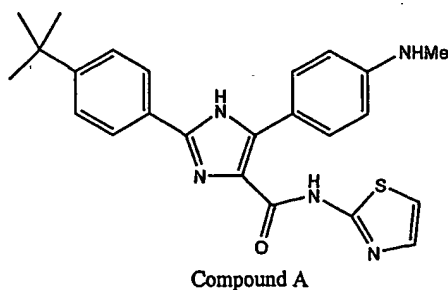
2.5 x 10⁴ D10.G4.1 cells were cultured in each well of a 96-well flat-bottomed plate (Corning Costar Inc.), each well being coated with immobilized anti-mouse CD3 antibody at 0.4 g/well in the absence or presence of compounds. 24 h after incubation, the amounts of IL-4 produced by the cells and secreted into the supernatant were measured by mouse IL-4 ELISA kit. The absorbance at 450 nm was measured by microplate reader (MODEL 3550, Bio-Rad laboratories). The amounts of IL-4 were calculated as a percentage of IL-4 production relative to the untreated control cells (percentage of control). By measuring the percentage inhibition at different test compound concentrations, the IC₅₀ values for the test compounds were determined.

4. Cellular Viability

1.5 x 10⁴ Jurkat cells were cultured with graded concentrations of the test compounds in 96-well flat-bottomed plates containing 200 µl of growth medium. After incubating at room temperature for 24 hours, 50 µl of phosphate buffer solution containing XTT [2,3-bis(2-methoxy-4-nitro-5-sulfophenyl)-2H-tetrazolium-5-carboxanilide] at 1mg/ml and PMS (phenazine methosulfate) at 7.5 µg/ml were added to each well of the 96-well flat-bottomed plate. After incubation for 6 hours at room temperature, the absorbance at 450 nm was measured by microplate reader. The cell viability was measured at each concentration of test

compound as a percentage of the control containing no test compound. IC_{50} values were determined by plotting the logarithm of the compound concentrations against the percentage cell viability.

The activity in inhibiting IL-4 production and the cellular viability for the compounds of the present invention were compared with those for the closest prior art compound disclosed in WO-A-99/33827, the structure of which is as follows:



The results obtained are shown in Tables 1 to 3 below.

Table 1

Example No.	Inhibition of IL-4 Production, IC_{50} (μ M)	Cellular Viability, IC_{50} (μ M)
26	<2.0	>50
95	2.0	>50
105	3.8	>50
114	<2.0	50
122	3.7	>50
123	3.7	>50
129	2.0	32
131	3.3	>50
132	2.7	>50
279	4.1	>50
Compound A	3.8	>50

Table 2

Example No.	Inhibition of IL-4 Production, IC ₅₀ (μM)	Cellular Viability, IC ₅₀ (μM)
192	<2.0	>50
277	<2.0	>50
285	<2.0	>50
Compound A	<2.0	>50

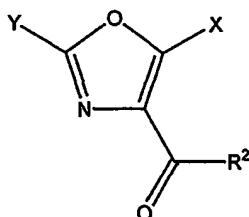
Table 3

Example No.	Inhibition of IL-4 Production, IC ₅₀ (μM)	Cellular Viability, IC ₅₀ (μM)
310	2.2	>50
Compound A	2.5	>50

It will be immediately apparent from the results above that the compounds of the present invention show excellent activity in inhibiting IL-4 production as well as low toxicity.

WHAT IS CLAIMED IS:

1. A compound of formula (I):



(I)

wherein:

X represents a substituent selected from the group consisting of phenyl groups, heteroaryl groups defined below and heterocyclyl groups defined below, said substituent X being substituted with at least one of substituents R^1 defined below and optionally further being substituted with at least one of Substituents β defined below, said heteroaryl groups and heterocyclyl groups optionally further being fused with an aryl group defined below or X represents a pyridine group or a pyrimidine group;

Y represents a substituent selected from the group consisting of phenyl groups, heteroaryl groups defined below and heterocyclyl groups defined below, said substituent Y optionally being substituted with from 1 to 5 substituents R^3 defined below, said heteroaryl groups and heterocyclyl groups optionally further being fused with an aryl group defined below;

R^1 represents a nitro group or a group of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is selected from the group consisting of hydrogen atoms, lower alkyl groups defined below, alkoxy groups defined below, alkylcarbonyl groups defined below which are unsubstituted or are substituted with at least one substituent selected from Substituents ϵ defined below, aryl groups defined below, arylcarbonyl groups defined below which are unsubstituted or are substituted with at least one substituent selected from Substituents δ defined below, heteroarylcarbonyl groups defined below, cycloalkylcarbonyl groups defined below and alkenylcarbonyl groups defined below which are unsubstituted or are substituted with aryl group(s);

R^2 represents a substituent selected from the group consisting of hydroxy groups, alkoxy groups defined below and groups of formula $-NR^6R^7$ wherein R^6 and R^7 are the same or different and each is selected from the group consisting of:

hydrogen atoms;

lower alkyl groups defined below which are unsubstituted or are substituted with at least one substituent selected from Substituents α defined below;

lower alkenyl groups defined below;

cycloalkyl groups defined below which are unsubstituted or are substituted with at least one lower alkyl group defined below, said cycloalkyl groups optionally being fused with an aryl group as defined below;

groups of formula $-NR^4R^5$ wherein R^4 and R^5 are as defined above;

aryl groups defined below which are unsubstituted or are substituted with at least one substituent selected from Substituents β defined below;

aralkyl groups defined below which are unsubstituted or are substituted with at least one substituent selected from the group consisting of alkoxy groups defined below and haloalkyl groups defined below;

diarylalkyl groups defined below;

heterocyclyl groups defined below which are attached to the nitrogen atom of the group $-NR^6R^7$ via a ring carbon atom thereof and which are unsubstituted or are substituted with at least one substituent selected from Substituents γ defined below, said heterocyclyl groups further optionally being fused with an aryl group or cycloalkyl group defined below; and

heteroaryl groups defined below which are attached to the nitrogen atom of the group $-NR^6R^7$ via a ring carbon atom thereof and which are unsubstituted or are substituted with at least one substituent selected from Substituents γ defined below, or

R^6 and R^7 together with the nitrogen atom to which they are attached represent a heterocyclyl group defined below or a heteroaryl group defined below, said groups being unsubstituted or substituted with at least one substituent selected from the group consisting of

lower alkyl groups which are unsubstituted or are substituted with at least one substituent selected from the group consisting of groups of formula $-NR^4R^5$ wherein R^4 and R^5 are as defined above and heterocyclyl groups defined below,

aryl groups defined below which are unsubstituted or are substituted with at least one substituent selected from Substituents δ defined below,

aralkyl groups defined below,

carboxy groups, and

alkoxycarbonyl groups defined below,
said heterocyclyl and heteroaryl groups further optionally being fused with an aryl group defined below;

R^3 represents a substituent selected from the group consisting of lower alkyl groups defined below, alkoxy groups defined below, alkylthio groups defined below, haloalkyl groups defined below, halogen atoms, alkylcarbonyl groups defined below, aryl groups defined below which are unsubstituted or are substituted with at least one alkoxy group defined below, aralkyl groups defined below, aralkyloxy groups defined below, heterocyclyl groups defined below and heteroaryl groups defined below; and

Substituents α are selected from the group consisting of alkoxy groups defined below, cycloalkyl groups defined below, arylamino groups defined below, heterocyclyl groups defined below which are unsubstituted or are substituted with at least one lower alkyl group defined below, and heteroaryl groups defined below which are unsubstituted or are substituted with at least one substituent selected from the group consisting of lower alkyl groups defined below and alkoxycarbonyl groups defined below;

Substituents β are selected from the group consisting of lower alkyl groups defined below, alkoxy groups defined below, alkylthio groups defined below, haloalkyl groups defined below, halogen atoms, alkylcarbonyl groups defined below, aryl groups defined below which are unsubstituted or are substituted with at least one alkoxy group defined below, aralkyl groups defined below, aralkyloxy groups defined below, heterocyclyl groups defined below and heteroaryl groups defined below;

Substituents γ are selected from the group consisting of lower alkyl groups defined below, carboxy groups and alkoxycarbonyl groups defined below;

Substituents δ are selected from the group consisting of lower alkyl groups defined below, haloalkyl groups defined below and alkoxy groups defined below;

or a pharmacologically acceptable salt thereof.

2. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein X represents a phenyl group which is substituted with one of substituents R^1 or X represents a pyridine group or a pyrimidine group.
3. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein X represents a phenyl group which is substituted with one of substituents R^1 , wherein R^1 is selected from the group consisting of nitro groups and groups of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is selected from the group consisting of hydrogen atoms, alkyl groups having from 1 to 4 carbon atoms, alkylcarbonyl groups the alkyl moiety thereof having from 1 to 4 carbon atoms and aryl groups having from 6 to 10 carbon atoms or X represents a pyridine group.
4. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein X represents a phenyl group which is substituted with a group of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is selected from the group consisting of hydrogen atoms, methyl groups, ethyl groups, acetyl groups and phenyl groups or X represents a pyridine group.
5. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein X represents a phenyl group which is substituted with a substituent selected from the group consisting of amino, methylamino, dimethylamino and acetylamino groups or X represents a pyridine group.
6. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein X is selected from the group consisting of 4-aminophenyl, 4-acetylamino and 4-dimethylamino groups.
7. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein Y represents a phenyl group which is optionally substituted with one or 2 of substituents R^3 .
8. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein Y represents a phenyl group which is optionally substituted with 1 or 2 substituents selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms, haloalkyl groups having from 1 to 4 carbon atoms and phenyl groups.

9. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein Y represents a phenyl group which is substituted with a substituent selected from the group consisting of methyl, ethyl, tert-butyl and trifluoromethyl groups.

10. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein Y represents a 4-tert-butylphenyl group or a 4-trifluoromethylphenyl group.

11. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein R^2 is selected from the group consisting of hydroxy groups, alkoxy groups having from 1 to 6 carbon atoms and groups of formula $-NR^6R^7$ wherein R^6 and R^7 are the same or different and each is selected from the group consisting of:

hydrogen atoms;

alkyl groups having from 1 to 6 carbon atoms which are optionally substituted with 1 or 2 of Substituents α^1 defined below;

alkenyl groups having from 2 to 4 carbon atoms;

cycloalkyl groups having from 3 to 6 carbon atoms which are optionally substituted with an alkyl group having from 1 to 4 carbon atoms, said cycloalkyl groups optionally being fused with an aryl group having from 6 to 10 carbon atoms;

groups of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is selected from the group consisting of hydrogen atoms and aryl groups having from 6 to 10 carbon atoms;

aryl groups having from 6 to 10 carbon atoms which are optionally substituted with 1 or 2 of Substituents β^1 defined below and which are further optionally fused with a 4- to 7-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

alkyl groups having from 1 to 4 carbon atoms which are substituted with 1 or 2 aryl groups having from 6 to 10 carbon atoms, said aryl groups optionally being substituted with 1 or 2 substituents selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms and haloalkyl groups having from 1 to 4 carbon atoms, said aryl groups optionally being fused with a 4- to 7-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

4- to 7-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heterocyclyl groups optionally being substituted with 1 or 2 of Substituents γ^1 defined below;

5- or 6- membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heteroaryl groups optionally being substituted with 1 or 2 of Substituents γ^1 defined below and further optionally being fused with an aryl group having from 6 to 10 carbon atoms;

or R^6 and R^7 together with the nitrogen atom to which they are attached represent a 4- to 7-membered heterocyclyl group or a 5- or 6-membered heteroaryl group, said heterocyclyl and heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, at least one of said heteroatoms being a nitrogen atom, said heterocyclyl and heteroaryl groups optionally being substituted with 1 or 2 substituents selected from the group consisting of

alkyl groups having from 1 to 4 carbon atoms which are optionally substituted with 1 or 2 substituents selected from the group consisting of groups of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is selected from the group consisting of hydrogen atoms and alkyl groups having from 1 to 4 carbon atoms, and 4- to 7-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms,

aryl groups having from 6 to 10 carbon atoms which are optionally substituted with 1 or 2 of Substituents δ^1 defined below,

alkyl groups having from 1 to 4 carbon atoms which are substituted with an aryl group having from 6 to 10 carbon atoms, and

alkoxycarbonyl groups wherein the alkoxy moiety has from 1 to 4 carbon atoms, said heterocyclyl and heteroaryl groups optionally being fused with an aryl group having from 6 to 10 carbon atoms;

Substituents α^1 are selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms, cycloalkyl groups having from 3 to 6 carbon atoms, 4- to 7-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms which are unsubstituted or are substituted with 1 or 2 alkyl groups having from 1 to 4 carbon atoms, and 5- or 6-membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms which are unsubstituted or are substituted with 1 or 2 substituents selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms and alkoxycarbonyl groups the alkoxy moiety thereof having from 1 to 4 carbon atoms;

Substituents β^1 are selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms, alkoxy groups having from 1 to 4 carbon atoms, alkylthio groups having from 1 to 4 carbon atoms, haloalkyl groups having from 1 to 4 carbon atoms, halogen atoms, alkylcarbonyl groups the alkyl moiety thereof having from 1 to 4 carbon atoms, aryl groups having from 6 to 10 carbon atoms which are unsubstituted or are substituted with 1 or 2 alkoxy groups having from 1 to 4 carbon atoms, alkyl groups having from 1 to 4 carbon atoms which are substituted with 1 or 2 aryl groups having from 6 to 10 carbon atoms, alkoxy groups having from 1 to 4 carbon atoms which are substituted with 1 or 2 aryl groups having from 6 to 10 carbon atoms, 4- to 7-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms and 5- or 6-membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

Substituents γ^1 are selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms, carboxy groups and alkoxycarbonyl groups the alkoxy moiety thereof having from 1 to 4 carbon atoms;

Substituents δ^1 are selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms, alkoxy groups having from 1 to 4 carbon atoms and haloalkyl groups having from 1 to 4 carbon atoms.

12. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein R^2 is selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms and groups of formula $-NR^6R^7$ wherein R^6 and R^7 are the same or different and each is selected from the group consisting of:

hydrogen atoms;

alkyl groups having from 1 to 6 carbon atoms which are optionally substituted with 1 or 2 of Substituents α^2 defined below;

alkenyl groups having from 2 to 4 carbon atoms;

cycloalkyl groups having from 4 to 6 carbon atoms which are optionally substituted with an alkyl group having from 1 to 4 carbon atoms, said cycloalkyl groups optionally being fused with a phenyl group;

groups of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is selected from the group consisting of hydrogen atoms and phenyl groups;

aryl groups having from 6 to 10 carbon atoms which are optionally substituted with 1 or 2 of Substituents β^2 defined below and which are further optionally fused with a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

alkyl groups having from 1 to 4 carbon atoms which are substituted with 1 or 2 phenyl groups, said phenyl groups optionally being substituted with 1 or 2 substituents selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms and haloalkyl groups having from 1 to 4 carbon atoms, said phenyl groups optionally further being fused with a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

5- or 6- membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heterocyclyl groups optionally being substituted with an alkoxycarbonyl group the alkoxy moiety thereof having from 1 to 4 carbon atoms;

5- or 6- membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heteroaryl groups optionally being substituted with 1 or 2 of Substituents γ^2 defined below and further optionally being fused with a phenyl group;

or R^6 and R^7 together with the nitrogen atom to which they are attached represent a 5- or 6-membered heterocyclyl or heteroaryl group, said heterocyclyl and heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, at least one of said heteroatoms being a nitrogen atom, said heterocyclyl and heteroaryl groups optionally being substituted with 1 or 2 substituents selected from the group consisting of

alkyl groups having from 1 to 4 carbon atoms which are optionally substituted with a group of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is an alkyl group having from 1 to 4 carbon atoms, or a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms,

aryl groups having from 6 to 10 carbon atoms which are optionally substituted with a Substituent δ^2 defined below,

alkyl groups having from 1 to 4 carbon atoms which are substituted with a phenyl group, and

alkoxycarbonyl groups wherein the alkoxy moiety has from 1 to 4 carbon atoms, said heterocyclyl and heteroaryl groups optionally being fused with a phenyl group;

Substituents α^2 are selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms, cyclopropyl groups, cyclobutyl groups, 5- or 6-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms which are unsubstituted or are substituted with an alkyl group having from 1 to 4 carbon atoms, and 5- or 6-membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms which are unsubstituted or are substituted with 1 or 2 substituents selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms and alkoxycarbonyl groups the alkoxy moiety thereof having from 1 to 4 carbon atoms;

Substituents β^2 are selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms, alkoxy groups having from 1 to 4 carbon atoms, alkylthio groups having from 1 to 4 carbon atoms, haloalkyl groups having from 1 to 4 carbon atoms, fluorine atoms, chlorine atoms, alkylcarbonyl groups the alkyl moiety thereof having from 1 to 4 carbon atoms, aryl groups having from 6 to 10 carbon atoms which are unsubstituted or are substituted with an alkoxy group having from 1 to 4 carbon atoms, alkyl groups having from 1 to 4 carbon atoms which are substituted with a phenyl group, alkoxy groups having from 1 to 4 carbon atoms which are substituted with a phenyl group and 5- or 6-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

Substituents γ^2 are selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms and alkoxycarbonyl groups the alkoxy moiety thereof having from 1 to 4 carbon atoms;

Substituents δ^1 are selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms and haloalkyl groups having from 1 to 4 carbon atoms;

13. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein R^2 is selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms and groups of formula $-NR^6R^7$ wherein R^6 and R^7 are the same or different and each is selected from the group consisting of:

hydrogen atoms;

alkyl groups having from 1 to 6 carbon atoms which are optionally substituted with a Substituent α^3 defined below;

allyl groups;

cycloalkyl groups having from 4 to 6 carbon atoms which are optionally substituted with a methyl or ethyl group, said cycloalkyl groups optionally being fused with a phenyl group;

phenylhydrazido groups;

phenyl and naphthyl groups and phenyl groups which are optionally substituted with 1 or 2 of Substituents β^3 defined below and which are further optionally fused with a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

alkyl groups having from 1 to 3 carbon atoms which are substituted with 1 or 2 phenyl groups, and benzyl and phenethyl groups which are substituted with 1 or 2 substituents selected from the group consisting of alkoxy groups having from 1 to 4 carbon atoms and haloalkyl groups having from 1 to 4 carbon atoms, the phenyl groups of these aralkyl groups optionally further being fused with a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

5- or 6- membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heterocyclyl groups optionally being substituted with a methoxycarbonyl or ethoxycarbonyl group;

5- or 6- membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heteroaryl groups optionally being substituted with 1 or 2 of Substituents γ^2 defined above and further optionally being fused with a phenyl group;

or R^6 and R^7 together with the nitrogen atom to which they are attached represent a 5- or 6-membered heteroaryl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, at least one of said heteroatoms being a nitrogen atom, or a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, at least one of said heteroatoms being a nitrogen atom, said heterocyclyl group optionally being substituted with 1 or 2 substituents selected from the group consisting of

alkyl groups having from 1 to 4 carbon atoms which are optionally substituted with a group of formula $-NR^4R^5$ wherein R^4 and R^5 are the same or different and each is a methyl or ethyl group, or a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms,

phenyl groups which are optionally substituted with a Substituent δ^2 defined above, benzyl groups, and methoxycarbonyl and ethoxycarbonyl groups, said heterocyclyl group optionally being fused with a phenyl group;

Substituents α^3 are selected from the group consisting of methoxy groups, ethoxy groups, cyclopropyl groups, cyclobutyl groups, 5- or 6-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms which are unsubstituted or are substituted with a methyl or ethyl group, and 5- or 6-membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms which are unsubstituted or are substituted with 1 or 2 substituents selected from the group consisting of alkyl groups having from 1 to 4 carbon atoms, methoxycarbonyl groups and ethoxycarbonyl groups; and

Substituents β^3 are selected from the group consisting of methyl groups, ethyl groups, methoxy groups, ethoxy groups, methylthio groups, ethylthio groups, methyl or ethyl groups which are substituted with from 1 to 3 fluorine or chlorine atoms, fluorine atoms, chlorine atoms, acetyl groups, propionyl groups, phenyl groups which are unsubstituted or are substituted with a methoxy or ethoxy group, benzyl groups, benzyloxy and 5- or 6-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

14. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein R^2 is selected from the group consisting of methoxy groups, ethoxy groups and groups of formula $-NR^6R^7$ wherein R^6 and R^7 are the same or different and each is selected from the group consisting of:

hydrogen atoms;

methyl, ethyl, 1-methylbutyl and 1-ethylpropyl groups,

methyl, ethyl and propyl groups substituted with a Substituent α^4 defined below;

allyl groups;

cyclobutyl and cyclohexyl groups which are optionally substituted with a methyl group, said cyclobutyl and cyclohexyl groups optionally being fused with a phenyl group;

phenylhydrazido groups;

phenyl and naphthyl groups and phenyl groups which are optionally substituted with 1 or 2 of Substituents β^4 defined below and which are further optionally fused with a 5- or 6-

membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen and oxygen atoms;

benzyl, diphenylmethyl and 3,3-diphenylpropyl groups, and benzyl and phenethyl groups which are substituted with 1 or 2 substituents selected from the group consisting of methoxy, ethoxy and trifluoromethyl groups, the phenyl groups of these aralkyl groups optionally further being fused with a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms;

6- membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen and oxygen atoms, said heterocyclyl groups optionally being substituted with a methoxycarbonyl or ethoxycarbonyl group;

5- or 6- membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, said heteroaryl groups optionally being substituted with 1 or 2 substituents selected from the group consisting of methyl, ethyl, methoxycarbonyl and ethoxycarbonyl groups and said heteroaryl groups further optionally being fused with a phenyl group;

or R^6 and R^7 together with the nitrogen atom to which they are attached represent a 5- or 6-membered heteroaryl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, at least one of said heteroatoms being a nitrogen atom, or a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur atoms, at least one of said heteroatoms being a nitrogen atom, said heterocyclyl group optionally being substituted with 1 or 2 substituents selected from the group consisting of

methyl and ethyl groups which are optionally substituted with a dimethylamino group or a 5- or 6-membered heterocyclyl group having 1 or 2 heteroatoms selected from the group consisting of nitrogen and oxygen atoms,

phenyl groups which are optionally substituted with a substituent selected from the group consisting of methoxy, ethoxy and trifluoromethyl groups,

benzyl groups, and

methoxycarbonyl and ethoxycarbonyl groups,

said heterocyclyl group optionally being fused with a phenyl group;

Substituents α^4 are selected from the group consisting of methoxy groups, cyclopropyl groups, 5- or 6-membered heterocyclyl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen and oxygen atoms which are unsubstituted or are substituted

with a methyl group, and 5- or 6-membered heteroaryl groups having 1 or 2 heteroatoms selected from the group consisting of nitrogen and sulfur atoms which are unsubstituted or are substituted with 1 or 2 substituents selected from the group consisting of methyl groups and methoxycarbonyl groups; and

Substituents β^4 are selected from the group consisting of methyl groups, methoxy groups, ethoxy groups, trifluoromethyl groups, methylthio groups, chlorine atoms, acetyl groups, phenyl groups which are unsubstituted or are substituted with a methoxy group, benzyl groups, benzyloxy groups and 5- or 6-membered heterocyclyl groups having 1 or 2 nitrogen heteroatoms.

15. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein R^2 is selected from the group consisting of ethoxy groups and groups of formula $-NR^6R^7$ wherein R^6 and R^7 are the same or different and each is selected from the group consisting of:

hydrogen atoms;

methyl, ethyl, 1-methylbutyl and 1-ethylpropyl groups,

2-methoxyethyl, cyclopropylmethyl, 3-(morpholin-4-yl)propyl, tetrahydrofuran-2-ylmethyl, 2-(pyrrolidin-1-yl)ethyl, 3-(pyrrolidin-1-yl)propyl, 3-(4-methylpiperazin-1-yl)propyl, 2-methoxycarbonyl-4-methylthiophen-3-ylmethyl, 3-(imidazol-1-yl)propyl, thiophen-2-ylmethyl and pyridin-2-ylmethyl groups;

allyl groups;

cyclobutyl groups, 2-methylcyclohexyl groups and 1,2,3,4-tetrahydro-naphthalen-1-yl groups;

phenylhydrazido groups;

phenyl and naphthyl groups;

2-, 3-, 4-, 5- and 6-methylphenyl, 2-, 3-, 4-, 5- and 6-ethylphenyl, 2-, 3-, 4-, 5- and 6-methoxyphenyl, 2-, 3-, 4-, 5- and 6-ethoxyphenyl, 2-, 3-, 4-, 5- and 6-methyl-sulfanylphenyl, 2-, 3-, 4-, 5- and 6-trifluoromethylphenyl, 2-, 3-, 4-, 5- and 6-chloro-phenyl, 2-, 3-, 4-, 5- and 6-acetylphenyl, biphenyl-2-yl, biphenyl-3-yl, 2-, 3-, 4-, 5- and 6-benzylphenyl, 2-, 3-, 4-, 5- and 6-benzyloxyphenyl, 2-, 3-, 4-, 5- and 6-(piperidin-1-yl)phenyl, 2,5-dimethoxyphenyl, 3-methoxy-5-trifluoromethylphenyl, 2-methoxy-5-methylphenyl, 3,5-bis-trifluoromethylphenyl and 4-methoxybiphenyl-3-yl groups;

2,3-dihydrobenzo[1,4]dioxin-6-yl groups;

benzyl, diphenylmethyl and 3,3-diphenylpropyl groups;

2-trifluoromethylbenzyl, 3,5-bis-trifluoromethylbenzyl, 2-methoxybenzyl, 2-(2-methoxyphenyl)ethyl, 2-(3-methoxyphenyl)ethyl, 2-(4-methoxyphenyl)ethyl and 2,6-dimethoxybenzyl groups;

benzo[1,3]dioxol-5-ylmethyl groups,

1-ethoxycarbonylpiperidin-4-yl groups;

thiazol-2-yl groups;

2-ethyl-2H-pyrazol-3-yl, 5-methylisoxazol-3-yl, 2-ethoxycarbonyl-4-methylthiophen-2-yl and 2-methoxycarbonylthiophen-2-yl groups; and

benzothiazol-2-yl groups;

or R⁶ and R⁷ together with the nitrogen atom to which they are attached represent a substituent selected from the group consisting of thiazolidin-3-yl, pyrrolidin-1-yl, pyrrolin-1-yl, piperidin-1-yl, piperazin-1-yl, morpholin-4-yl, thiomorpholin-1-yl, 2,5-dihydropyrrol-1-yl, decahydroquinolin-1-yl, 4-methylpiperidin-1-yl, 2,6-dimethyl-morpholin-4-yl, 4-methylpiperazin-1-yl, 4-(2-dimethylaminoethyl)piperazin-1-yl, 4-[2-(morpholin-4-yl)ethyl]piperazin-1-yl, 4-phenylpiperazin-1-yl, 4-(2-morpholin-4-yl-ethyl)piperazin-1-yl, 4-(3-trifluoromethylphenyl)piperazin-1-yl, 4-(2-methoxyphenyl)piperazin-1-yl, 4-benzylpiperazin-1-yl, 3,4-dihydro-2H-quinolin-1-yl and 4-ethoxycarbonylpiperidin-1-yl groups.

16. A compound of formula (I) or a pharmacologically acceptable salt thereof according to Claim 1, wherein R² is selected from the group consisting of ethoxy groups and groups of formula -NR⁶R⁷ wherein R⁶ and R⁷ are the same or different and each is selected from the group consisting of:

hydrogen atoms, methyl groups, thiophen-2-ylmethyl groups, cyclobutyl groups, phenyl groups, naphthyl groups, 2-methoxyphenyl groups, 4-methoxyphenyl groups, 3-ethoxyphenyl groups, 3-methylsulfanylphenyl groups, 4-chlorophenyl groups, biphenyl-2-yl groups, 3-benzyloxyphenyl groups, 4-(piperidin-1-yl)phenyl groups, 2,5-dimethoxyphenyl groups, 3-methoxy-5-trifluoromethylphenyl groups, 2-methoxy-5-methylphenyl groups, 2-trifluoromethylbenzyl groups, 1-ethoxycarbonylpiperidin-4-yl groups, thiazol-2-yl groups and 5-methylisoxazol-3-yl groups;

or R⁶ and R⁷ together with the nitrogen atom to which they are attached represent a substituent selected from the group consisting of thiazolidin-3-yl, 3,4-dihydro-2H-quinolin-1-yl, 2,5-dihydropyrrol-1-yl, 4-phenylpiperazin-1-yl, 4-(2-methoxyphenyl)piperazin-1-yl and 4-benzylpiperazin-1-yl groups.

17. A compound of formula (I) or a pharmacologically acceptable salt thereof according to claim 1 wherein the compound is selected from the group consisting of

N-(2-trifluoromethylbenzyl)-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide;

5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)-4-[(4-phenylpiperazin-1-yl)carbonyl]oxazole;

5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)-4-[[4-(2-methoxyphenyl)piperazin-1-yl]carbonyl]oxazole;

N-(2,5-dimethoxyphenyl)-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide;

N-(naphthalen-1-yl)-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide;

N-(2-methoxyphenyl)-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide;

N-(3-methylsulfonylphenyl)-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide;

N-[4-(piperidin-1-yl)phenyl]-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide;

N-(4-methoxyphenyl)-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide;

N-allyl-5-(4-dimethylamino-phenyl)-2-(4-trifluoromethylphenyl)oxazole-4-carboxamide;

N-(2-methoxy-5-methylphenyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide;

N-(2-trifluoromethylbenzyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide;

N-(3-methoxy-5-trifluoromethylphenyl)-5-(4-acetylamino-phenyl)-2-phenyloxazole-4-carboxamide; and

N-cyclopropylmethyl-*N*-propyl-5-(4-acetylamino-phenyl)-2-(4-*tert*-butylphenyl)oxazole-4-carboxamide.

18. A method of preventing or treating a disease mediated by cytokines comprising administering an effective amount of a compound according to claim 17.

19. A method as claimed in claim 18 wherein the disease is an allergic disease.

20. A method of preventing or treating a disease mediated by cytokines comprising administering an effective amount of a compound according to claim 1.

21. A method as claimed in claim 20 wherein the disease is an allergic disease.